FOR OFFICIAL USE ONLY 159021 ACCESS DB # _____ PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 7/12/05
Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10/671 298
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK
****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

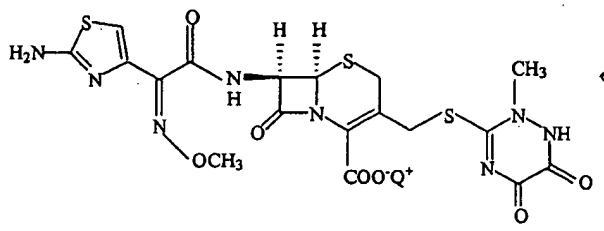
Inventors (please provide full names): _____

_____

Earliest Priority Date: _____

Search Topic:
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



B

Search #1 @ Q^+ = $\overset{\oplus}{N}$ ← L26

No hits

Search #2

CAS react Q = H + $N(H/C)_3$

because N amine salts

no hits

#1 of 3

****

STAFF USE ONLY

Searcher: _____
Searcher Phone #: 27504
Searcher Location: _____
Date Searcher Picked Up: 7/21/05
Date Completed: 7/21/05
Searcher Prep & Review Time: 20
Online Time: +80

Type of Search

_____ NA Sequence (#)
_____ AA Sequence (#)
✓ Structure (#)
_____ Bibliographic
_____ Litigation
_____ Fulltext
_____ Other

Vendors and cost where applicable

✓ STN _____ Dialog
_____ Questel/Orbit _____ Lexis/Nexis
_____ Westlaw _____ WWW/Internet
___ In-house sequence systems
___ Commercial ___ Oligomer ___ Score/Length
___ Interference ___ SPDI ___ Encode/Transl
_____ Other (specify)

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 7/12/05

Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10671298

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): (PAPER) DISK

****

Also 10/830806

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: ______________________________

Inventors (please provide full names): ______________________________

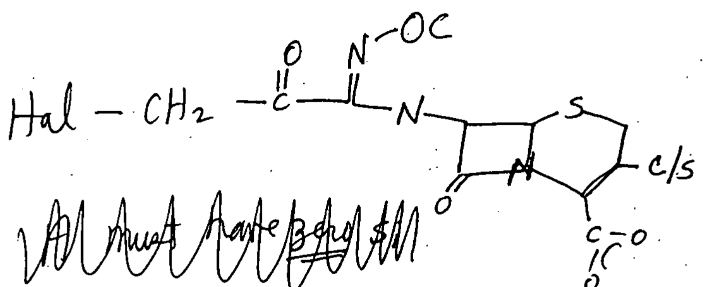
Earliest Priority Date: ______________________________

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

second step of cl 18 of ~~10~~ 10671298 (& fn 19-24)
cl 18 of 10830806



= A

~~[crossed out]~~

CAS react A + H_2O (a) + $H_2N-C(=S)-NH_2$ (b)

The (a) [water] & (b) can be in same step or (a), then (b)

~~[crossed out]~~

#3003

****

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Searcher: ______________

Searcher Phone #: ______________

Searcher Location: ______________

Date Searcher Picked Up: ______________

Date Completed: ______________

Searcher Prep & Review Time: ______________

Online Time: ______________

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

_____ In-house sequence systems

_____ Commercial _____ Oligomer _____ Score/Length

_____ Interference _____ SPDI _____ Encode/Transl

_____ Other (specify)

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ACCESS DB # _____

PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 7/12/05

Art Unit: 1624 Phone Number: 2-0663 Serial Number: ~~10/671,298~~ 10671298

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

****

NAP 10/830806

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

_____

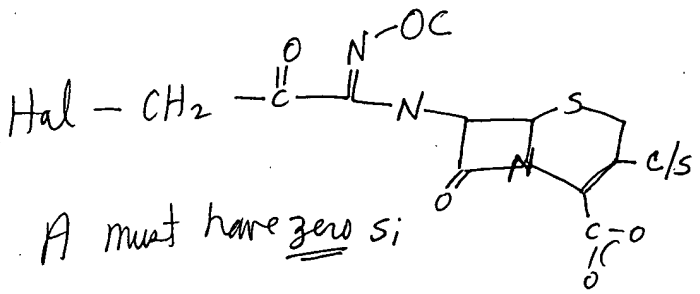
Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

second step of cl 18 of ~~10/671,298~~ cl 18 of 10830806



= A

A must have zero Si

CAS react A + $H_2N-\overset{S}{\overset{\|}{C}}-NH_2$

[Note: may fail if desilated form of reactant is not written out]

Hence search #3

#2of3

****

STAFF USE ONLY

Searcher: _____

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: _____

Searcher Prep & Review Time: _____

Online Time: _____

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

_____ Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

____ In-house sequence systems

___Commercial ___Oligomer ____Score/Length

___ Interference ___ SPDI ____ Encode/Transl

_____ Other (specify)

10

```
=> fil casreact
FILE 'CASREACT' ENTERED AT 11:38:19 ON 26 JUL 2005
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FILE CONTENT:1840 - 24 Jul 2005 VOL 143 ISS 4

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*************************************************************
*                                                           *
*     CASREACT now has more than 9.2 million reactions      *
*                                                           *
*************************************************************

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991)
provided by InfoChem, INPI data prior to 1986, and Biotransformations
database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance
identification.

=> d sta que
L18             STR
     RRT
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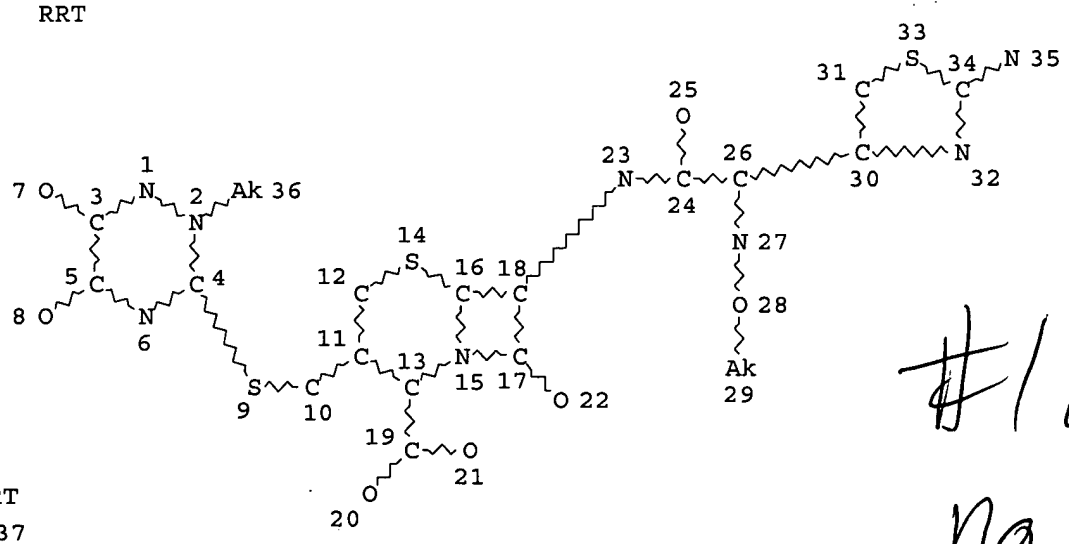

#1 of 3
no hit

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NODE ATTRIBUTES:
NSPEC   IS RC     AT  37
CONNECT IS M1  RC AT  21
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC  11
NUMBER OF NODES IS  37
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STEREO ATTRIBUTES: NONE
L20 2 SEA FILE=CASREACT SSS FUL L18 (5 REACTIONS)

100.0% DONE 7 VERIFIED 5 HIT RXNS 2 DOCS
SEARCH TIME: 00.00.01

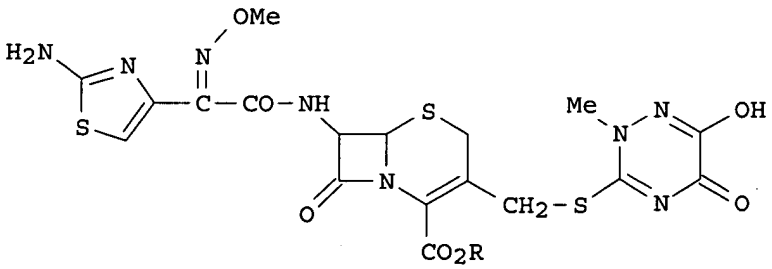
=> d bib abs fhit retable tot

L20 ANSWER 1 OF 2 CASREACT COPYRIGHT 2005 ACS on STN
AN 141:6965 CASREACT
TI Preparation of prodrug esters of ceftriaxone
IN Raina, Vandna; Kumar, Yatendra; Aryan, Ram Chander
PA Ranbaxy Laboratories Limited, India
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004046153	A1	20040603	WO 2003-IB5327	20031121

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI IN 2002-DE1175 20021121
OS MARPAT 141:6965
GI

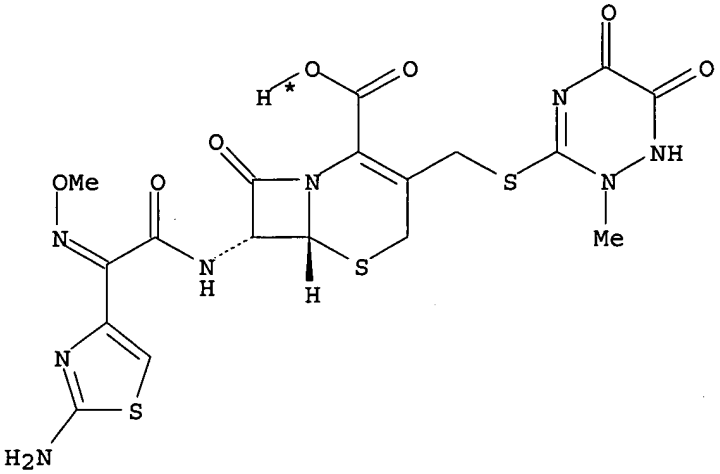


I

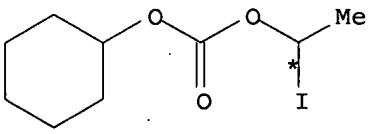
AB The invention relates to prodrug esters of ceftriaxone of formula I [R = alkyl, 1-alkanoyloxyalkyl, 1-alkoxycarbonyloxyalkyl, cycloalkyl, cycloalkyloxy, alkoxy]. The invention also relates to processes for preparing prodrug esters of ceftriaxone, pharmaceutical compns. that include the prodrug esters and to methods for using the prodrug esters. The prodrug esters of ceftriaxone are useful as antimicrobial agents and are

suitable for oral administration (no data). Thus, I [R = 1-(cyclohexyloxycarbonyloxy)ethyl] was prepared by reaction of ceftriaxone and 1-iodoethyl cyclohexyl carbonate with DBU in N,N-dimethylacetamide.

RX(1) OF 3 **A** + B ===> C

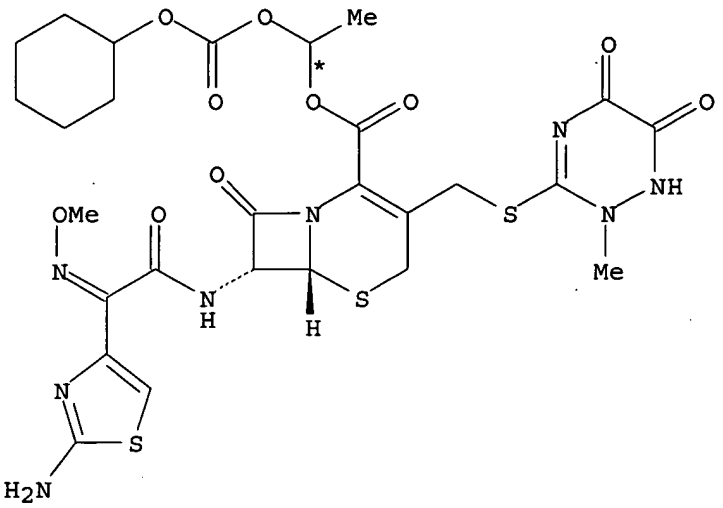


A



B

(1)



C

RX(1)

STAGE(1)
RGT D **6674-22-2** DBU, E 64-19-7 AcOH
SOL 127-19-5 AcNMe2

STAGE(2)
RCT A **73384-59-5**

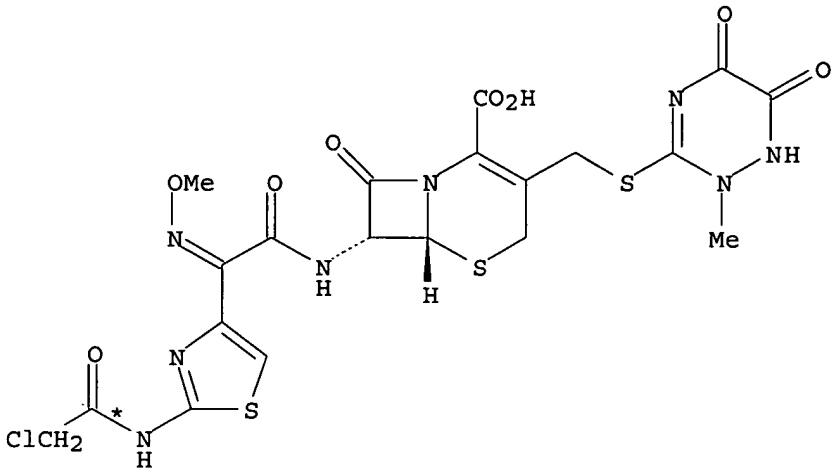
STAGE(3)
RCT B 102672-57-1
PRO C 695190-95-5

L20 ANSWER 2 OF 2 CASREACT COPYRIGHT 2005 ACS on STN
AN 136:37629 CASREACT
TI Method of producing and HPLC analyzing ceftriaxone disodium
IN Shr, Kai-Shiang; Liou, Ching-Wei; Wang, Jia-Lin; Jung, Yu-Shan; Chen, Huei-Rung
PA Development Center for Biotechnology, Taiwan
SO Taiwan, 18 pp.
CODEN: TWXXA5
DT Patent
LA Chinese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI TW 378211	B	20000101	TW 1995-84100960	19950207

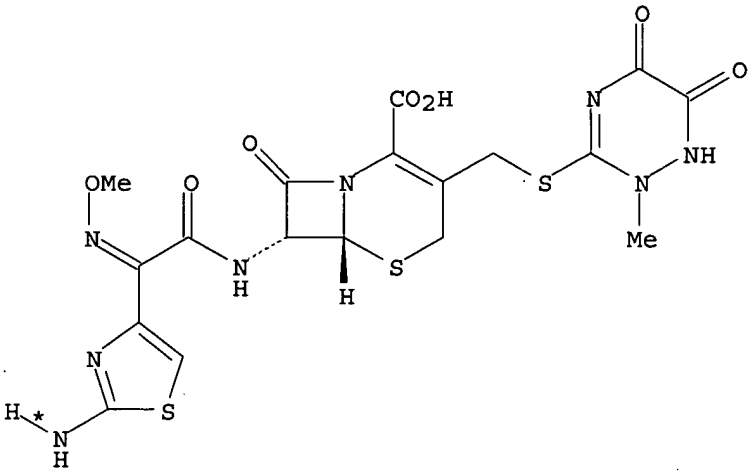
PRAI TW 1995-84100960 19950207
AB Title compound was prepared from 7-ACA, tetrahydro-2-methyl-3-thioxo-1,2,4-triazine-5,6-dione, and (αZ)-2-[[chloroacetyl]amino]-α-[methoxyimino]-4-thiazoleacetic acid and was HPLC analyzed using HOAc:CH3CN = 87:13.

RX(5) OF 25 ...I ===> M...



I

(5) →



M
YIELD 60%

RX(5) RCT I **74578-70-4**
RGT N **62-56-6** Thiourea, O 298-14-6 KHCO3
PRO M 73384-59-5
SOL 7732-18-5 Water
NTE 5 h

=>

#2 of 3
Part A:
Those in
CAS react

```
=> fil casreact
FILE 'CASREACT' ENTERED AT 11:58:36 ON 26 JUL 2005
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE CONTENT:1840 - 24 Jul 2005 VOL 143 ISS 4

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**************************************************************
*                                                            *
*     CASREACT now has more than 9.2 million reactions       *
*                                                            *
**************************************************************
```

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> d sta que
L39             STR
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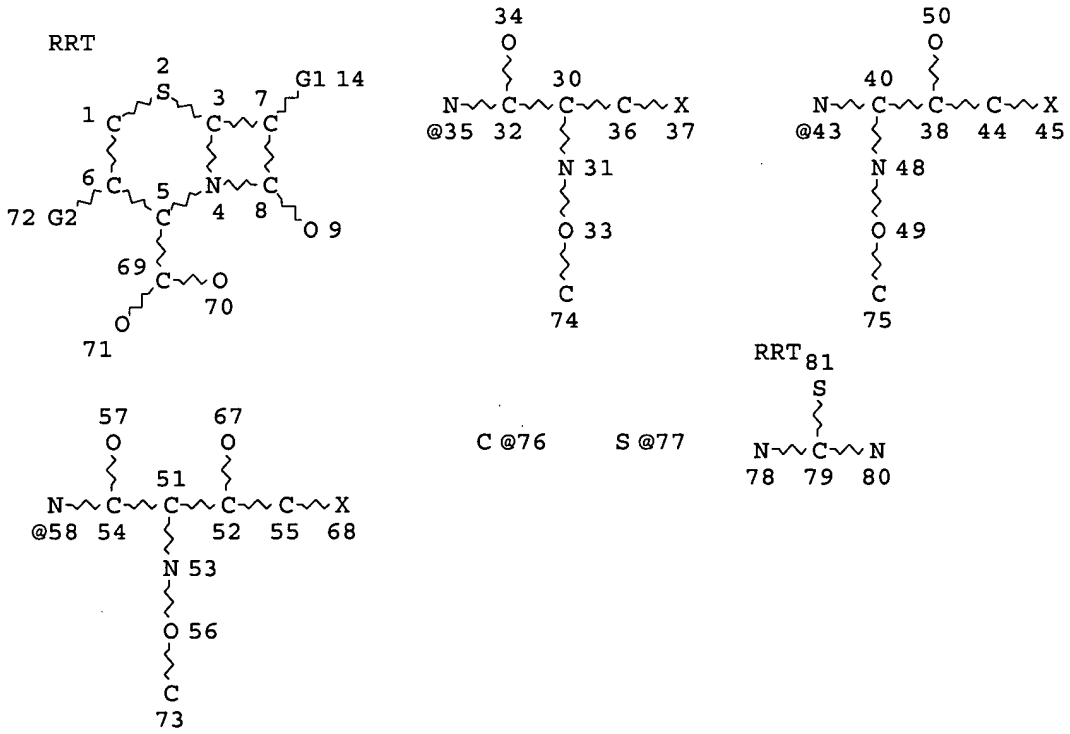


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VAR G1=35/43/58
VAR G2=76/77
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CONNECT IS M1  RC AT  73
CONNECT IS M1  RC AT  74
CONNECT IS M1  RC AT  75
CONNECT IS M1  RC AT  76
CONNECT IS M1  RC AT  77
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC   1
NUMBER OF NODES IS  49

STEREO ATTRIBUTES: NONE
L41          14 SEA FILE=CASREACT SSS FUL L39 (    30 REACTIONS)

100.0% DONE      98 VERIFIED      30 HIT RXNS                    14 DOCS
SEARCH TIME: 00.00.01


=> d l41 bib abs fhit retable tot


L41  ANSWER 1 OF 14  CASREACT  COPYRIGHT 2005 ACS on STN
AN   143:26419  CASREACT
TI   Process for preparing cephalosporins with salified intermediate
IN   Monguzzi, Riccardo; Manca, Antonio; Marsili, Leonardo; Zenoni, Maurizio
PA   Acs Dobfar S.P.A., Italy
SO   U.S. Pat. Appl. Publ., 21 pp., Cont. of U.S. Ser. No. 821,986.
     CODEN: USXXCO
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.        KIND  DATE          APPLICATION NO.  DATE
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PI   US 2005119478      A1   20050602      US 2004-916532   20040812
     US 2005119244      A1   20050602      US 2004-821986   20040412
PRAI IT 2003-MI2354    20031202
     IT 2004-MI233     20040212
     US 2004-821986    20040412
GI
```
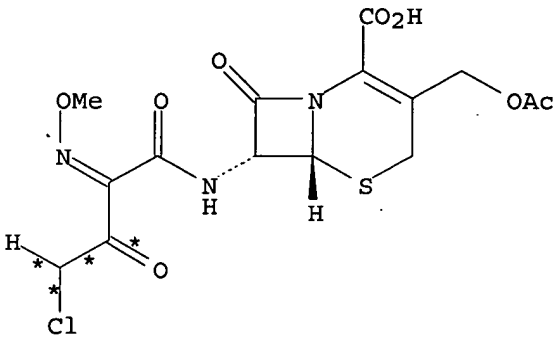

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

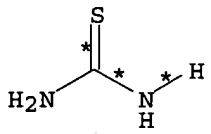
AB Cephalosporins I [R1 = H; R2 = H, Me, CH2OMe, CH2OAc, CH:CH2, R3, R4, R5, R6, R7] may be conveniently prepared by a process in which a benzathinium salt II·HZ+ [X = Cl, Br; Z = benzathine] is reacted with thiourea. Thus, sodium ceftiofur [I; R1 = Na, R2 = R5] was prepared from (6R,7R)-7-amino-3-[[(2-furanylcarbonyl)thio]methyl]-3-cephem-4-carboxylic acid via esterification with Me3SiCl in THF followed by treatment with N,O-bis(trimethylsilyl)acetamide, acylation with (Z)-ClCH2COC(:NOMe)COCl in EtOAc/DMF, reaction with benzathine diacetate in H2O in the presence of Et3N, cyclocondensation with thiourea in THf containing Et3N and salt formation with sodium 2-ethylhexanoate in THF. The resulting product may be crystallized as a sodium salt, as an internal salt, or as a pharmaceutically acceptable salt.

RX(3) OF 8 ...**W** + **C** ===> X

W: CM 1

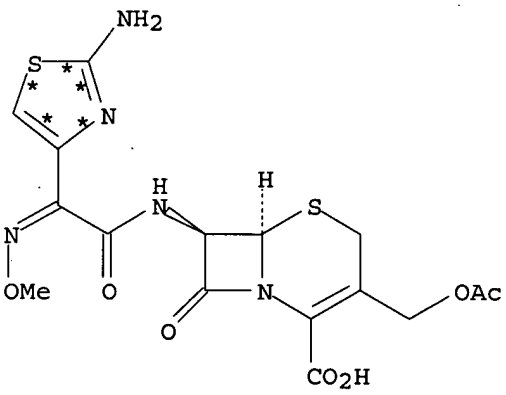


W: CM 2



C

(3) →



● Na

X

RX(3) RCT W **852569-89-2**

STAGE(1)
SOL 7732-18-5 Water, 109-99-9 THF

STAGE(2)
RGT H 121-44-8 Et3N

STAGE(3)
RCT C **62-56-6**

STAGE(4)
RGT Y 60-00-4 EDTA, Z 7775-14-6 Na2(S2O4), AA 7440-44-0 Carbon

STAGE(5)
SOL 7732-18-5 Water

STAGE(6)
RGT AB 64-18-6 HCO2H

STAGE(7)
RGT AC 64-17-5 EtOH

STAGE(8)
SOL 7732-18-5 Water, 67-56-1 MeOH

STAGE(9)
RGT K 19766-89-3 Na 2-ethylhexanoate

STAGE(10)
SOL 141-78-6 AcOEt

STAGE(11)

STAGE(12)
SOL 141-78-6 AcOEt

STAGE(13)
SOL 141-78-6 AcOEt
PRO X 64485-93-4
NTE fourth stage quench Celite; eleventh stage seed crystal

L41 ANSWER 2 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 143:7532 CASREACT
TI Process for preparing cephalosporins with salified intermediate
IN Monguzzi, Riccardo; Manca, Antonio; Marsili, Leonardo; Zenoni, Maurizio
PA ACS Dobfar S.P.A., Italy
SO U.S. Pat. Appl. Publ., 11 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005119244	A1	20050602	US 2004-821986	20040412
	US 2005119478	A1	20050602	US 2004-916532	20040812
PRAI	IT 2003-MI2354	20031202			
	IT 2004-MI233	20040212			
	US 2004-821986	20040412			

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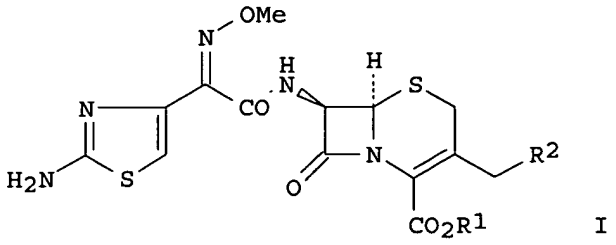

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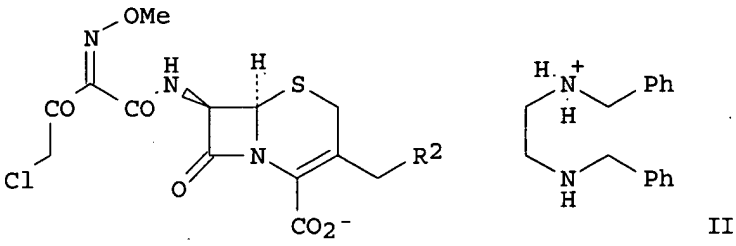
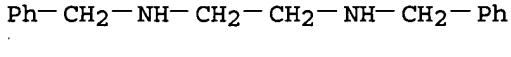


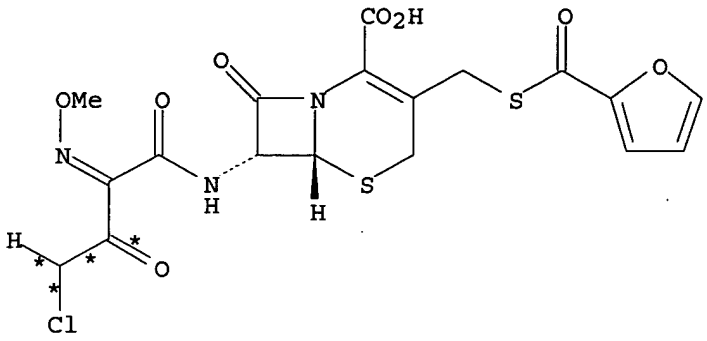
II

AB A process was disclosed for the preparation of cephalosporins, such as I [R1 = H, Na; R2 = OCOMe, 2-furanylcarbonylthio, 2,5-dihydro-6-hydroxy-2-methyl-5-oxo-1,2,4-triazin-3-ylthio, 1,2,3-thiadiazol-5-ylthio, etc.], which included formation of intermediate 7-aminocephalosporanic acid benzathine salts and subsequent cyclocondensation of the intermediate salts with thiourea. Thus, sodium ceftiofur I (R1 = Na, R2 = 2-furanylcarbonylthio) was prepared via an amidation reaction of 4-chloro-2-(methoxyimino)-3-oxobutanoic acid with (6R,7R)-7-amino-3-[[(2-furanylcarbonyl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (Furaca), formation of the benzathine salt of the in situ formed amide usind benzathine diacetate, cyclocondensation of the resulting amide monobenzathine salt II (R2 = 2-furanylcarbonylthio) with thiourea to form the desired thiazole moiety, and finally, formation of the target sodium salt using sodium 2-ethylhexanoate.

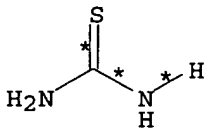
RX(2) OF 9 ...**D** + **L** ===> M



D: CM 1

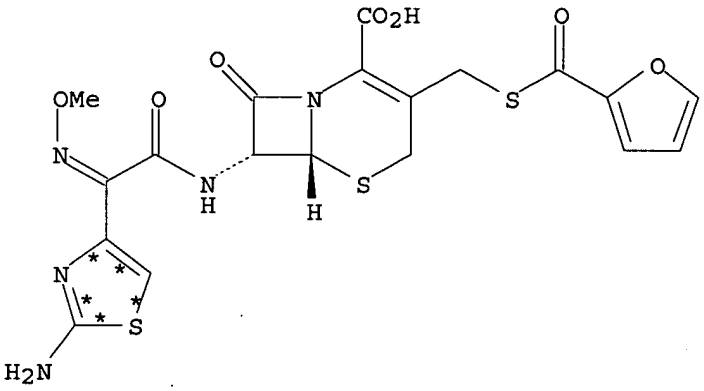


D: CM 2



L

(2)



● Na

M

RX(2) RCT D **852569-87-0**, L **62-56-6**

STAGE(1)
RGT N 121-44-8 Et3N
SOL 109-99-9 THF

STAGE(2)
RGT O 19766-89-3 Na 2-ethylhexanoate
SOL 109-99-9 THF
PRO M 104010-37-9

L41 ANSWER 3 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 142:336175 CASREACT

TI An improved process for the preparation of cefixime trihydrate
IN Sharma, Anil Kumar; Raj, Baldev; Sethi, Madhuresh Kumar; Das, Debashis
PA J K Drugs & Pharmaceuticals Ltd., India
SO Port. Pat. Appl., 27 pp.
CODEN: PTXXB9
DT Patent
LA Portuguese
FAN.CNT 1

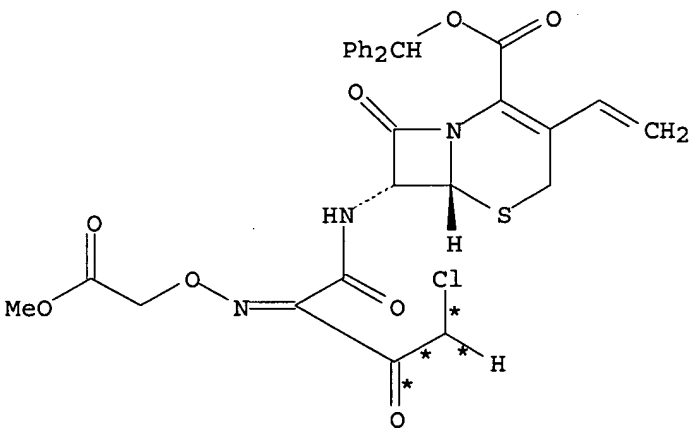
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	PT 102293	A	20000229	PT 1999-102293	19990426
	PT 102293	B	20010531		
	IN 185070	A	20001104	IN 1999-BO75	19990129

PRAI IN 1999-BO75 19990129
OS MARPAT 142:336175
GI

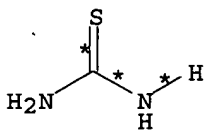
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB An improved process for the preparation of cefixime trihydrate (I·3H2O) comprises: (a) hydrolysis of the 3-acetoxymethyl group of 7-(substituted amino)cephalosporanic acid [II; R = H, CO(CH2)3CH(NH2)CO2H] with an alkali carbonate; (b) protective acylation of the 7-amino group with an organic acid chloride; (c) esterification of the 4-carboxy group; (d) bromination of the 3-hydroxymethyl group with PBr3; (e) Wittig reaction with HCHO in the presence of PPh3 to give a 3-vinyl compound III; (f) cleavage of the phenylacetyl group from the 7-amino group with the PPh3/Cl2/pyridine/IBA complex; (g) acylation of the resulting 7-amino group with 4-chloro-2-[{(methoxycarbonyl)methoxy}imino]-3-oxobutyric acid; (h) cyclization of the acylated cephem IV (R1 = CHPh2, CH2C6H4OMe) with thiourea to give protected I; and (i) removal of the protective group.

RX(7) OF 37 ...**AA** + **AC** ===> AD...



AA



AC

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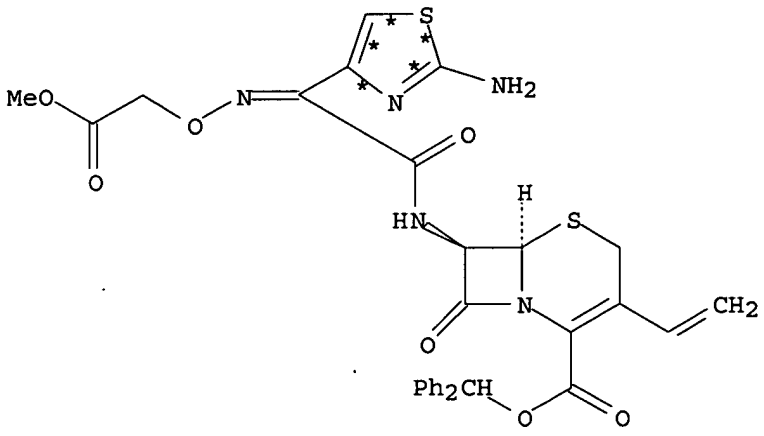


AD
YIELD 97%

RX(7) RCT AA **95759-11-8**

STAGE(1)
SOL 68-12-2 DMF

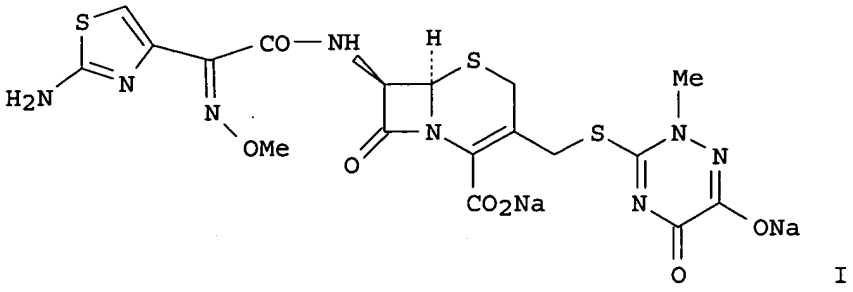
STAGE(2)
RCT AC **62-56-6**

STAGE(3)
SOL 7732-18-5 Water, 75-09-2 CH2Cl2
PRO AD 88621-02-7

L41 ANSWER 4 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 142:297919 CASREACT
TI Method for manufacture of ceftriaxone sodium
IN Datta, Debashish; Dantu, Muralikrishna; Sharma, Pollepeddi Lakshmi Narayana; Mishra, Brijkishore
PA India
SO U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005059820	A1	20050317	US 2003-671298	20030925
	US 2005059821	A1	20050317	US 2004-830806	20040421
PRAI	IN 2003-MU967		20030917		
	US 2003-671298		20030925		

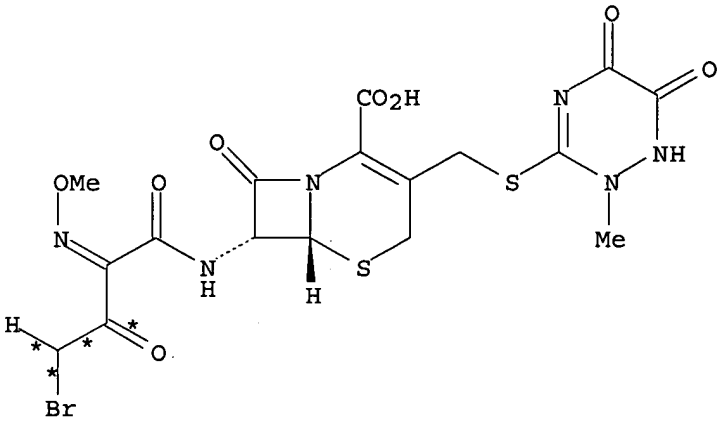
OS MARPAT 142:297919
GI



I

AB An improved process for preparation of ceftriaxone sodium of formula I is disclosed. The process is cost-effective with high yield, high purity and low color absorbance, using the right quality reactants, type of solvents, pH and conditions.

RX(3) OF 17 ...**E** + **H** ===> I



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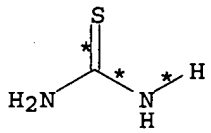


H

(3)

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

RX(3) RCT E **79232-67-0**, H **62-56-6**

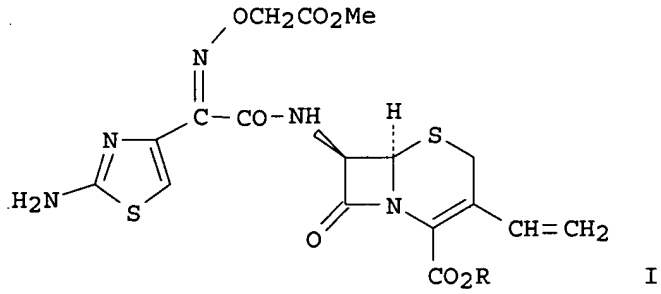
STAGE(1)
RGT J 144-55-8 NaHCO3
SOL 75-09-2 CH2Cl2, 7732-18-5 Water

STAGE(2)
RGT K 121-44-8 Et3N, L 19766-89-3 Na 2-ethylhexanoate
SOL 7732-18-5 Water, 67-64-1 Me2CO
PRO I 74578-69-1

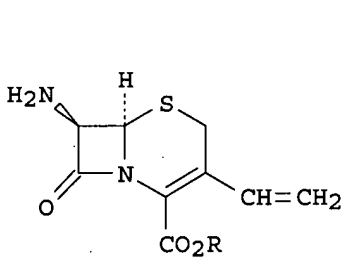
L41 ANSWER 5 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 142:56056 CASREACT
TI A process for preparing 7β-[(Z)-(2-aminothiazol-4-yl)-2-(methoxycarbonylmethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid esters for use in the preparation of cefixim
IN Sharma, Anul Kumar; Raj, Baldev; Sethi, Madhuresh Kumar; Das, Debashis
PA J K Drugs & Pharmaceuticals Ltd., India
SO Indian, 12 pp.
CODEN: INXXAP
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 185090	A	20001111	IN 1999-BO76	19990129
	PT 102294	B	20010531	PT 1999-102294	19990426
	PT 102294	A	20000229		
PRAI	IN 1999-BO76	19990129			

OS MARPAT 142:56056
GI



I



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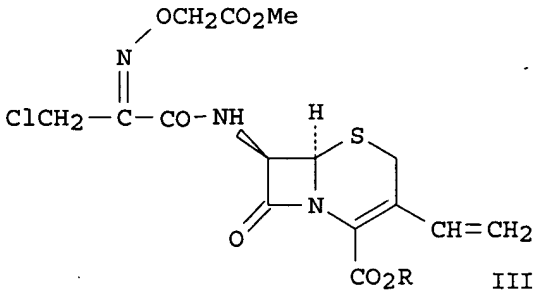
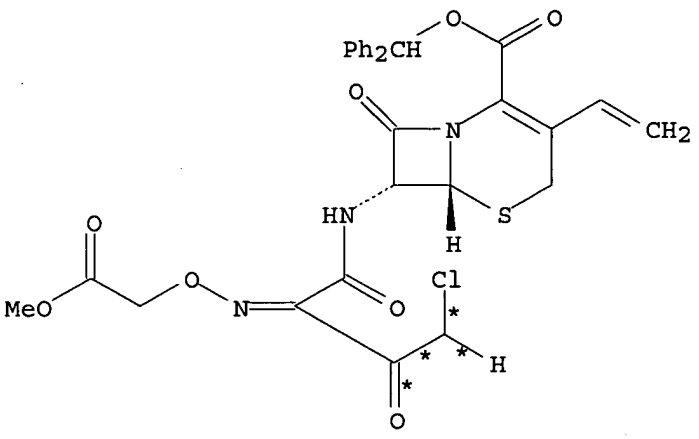


III

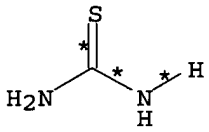
AB This invention provides a process for preparing 7-[(Z)-(2-aminothiazol-4-yl)-2-(methoxycarbonylmethoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid esters of formula I [R = CHPh2, CH2-C6H4-OMe] for use in the preparation of cefixim comprising:. Dissolving the compound of formula II in aliphatic halogenated hydrocarbons using an organic base as herein described in the ratio of 1: 1-10 mol. Preparing an vilsmeier reagent of ClCH2COC(NOCH2CO2Me)CO2H in aliphatic halogenated hydrocarbon using DMF and phosphorous oxychloride. Coupling the compound of formula II with the vilsmeier reagent at -10 to -60°C tor form a compound of formula III. Isolating the said compound of formula III in DM-H2O. Dissolving the compound of formula III in organic solvent as herein described at a room temperature and

thereafter adding thiourea and stirring the reaction mixture at 0-25°C. Extracting the reaction mass in a mixture of DM-H2O and aliphatic halogenated hydrocarbon in the ratio of 1-10: 10-1. Washing the aliphatic halogenated hydrocarbon layer with saturated alkali chloride solution and thereafter drying. Isolating the compound of formula I by concentrating the aliphatic halogenated hydrocarbon layer in vacuum.

RX(1) OF 3 ...**A** + **B** ===> C



A



B

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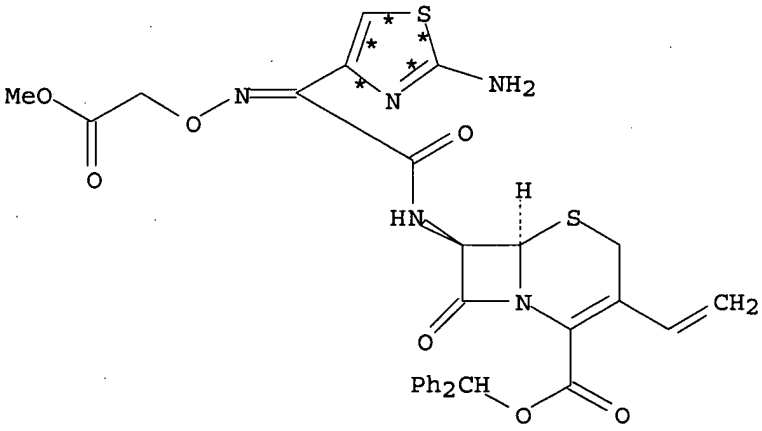


C
YIELD 97%

RX(1) RCT A **95759-11-8**, B **62-56-6**
PRO C 88621-02-7
SOL 68-12-2 DMF

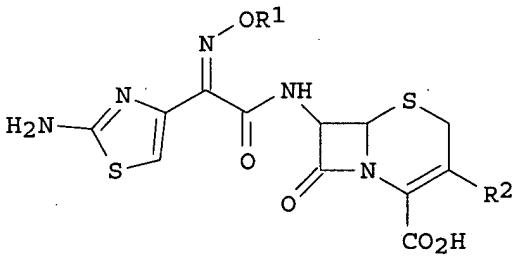
L41 ANSWER 6 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 141:295773 CASREACT

TI A process for the preparation of cephalosporins
IN Deshpande, Pandurang Balwant; Luthra, Parven Kumar; Kamma, Ramakrishna; Gedi, Sreedhar
PA Orchid Chemicals & Pharmaceuticals Ltd, India
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083216	A1	20040930	WO 2003-IB4942	20031105

PI
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

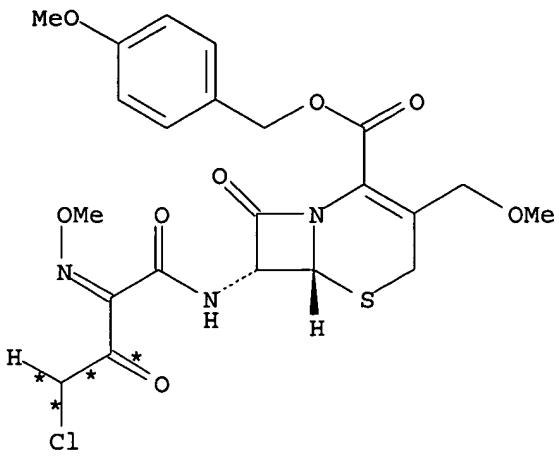
PRAI IN 2003-MA235 20030320
OS MARPAT 141:295773
GI



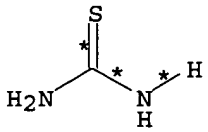
I

AB The present invention relates to a process for the preparation of cephalosporin antibiotics of formula I [R1 = H, trityl, Me, etc.; R2 = H, Me, CH2OMe, CH2OAc, CH=CH2, CH2OCONH2, etc.]. Thus, 7-amino-3-methoxymethyl-3-cephem-4-carboxylic acid was acylated with phenylacetyl chloride, then esterified with p-methoxybenzyl chloride and deacylated with PCl5. The product was reacted with 4-chloro-2(Z)-(methoxyimino)-3-oxo-butanoyl chloride, then cyclized with thiourea and deesterified to give cefpodoxime acid.

RX(3) OF 21 ...**G** + **I** ===> J...



G



I

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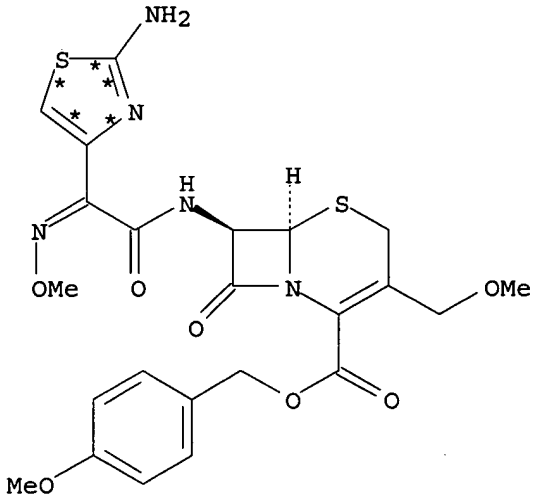


J
YIELD 90%

RX(3) RCT G **764661-11-2**, I **62-56-6**
RGT K 127-09-3 AcONa
PRO J 764661-12-3
SOL 109-99-9 THF, 7732-18-5 Water

RETABLE

Referenced Author (RAU)	Year (RPY)	VOL (RVL)	PG (RPG)	Referenced Work (RWK)	Referenced File
Chander, A	2002			WO 02083634 A	CAPLUS
Hichem Pharma S P A	1998			EP 0842937 A	CAPLUS
Kawabata, K	1986	34	3458	CHEMISTRY AND PHARMA	CAPLUS
La Roche, H	1981			EP 0030294 A	CAPLUS
Ludes	2000			WO 0063214 A	CAPLUS

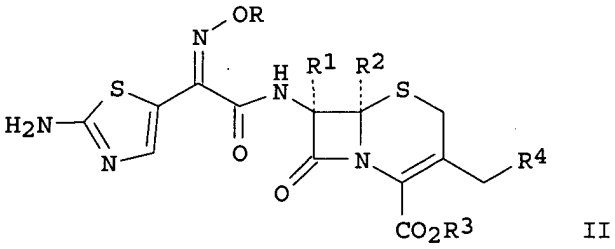
Takeda Chemical Industr	1979			DE 2900961 A	CAPLUS
Tsuji, K	1981	-		US 4294960 A	CAPLUS

L41 ANSWER 7 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 141:123514 CASREACT
TI Preparation of cephalosporins and their intermediates
IN Datta, Debashish; Dantu, Muralikrishna; Mishra, Brijkishore; Sharma, Pollepeddi Lakshmi Narayana
PA Lupin Limited, India
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004058695	A1	20040715	WO 2002-IN245	20021226

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI WO 2002-IN245 20021226
OS MARPAT 141:123514
GI

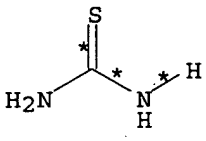


II

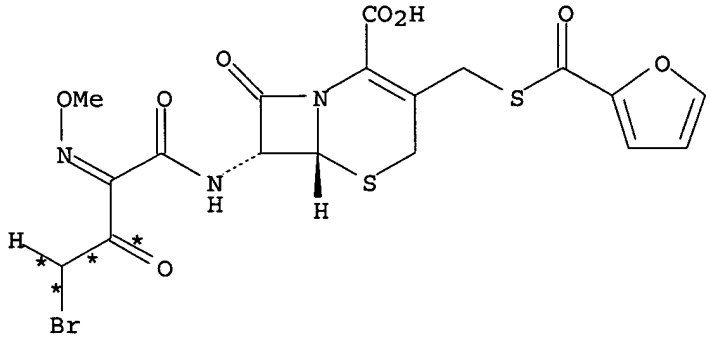
AB Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N,N-dimethyl formiminium chloride chlorosulfate derivs., such as XCH2COC(:NOR)COSO2OCH:NMe2Cl I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group, CH2COOR5, C(CH3)2COOR5, wherein R5 = H, an easily hydrolyzable ester group], were prepared as intermediates for their use in the preparation of cephalosporin antibiotics, such II [R1 = R; R1 = H, OMe; R2 = H; R3 = H, a neg. charge or together with the CO2- group to which R3 is attached = ester, alkali, alkaline earth metal; R4 = H, substituent useful in cephalosporin chemical]. The process of preparing I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7-aminocephalosporanic acid in CH2Cl2 containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino-3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be prepared from the

intermediate include cefdinir, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, cefteram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

RX(2) OF 24 ...**E** + **F** ===> G



E



F

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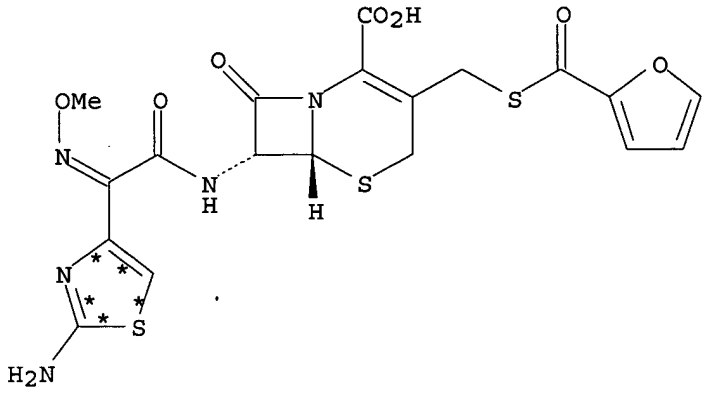

G

RX(2) RCT E **62-56-6**, F **401837-90-9**

STAGE(1)
SOL 75-09-2 CH2Cl2, 109-99-9 THF

STAGE(2)
RGT H 144-55-8 NaHCO3
SOL 7732-18-5 Water
PRO G 80370-57-6

L41 ANSWER 8 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 139:381301 CASREACT
TI Method for the preparation of ceftiofur sodium and its intermediates
IN Luthra, Praven Kumar; Sathe, Pratik Ramesh; Sundaravadivelan, Sivakumaran; Ganesh, Praveen Nagesh
PA Orchid Chemicals and Pharmaceuticals Limited, India; Deshpande, Pandurang Balwant
SO PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003093278	A2	20031113	WO 2002-IB3065	20020802
	WO 2003093278	A3	20040408		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003216567	A1	20031120	US 2002-207103	20020730
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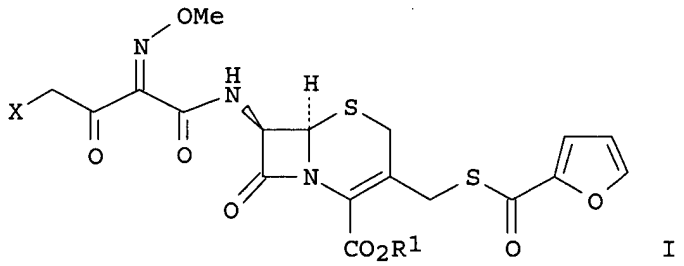
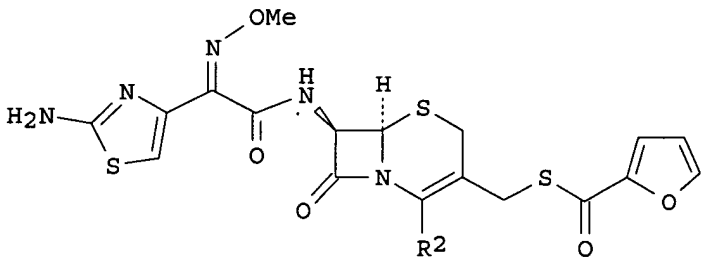
	US 2002-207103	20020730			
	WO 2002-IB3065	20020802			

OS MARPAT 139:381301
GI



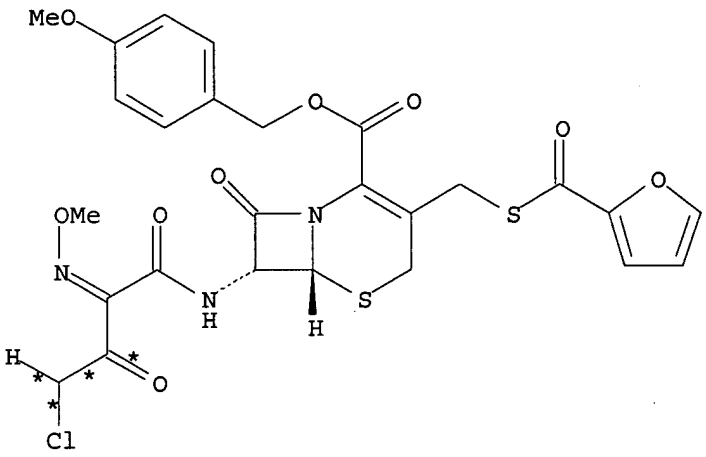
I



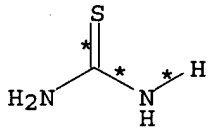
II

AB The present invention relates to a new method for the preparation of thioester, such as I [X = halo, Cl, Br; R1 = p-methoxybenzyl, p-nitrobenzyl, diphenylmethyl], and its use in the preparation of cephalosporanic antibiotics, such as II (R2 = carboxylate ion, CO2R3; R3 = H, counter ion), in excellent yields and purity. Thus, reaction between 7-phenylacetamido-3-chloromethyl-3-cephem-4-carboxylic acid p-methoxybenzyl ester and 2-furoyl chloride produces 7-phenylacetamido-3-[(fur-2-ylcarbonyl)thiomethyl]-3-cephem-4-carboxylic acid p-methoxybenzyl ester which was subsequently converted to 7-amino-3-[(fur-2-ylcarbonyl)thiomethyl]-3-cephem-4-carboxylic acid p-methoxybenzyl ester (III). III was silylated with N,O-bis-(trimethylsilyl)acetamide and reacted with PCl5-activated (Z)-4-bromo-2-methoxyimino-3-oxobutyric acid in methylene chloride at -30°C to give thioester I [X = Br; R = p-methoxybenzyl (IV)]. Thioester IV was then reacted with thiourea and 2-Et sodium hexanoate in THF to afford ceftiofur sodium (purity 99%).

RX(5) OF 14 ...**R** + **V** ===> W...

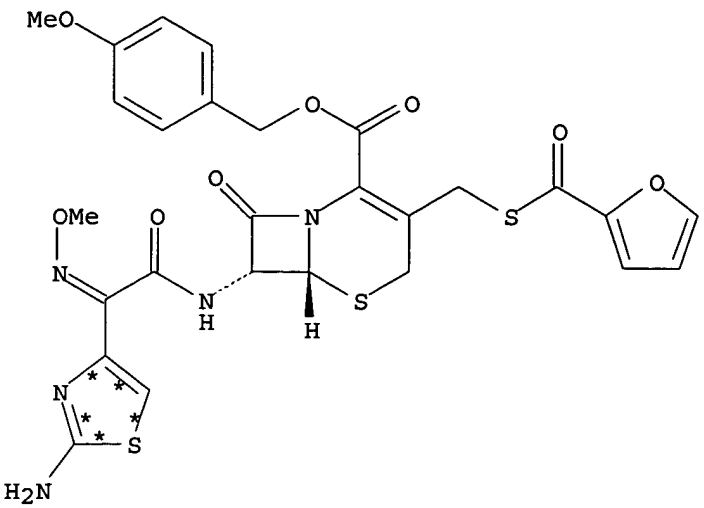


R



V

(5) →



W

RX(5) RCT R **623136-52-7**, V **62-56-6**
RGT X 127-09-3 AcONa
PRO W 623136-58-3
SOL 7732-18-5 Water, 109-99-9 THF

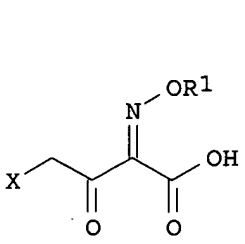
L41 ANSWER 9 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 139:323375 CASREACT
TI Process for the preparation of cephalosporin compounds using 4-halogeno-2-substituted imino-3-oxo-butyric acid derivs. as synthetic intermediates
IN Deshpande, Pandurang Balwant; Luthra, Parven Kumar; Sathe, Pratik Ramesh; Sundaravadivelan, Sivakumaran; Ganesh, Praveen Nagesh
PA Orchid Chemicals and Pharmaceuticals Limited, India
SO U.S. Pat. Appl. Publ., 9 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003199712	A1	20031023	US 2002-245490	20020918
US 6919449	B2	20050719		
WO 2003089406	A1	20031030	WO 2002-IB4119	20021008

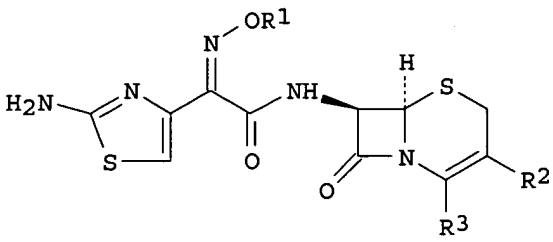
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI IN 2002-MA305 20020419
OS MARPAT 139:323375

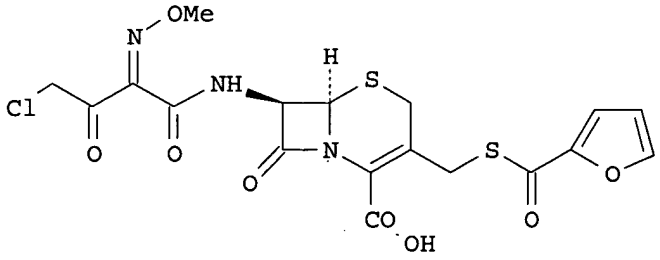
GI



I



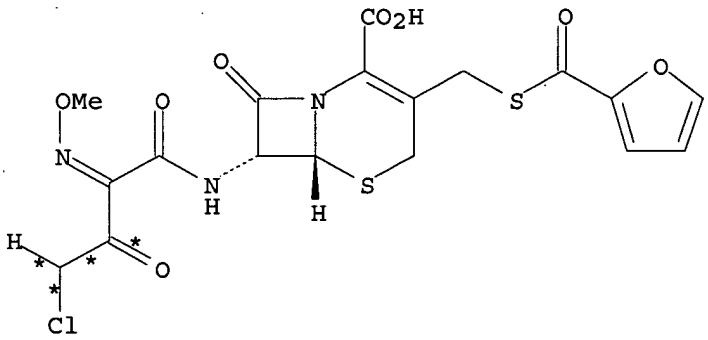
II



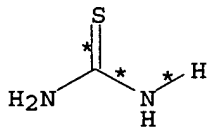
III

AB The present invention relates to a process for the preparation of 4-halogeno-2-substituted imino-3-oxo-butyric acid, such as I [R1 = Me, CRaRbCOORc; Ra, Rb = H, Me; Rc = H, alkyl; X = Cl, Br], and its use in the preparation of cephalosporanic antibiotics, such as II [R2 = H, Me, CH2OMe, CH2OAc, CH=CH2 etc.; R3 = carboxylate ion, CO2Rd; Rd = H, ester, counter ion], in excellent yields and purity. Thus, 7-amino-3-[(2-furanylcarbonyl)thiomethyl]-3-cephem-4-carboxylic acid was silylated with N,O-bis-(trimethylsilyl)acetamide and reacted with PCl5-activated (Z)-4-chloro-2-methoxyimino-3-oxobutyric acid in methylene chloride at -40°C to give thioester III. Thioester III was then reacted with thiourea and sodium acetate in THF to afford ceftiofur sodium (purity 99%).

RX(5) OF 33 ...**G** + **N** ===> O

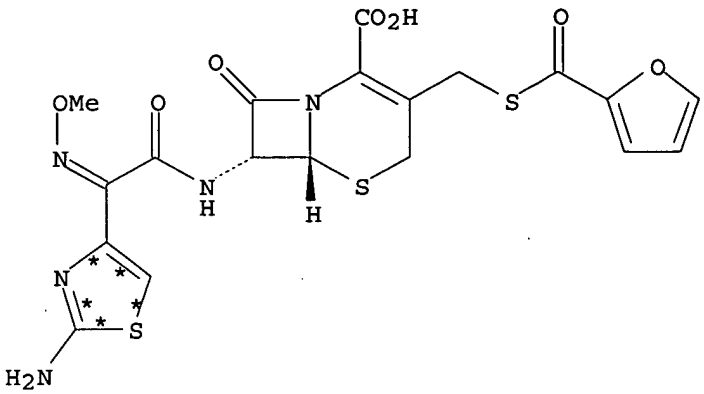


G



N

(5)



● Na

O

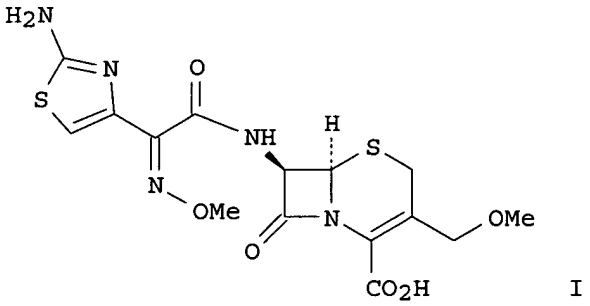
RX(5) RCT G **401837-95-4**, N **62-56-6**
RGT P 127-09-3 AcONa
PRO O 104010-37-9
SOL 109-99-9 THF, 7732-18-5 Water

L41 ANSWER 10 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 137:310752 CASREACT
TI Process for the preparation of cefpodoxime acid
IN Kumar, Yatendra; Tewari, Neera; Aryan, Ram Chander; Rai, Bishwa Prakash; Nizar, Hashim
PA Ranbaxy Laboratories Limited, India
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002083634	A2	20021024	WO 2002-IB1240	20020417
	WO 2002083634	A3	20031023		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

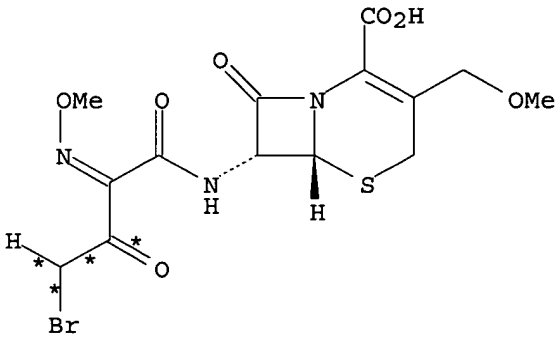
EP 1389187 A2 20040218 EP 2002-761946 20020417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
CN 1520418 A 20040811 CN 2002-810303 20020417
BR 2002008999 A 20050322 BR 2002-8999 20020417
JP 2005511480 T2 20050428 JP 2002-581391 20020417
US 2005020561 A1 20050127 US 2004-475276 20040407
PRAI IN 2001-DE493 20010417
WO 2002-IB1240 20020417
OS MARPAT 137:310752
GI



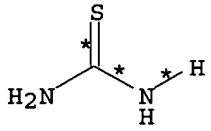
I

AB The present invention relates to an improved and cost effective process for the industrial preparation of cefpodoxime acid of formula I and a pharmaceutically acceptable ester thereof. Thus, 7-amino-3-methoxymethyl-3-cephem-4-carboxylic acid was reacted with 4-bromo-2-methoxyimino-3-oxobutyric acid, and the product reacted with thiourea and sodium acetate in water to give I. I was then reacted with 1-iodoethyl iso-Pr carbonate to give cefpodoxime proxetil.

RX(2) OF 6 ...**F** + **G** ===> A...

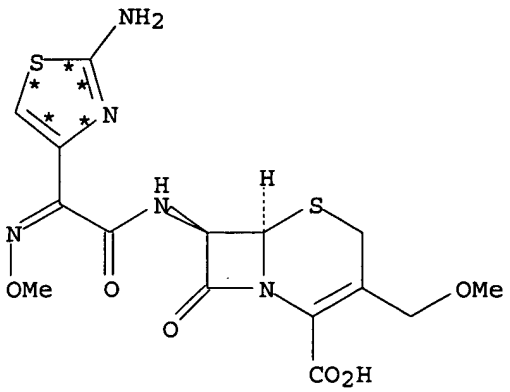


F



G

(2) ⟶



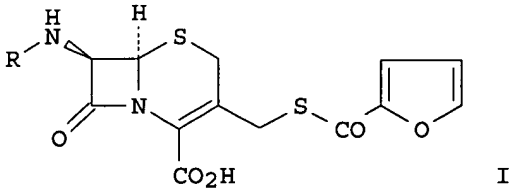
A

RX(2) RCT F **472960-85-3**, G **62-56-6**
RGT H 127-09-3 AcONa
PRO A 80210-62-4
SOL 7732-18-5 Water

L41 ANSWER 11 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 136:216591 CASREACT
TI Ceftiofur, its intermediate and a process for the preparation of the same
IN Dandala, Ramesh; Sunku, Venkataiah; Handa, Vijay Kumar; Sivakumaran, Meenakshisunderam
PA India
SO U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2002028931	A1	20020307	US 2001-902513	20010709
US 6458949	B2	20021001		
PRAI IN 2000-MA646		20000814		

OS MARPAT 136:216591
GI

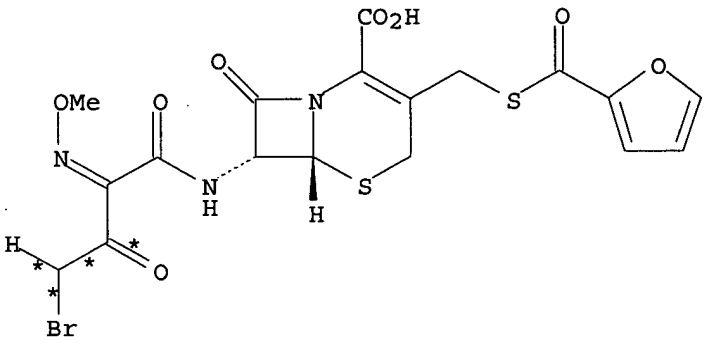


I

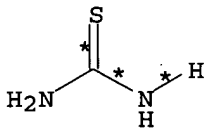
AB A novel process was presented for the preparation of ceftiofur, a cephalosporin antibiotic useful in the treatment of bovine respiratory disease. The process utilizes a new bromo or chloro intermediate that can be isolated in a pure form by this inventive process subsequently resulting in

ceftiofur of high purity. Thus, Furaca I (R = H) was silylated with Me3SiNHCOMe in CH2Cl2 followed by acylation in CH2Cl2 of the in situ formed silylated Furaca with the in situ formed acid chloride of BrCH2COC(:NOMe)CO2H to gave ceftiofur bromo intermediate I [R = COC(:NOMe)COCH2Br] in 65% yield. The bromo intermediate then underwent cyclocondensation with thiourea using sodium acetate in THF and the resulting acid, i.e. ceftiofur, was converted to ceftiofur sodium salt in 90% yield using sodium 2-ethylhexanoate. This invention offers a new-to-the-world route to ceftiofur using novel intermediates.

RX(3) OF 17 ...**F** + **G** ===> H...

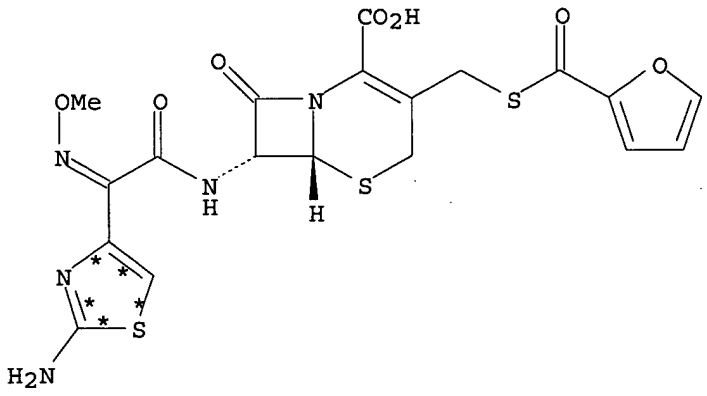


F



G

(3) ⟶



● Na

H

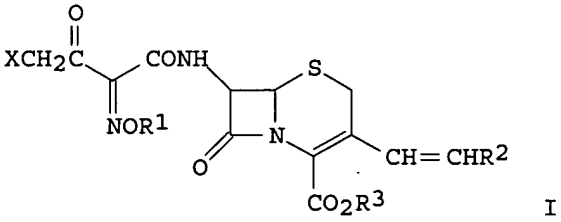
RX(3) RCT F **401837-90-9**, G **62-56-6**
RGT I 127-09-3 AcONa

PRO H 104010-37-9
SOL 7732-18-5 Water, 109-99-9 THF

L41 ANSWER 12 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 121:35182 CASREACT
TI Cephalosporin derivatives as intermediates for cephalosporin bactericides and preparation of said bactericides from said intermediates
IN Okada, Yumiko; Murai, Yasushi; Yoneda, Toshio; Iinuma, Katsuharu; Sato, Atsuyuki
PA Meiji Seika Co, Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 06041145	A2	19940215	JP 1992-193967	19920721
JP 2846186	B2	19990113		

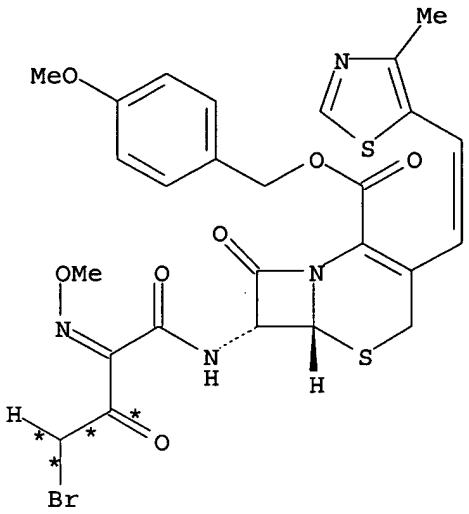
PRAI JP 1992-193967 19920721
OS MARPAT 121:35182
GI



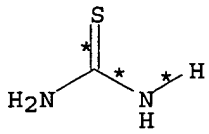
I

AB Cephalosporin compds. I [X = leaving group; R1 = alkyl; R2 = (alkyl-substituted) thiazolyl; R3 = H, carboxyl protecting group] are claimed as intermediates for cephalosporin bactericides. Reaction of I with thiourea gives (aminothiazolyl)(methoxyiminoacetamido)cephem derivs. Reaction of p-methoxybenzyl 7β-[(Z)-4-bromo-3-oxo-2-methoxyiminobutyrylamido]-3-[(Z)-2-(4-methylthiazol-5-yl)ethenyl]-3-cephem-4-carboxylate with thiourea in THF containing water gave 89% p-methoxybenzyl 7β-[(Z)-2-(2-amino-4-thiazolyl)-2-methoxyiminoacetamido]-3-[(Z)-2-(4-methylthiazol-5-yl)ethenyl]-3-cephem-4-carboxylate.

RX(1) OF 1 **A** + **B** ===> C

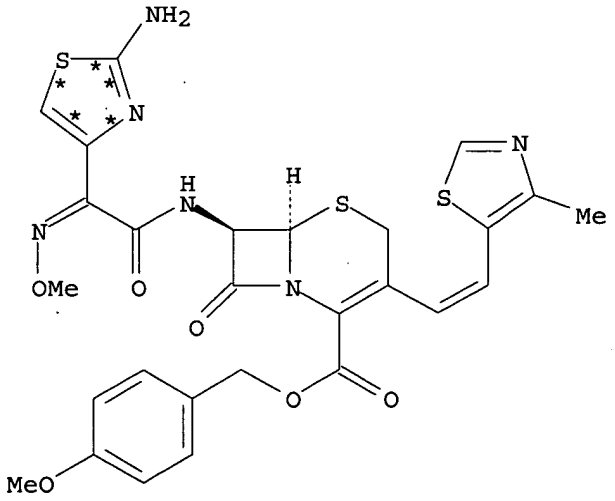


A



B

(1) →



C
YIELD 89%

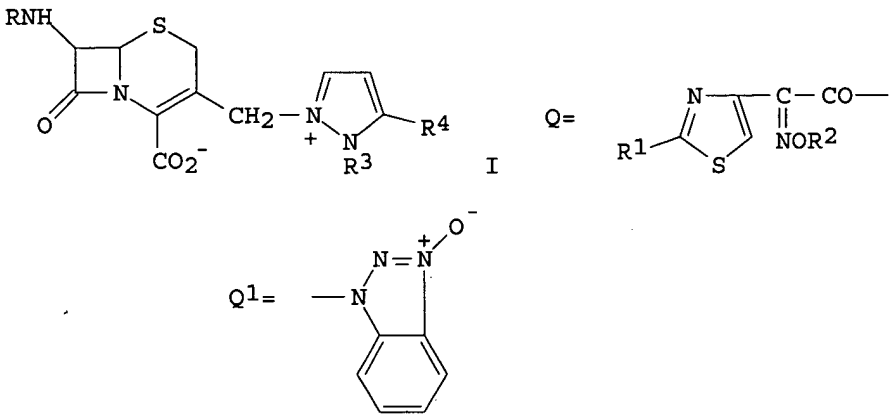
RX(1) RCT A **155723-04-9**, B **62-56-6**
PRO C 155723-03-8
SOL 109-99-9 THF, 7732-18-5 Water

L41 ANSWER 13 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 117:251136 CASREACT
TI Preparation of cephalosporin compounds as antibacterial agents
IN Sakane, Kazuo; Kawabata, Koji; Oki, Hidenori
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.

CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

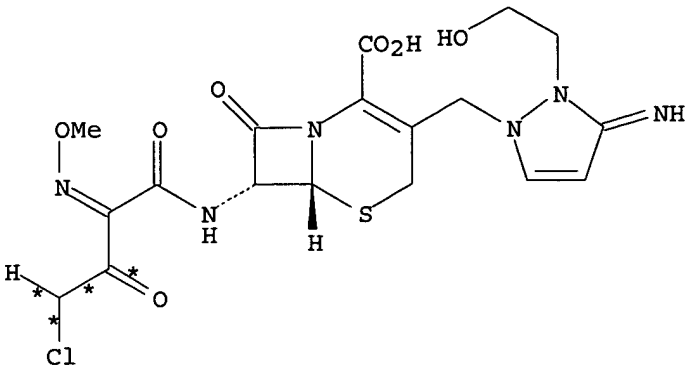
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04173792	A2	19920622	JP 1990-298567	19901102

PRAI JP 1990-298567 19901102
OS MARPAT 117:251136
GI

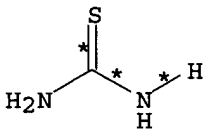


AB The title compds. [I; R = Q; R1, R4 = (un)protected H2N; R2 = alkyl; R3 = (un)protected hydroxyalkyl], useful as antibacterial agents (no data), are prepared by (1) cyclocondensation of I [R = XCH2COC(NOR2)CO; X = acid residue; R2-R4 = same as above] with R1CSNH2 (R1 = same as above) and (2) acylation of I (R = H; R3, R4 = same as above) with acylbenzotriazole N-oxide QQ1. The process gives I in high yield and is useful for preparation of radiolabeled I. Thus, a mixture of 0.34 mL DMF and 0.41 mL POCl3 was stirred 30 min and thereto 0.65 g (Z)-4-chloro-3-oxo-2-methoxyiminobutyric acid was added with stirring under ice-cooling to give an activated acid solution which was added to a solution of 1.5 g I.HCl.2H2O (R = H, R3 = HOCH2CH2, R4 = H2N) in DMF-THF under ice cooling to give, after stirring for 1.5 h, 2.18 g 7β-syn-I [R = ClCH2COC(NOMe)CO; R3, R4 = same above] (II). II (1.1 g) was added to a solution of 0.2 g thiourea and 0.18 g AcONa in H2O and the mixture was stirred for 6 h at room temperature while adjusting the pH to 5.6 with 1.4% ammonium hydroxide, then adjusted to pH 2 with 1N HCl, chromatographed on a Diaion HP-20 column, and treated with 2M H2SO4 to give 376 mg 7β-syn-I.H2SO4 (R = Q, R1 = H2N, R2 = Me, R3 = HOCH2CH2, R4 = H2N).

RX(1) OF 4 **A** + **B** ===> C

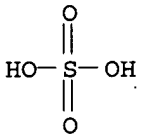


A

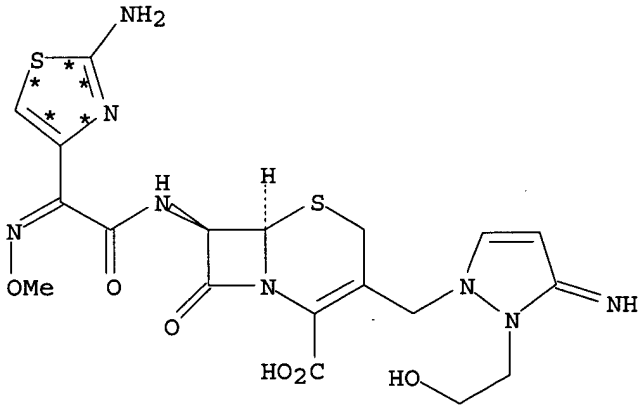


B

(1) →



C: CM 1



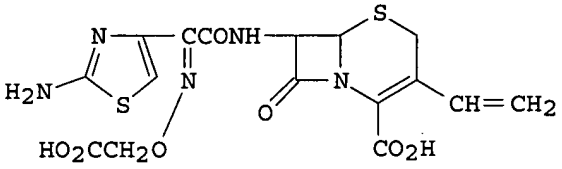
C: CM 2

RX(1) RCT A **144739-71-9**, B **62-56-6**

STAGE(1)
RGT D 127-09-3 AcONa, E 7664-41-7 NH3
SOL 7732-18-5 Water

STAGE(2)
RGT F 7664-93-9 H2SO4
SOL 7732-18-5 Water, 67-63-0 Me2CHOH
PRO C 122841-12-7
NTE room temp.

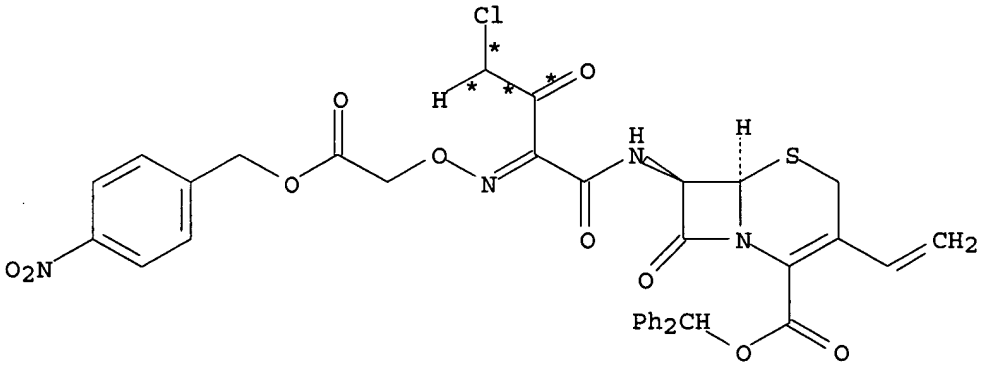
L41 ANSWER 14 OF 14 CASREACT COPYRIGHT 2005 ACS on STN
AN 107:39470 CASREACT
TI Studies on β-lactam antibiotics. XIV. Synthesis and biological activity of the (E)-isomer of FK027
AU Kawabata, Kohji; Miyai, Kenji; Takasugi, Hisashi; Takaya, Takao
CS Cent. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SO Chemical & Pharmaceutical Bulletin (1986), 34(8), 3458-64
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
GI



I

AB The (E)-isomer I of FK027 was synthesized by 2 methods. Both FK027 and I showed appreciable oral absorbability regardless of the configuration of the oxime. However, the bactericidal activity of I was much lower than that of FK027.

RX(7) OF 60 ...**R** + **N** ===> V...



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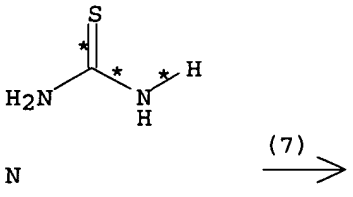
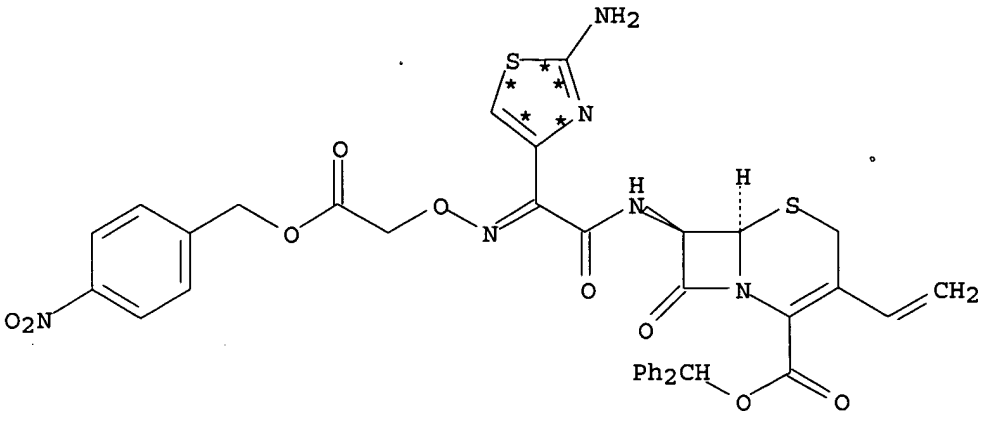


N

(7)



V
YIELD 75%

RX(7) RCT R **108908-50-5**, N **62-56-6**
PRO V 108908-51-6
SOL 127-19-5 AcNMe2

=>

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=> fil hcaplus
FILE 'HCAPLUS' ENTERED AT 11:51:08 ON 26 JUL 2005
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FILE COVERS 1907 - 26 Jul 2005  VOL 143 ISS 5
FILE LAST UPDATED: 25 Jul 2005  (20050725/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d all hitstr tot l33

L33  ANSWER 1 OF 2  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2005:238741  HCAPLUS
DN   142:297919
ED   Entered STN:  18 Mar 2005
TI   Method for manufacture of ceftriaxone sodium
IN   Datta, Debashish; Dantu, Muralikrishna; Sharma, Pollepeddi Lakshmi
     Narayana; Mishra, Brijkishore
PA   India
SO   U.S. Pat. Appl. Publ., 31 pp.
     CODEN: USXXCO
DT   Patent
LA   English
IC   ICM  C07D501-14
INCL 540227000
CC   26-5 (Biomolecules and Their Synthetic Analogs)
     Section cross-reference(s): 63
FAN.CNT 2
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    --------------------   --------
PI   US 2005059820        A1    20050317    US 2003-671298         20030925
     US 2005059821        A1    20050317    US 2004-830806         20040421
PRAI IN 2003-MU967        A     20030917
     US 2003-671298       A2    20030925
CLASS
 PATENT NO.       CLASS  PATENT FAMILY CLASSIFICATION CODES
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 US 2005059820    ICM    C07D501-14
                  INCL   540227000
 US 2005059820    NCL    540/227.000
 US 2005059821    NCL    540/227.000
OS   CASREACT 142:297919; MARPAT 142:297919
GI
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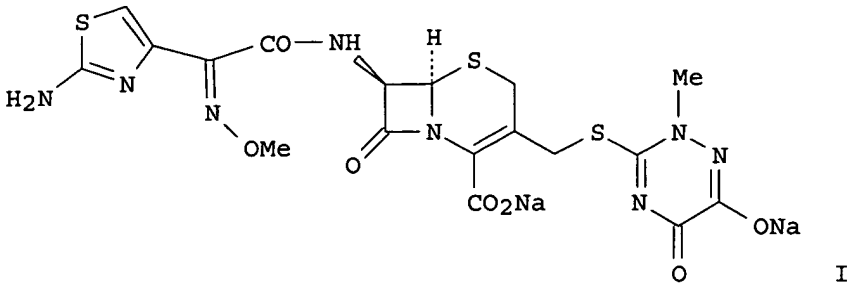
2 ref

2 & 3 of 3 SN S, lyd & plus Thiourea are is applicant



I

AB An improved process for preparation of ceftriaxone sodium of formula I is disclosed. The process is cost-effective with high yield, high purity and low color absorbance, using the right quality reactants, type of solvents, pH and conditions.

ST ceftriaxone sodium prepn

IT Bases, reactions
RL: RGT (Reagent); RACT (Reactant or reagent)
(inorg., alkali metal; preparation of ceftriaxone sodium)

IT Solvents
(organic, water-miscible; preparation of ceftriaxone sodium)

IT Drug delivery systems
Human
(preparation of ceftriaxone sodium)

IT 60-35-5, Acetamide, reactions 75-21-8, Ethylene oxide, reactions 75-56-9, Propylene oxide, reactions 106-89-8, Epichlorohydrin, reactions 471-34-1, Calcium carbonate, reactions 1305-78-8, Calcium oxide, reactions 7558-79-4, Disodium hydrogen phosphate 26249-20-7, Butylene oxide
RL: RGT (Reagent); RACT (Reactant or reagent)
(acid scavenging agent; preparation of ceftriaxone sodium)

IT 73384-59-5P, Ceftriaxone 77361-11-6P 79232-67-0P **847835-83-0P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ceftriaxone sodium)

IT 74578-69-1P, Ceftriaxone sodium
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of ceftriaxone sodium)

IT **62-56-6**, Thiourea, reactions 19766-89-3, Sodium 2-ethylhexanoate 58909-56-1 79232-66-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ceftriaxone sodium)

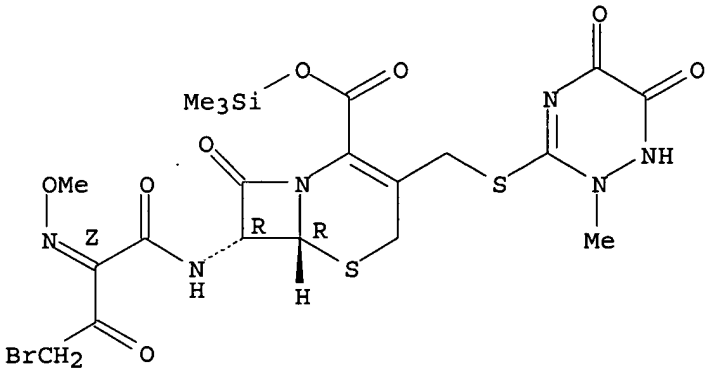
IT 847835-82-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ceftriaxone sodium)

IT 144-55-8, Sodium hydrogen carbonate, reactions 298-14-6 497-19-8, Sodium carbonate, reactions 554-13-2, Lithium carbonate 584-08-7, Potassium carbonate 1310-58-3, Potassium hydroxide, reactions 1310-65-2, Lithium hydroxide 1310-73-2, Sodium hydroxide, reactions 5006-97-3, Lithium hydrogen carbonate
RL: RGT (Reagent); RACT (Reactant or reagent)
(preparation of ceftriaxone sodium)

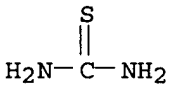
IT 75-05-8, Acetonitrile, uses 109-99-9, Tetrahydrofuran, uses
RL: NUU (Other use, unclassified); USES (Uses)
(solvent; preparation of ceftriaxone sodium)

IT **847835-83-0P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ceftriaxone sodium)
RN 847835-83-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[[(1,2,5,6-tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl)thio]methyl]-, trimethylsilyl ester, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, Thiourea, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of ceftriaxone sodium)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L33 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:75155 HCAPLUS
DN 110:75155
ED Entered STN: 04 Mar 1989
TI Process for preparing cephalosporin and penicillin derivatives
IN Curran, William Vincent; Babine, Robert; Lee, Ving Jick
PA American Cyanamid Co., USA
SO Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D501-18
ICS C07D499-78
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 10
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 257275	A2	19880302	EP 1987-110148	19870714

	EP 257275	A3	19900523		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4760140	A	19880726	US 1986-920399	19861020
	US 4800199	A	19890124	US 1986-920397	19861020
	US 4866169	A	19890912	US 1986-920398	19861020
	DK 8703902	A	19880129	DK 1987-3902	19870727
	FI 8703273	A	19880129	FI 1987-3273	19870727
	NO 8703126	A	19880129	NO 1987-3126	19870727
	AU 8776153	A1	19880204	AU 1987-76153	19870727
	ZA 8705511	A	19880330	ZA 1987-5511	19870727
	HU 46020	A2	19880928	HU 1987-3422	19870727
	JP 63099076	A2	19880430	JP 1987-186764	19870728
	US 4959495	A	19900925	US 1989-375108	19890630
	US 5066799	A	19911119	US 1990-546136	19900629
PRAI	US 1986-890000	A	19860728		
	US 1986-920397	A	19861020		
	US 1986-920398	A	19861020		
	US 1986-920399	A	19861020		
	US 1988-163599	B3	19880303		
	US 1989-375108	A3	19890630		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 257275	ICM	C07D501-18
	ICS	C07D499-78
US 4760140	NCL	540/228.000; 540/227.000; 540/229.000
US 4800199	NCL	514/202.000; 514/206.000; 540/222.000; 540/227.000; 540/228.000
US 4866169	NCL	540/226.000; 540/230.000; 540/310.000; 540/314.000
US 4959495	NCL	562/560.000; 540/227.000; 560/168.000
US 5066799	NCL	540/226.000; 540/227.000

OS CASREACT 110:75155; MARPAT 110:75155

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I and II [R = H, Ph2CH; R1 = H, C1-3 alkyl, vinyl, CH2OAc, etc.; Y = C(:S)NH2, C(:S)NHCO2CH2CCl3, C(:S)NHCO2CH2CHCl2, Q1, etc.; A = CH, N, etc.; R2 = C1-3 alkyl, Ph, CO2H, etc.], III [R3 = H, C1-3 alkyl, vinyl, Q2, etc.; R4 = C(:S)NH2, C(:S)NHCO2CH2CCl3, etc.; R5 = H, C1-6 alkyl], IV, etc., were prepared as antibiotics or intermediates therefore. Treatment of diphenylmethyl (6R-trans)-3-[(acetyloxy)methyl]-7-[(aminothioxomethyl)amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate with Et 3-bromo-2-oxopropanoate in MeCN containing K2CO3, followed by deprotection, gave (6R-trans)-3-[(acetyloxy)methyl]-7-[[4-ethoxycarbonyl)-2-thiazolyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (V). V in vitro exhibited a MIC of 1 μg/mL against Staphylococcus aureus LL Number 45.

ST cephalosporin deriv prepn antibiotic; penicillin deriv prepn antibiotic; antibiotic cephalosporin penicillin deriv

IT 1406-05-9DP, Penicillin, thiazolylamino derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 56539-09-4P, 2,2,2-Trichloroethoxycarbonylthiocyanate 82219-81-6P 109323-68-4P 111230-59-2P, 4-Chloro-2-(Z)-methoxyimino-3-oxobutanoic acid 117672-97-6P 117673-04-8P 117673-16-2P 117673-20-8P 117673-21-9P 117683-56-4P 117683-57-5P 117683-64-4P 117683-65-5P

117683-66-6P 117683-67-7P 117683-68-8P 117698-43-8P 118851-36-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of cephalosporin antibiotic)

IT 82219-78-1P 111230-59-2P 117672-97-6P 117672-98-7P
117672-99-8P 117673-00-4P 117673-01-5P 117673-02-6P
117673-03-7P 117673-04-8P 117673-05-9P 117673-06-0P 117673-07-1P
117673-08-2P 117673-09-3P 117673-10-6P 117673-11-7P 117673-12-8P
117673-13-9P 117673-14-0P 117673-15-1P 117673-16-2P 117673-17-3P
117673-18-4P 117673-19-5P 117673-20-8P 117673-21-9P 117673-22-0P
117673-23-1P 117683-55-3P 117683-56-4P 117683-62-2P 117683-63-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of cephalosporin antibiotics)

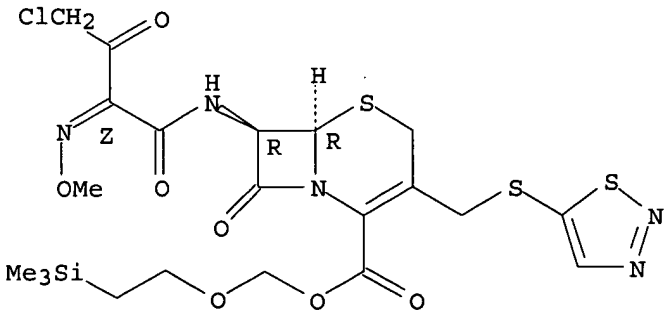
IT **62-56-6**, Thiourea, reactions 70-11-1, 2-Bromo-1-phenylethanone
70-23-5, Ethyl 3-bromo-2-oxopropanoate 463-71-8, Thiophosgene
631-61-8, Ammonium acetate 1188-33-6, N,N-Dimethylformamide diethyl
acetal 2950-43-8, Hydroxylamine O-sulfonic acid 16357-59-8
17341-93-4, Trichloroethoxy chloroformate 27266-61-1 34642-75-6
47547-28-4 56539-09-4 70380-12-0 70380-13-1 74530-56-6, tert-Butyl
4-chloro-3-oxobutanoate 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl
chloride 117672-98-7 **117672-99-8** 117673-01-5 117683-55-3
117683-57-5 117683-58-6 117683-59-7 117683-60-0 117683-61-1
117683-69-9, Trimethylsilyl ethyl 3-bromo-2-oxopropanoate
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cephalosporin antibiotic)

IT 11111-12-9, Cephalosporin
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiazolylaminocephem derivs.)

IT **117672-99-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of cephalosporin antibiotics)

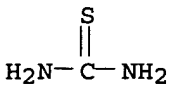
RN 117672-99-8 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,2,3-
thiadiazol-5-ylthio)methyl]-, [2-(trimethylsilyl)ethoxy]methyl ester,
[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



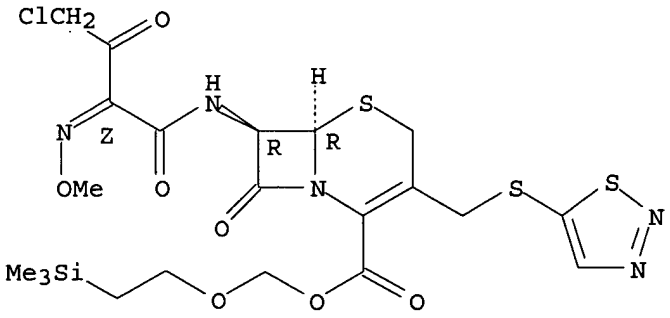
IT **62-56-6**, Thiourea, reactions **117672-99-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of cephalosporin antibiotic)

RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



RN 117672-99-8 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,2,3-thiadiazol-5-ylthio)methyl]-, [2-(trimethylsilyl)ethoxy]methyl ester, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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L44 ANSWER 15 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2005:160883 HCAPLUS
DN 142:261334
ED Entered STN: 25 Feb 2005
TI Process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative
IN Handa, Vijay Kumar; Kamat, Anand G.; Sivakumaran, Meenakshisunderam
PA India
SO U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DT Patent
LA English
IC ICM C07D501-14
INCL 540224000
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 45

#2 of 3 part B; Those not in CAS react

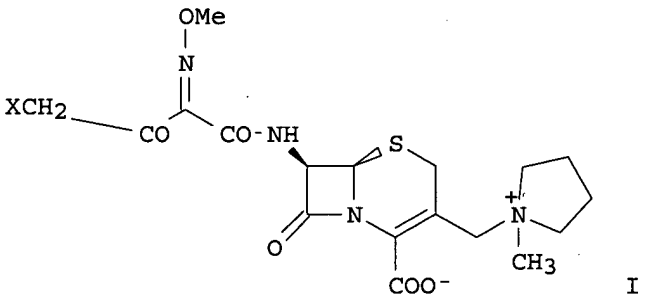
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043531	A1	20050224	US 2003-688606	20031017
PRAI IN 2003-CH669	A	20030821		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2005043531	ICM	C07D501-14
	INCL	540224000
US 2005043531	NCL	540/224.000

OS CASREACT 142:261334
GI



I

AB Cefepime, a cephalosporin antibiotic, is prepared in high yield and selectivity by the cyclocondensation of thiourea with bromo or chloro derivative I (X = Br, Cl) which is prepared by the amidation of 7-amino-3-[(1-methyl-1-pyrrolidinium)methyl]-3-cephem-4-carboxylate with a corresponding 4-halo-2-methoxyimino-3-oxobutyric acid halide. Thus, cefepime dihydrochloride monohydrate was prepared from 7-amino-3-[(1-methyl-1-pyrrolidinium)methyl]-3-cephem-4-carboxylate hydrochloride via silylation with Me3SiNHAc in CH2Cl2, acylation with freshly prepared 4-bromo-2-methoxyimino-3-oxobutyryl chloride in CH2Cl2 and cyclocondensation of intermediate I (X = Br) with H2NC(:S)NH2 in aqueous MeCOMe.

ST cefepime cephalosporin antibiotic prepn; aminocephemcarboxylate deriv amidation halomethoxyiminooxobutyric acid; oxobutyrylaminocephemcarboxylate deriv cyclocondensation thiourea

IT Antibiotics
(cephalosporins, cefepime; process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT Amidation
(in a process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT Cyclocondensation reaction
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT 115922-43-5P 686257-75-0P 780810-17-5P **846021-46-3P**
846021-47-4P 846021-48-5P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(in a process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT 13435-12-6, N-Trimethylsilylacetamide 75689-09-7 103012-30-2
103121-85-3 103296-32-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(in a process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT 88040-23-7P, Cefepime **846021-45-2P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT 123171-59-5P, Cefepime dihydrochloride monohydrate
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

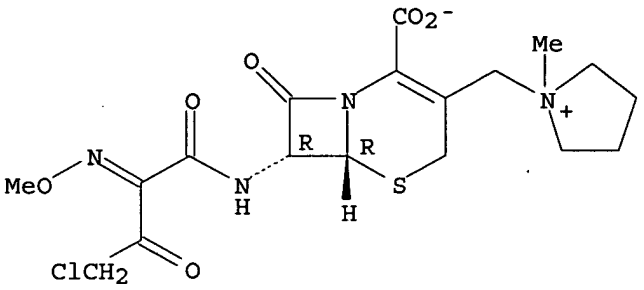
IT **62-56-6**, Thiourea, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

IT **846021-46-3P 846021-47-4P 846021-48-5P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(in a process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)

RN 846021-46-3 HCAPLUS

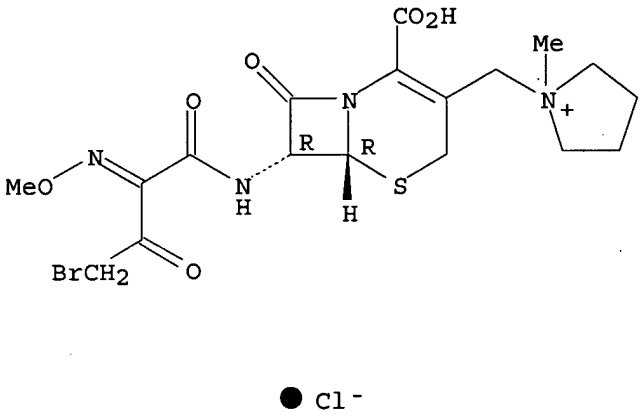
CN Pyrrolidinium, 1-[[(6R,7R)-2-carboxy-7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 846021-47-4 HCAPLUS
CN Pyrrolidinium, 1-[[(6R,7R)-7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

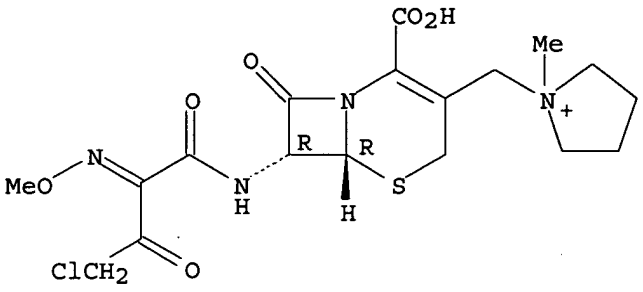
Absolute stereochemistry.
Double bond geometry unknown.



● Cl⁻

RN 846021-48-5 HCAPLUS
CN Pyrrolidinium, 1-[[(6R,7R)-2-carboxy-7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, chloride (9CI) (CA INDEX NAME)

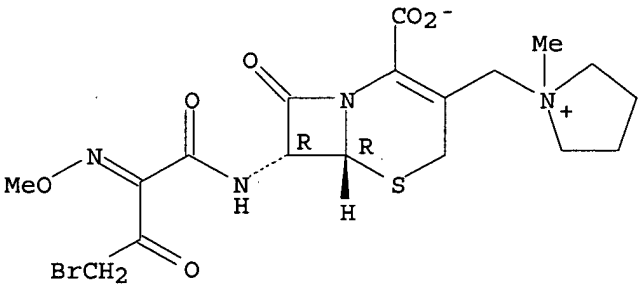
Absolute stereochemistry.
Double bond geometry unknown.



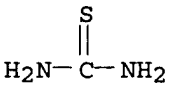
● Cl⁻

IT **846021-45-2P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)
RN 846021-45-2 HCAPLUS
CN Pyrrolidinium, 1-[[(6R,7R)-7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT **62-56-6**, Thiourea, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(process for preparing cefepime by the cyclocondensation reaction of thiourea with a brominated or chlorinated derivative)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 16 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:902392 HCAPLUS
DN 141:366239

ED Entered STN: 28 Oct 2004
TI A preparation of antibacterial 5-thia-1-azabicyclo[4.2.0]octane derivative (cefepime)
IN Ludescher, Johannes; Sturm, Hubert; Wolf, Siegfried
PA Sandoz A.-G., Switz.
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C07D501-06
ICS C07D501-44
CC 28-14 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 45
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004092183	A2	20041028	WO 2004-EP3988	20040415
	WO 2004092183	A3	20041209		

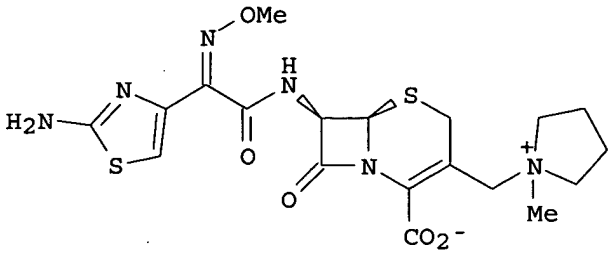
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI	AT 2003-584	A	20030416
	AT 2003-585	A	20030416
	AT 2003-586	A	20030416

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004092183	ICM	C07D501-06
	ICS	C07D501-44
WO 2004092183	ECLA	C07D501/00

OS MARPAT 141:366239
GI



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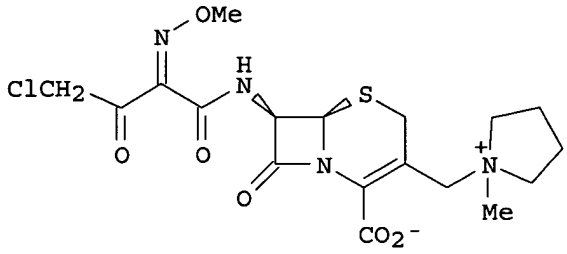


II

AB The invention relates to a preparation of 5-thia-1-azabicyclo[4.2.0]octane derivative I (cefepime), useful as antibacterial agent (no biol. data). For instance, 5-thia-1-azabicyclo[4.2.0]octane derivative (I•2HCl) was prepared via heterocyclization of chloro(methoxyimino)oxobutyric acid derivative II•HCl and thiourea (example 3, 99.6% of purity).

ST thia aza bicyclooctane cefepime prep manuf; chloro methoxyimino oxo butyric acid thiourea heterocyclization

IT Heterocyclization
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

IT 780810-19-7P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

IT **780810-16-4P 780810-18-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

IT 88040-23-7P, Cefepime 780810-21-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

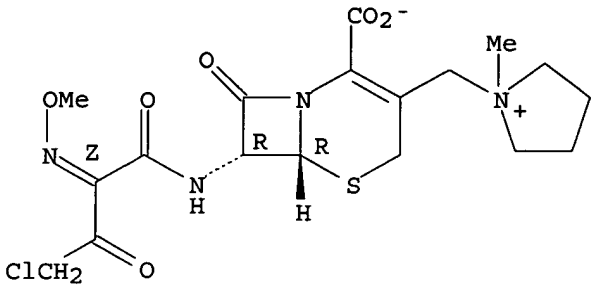
IT **62-56-6**, Thiourea, reactions 120-94-5, N-Methylpyrrolidine 63527-52-6 80756-85-0 103121-85-3 103296-32-8 780810-17-5 780810-20-0 780810-22-2
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

IT **780810-16-4P 780810-18-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)

RN 780810-16-4 HCAPLUS

CN Pyrrolidinium, 1-[[(6R,7R)-2-carboxy-7-[[(2Z)-4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt, monohydrochloride (9CI) (CA INDEX NAME)

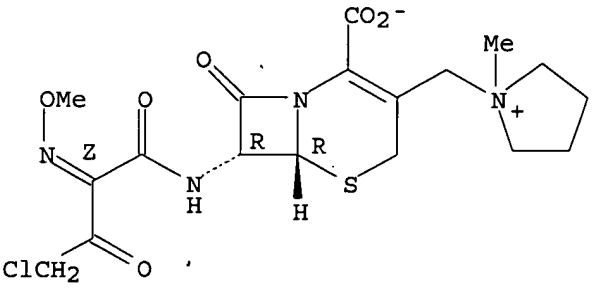
Absolute stereochemistry.
Double bond geometry as shown.



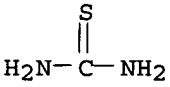
● HCl

RN 780810-18-6 HCAPLUS
CN Pyrrolidinium, 1-[[(6R,7R)-2-carboxy-7-[[(2Z)-4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-1-methyl-, inner salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, Thiourea, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(preparation of antibacterial cefepime from thiaazabicyclo[4.2.0]octane derivs. and thiourea)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 17 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:344365 HCAPLUS

DN 129:27811
ED Entered STN: 10 Jun 1998
TI Process for the preparation of the cephalosporin derivatives cefotaxime and ceftriaxone
IN Monguzzi, Riccardo; Menapace, Silvano; Anzaghi, Piergiorgio
PA Hichem Pharma S.p.A., Italy; S.B.D. S.r.l.
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D501-06
ICS C07D501-34; C07D501-36
CC 25-5 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 842937	A2	19980520	EP 1997-120169	19971118
EP 842937	A3	19980722		

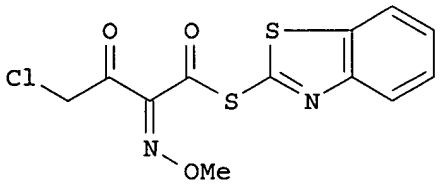
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRAI IT 1996-MI2406 A 19961119

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 842937	ICM	C07D501-06
	ICS	C07D501-34; C07D501-36
EP 842937	ECLA	C07D501/00

OS CASREACT 129:27811; MARPAT 129:27811
GI

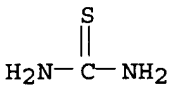


I

AB The preparation of cefotaxime and ceftriaxone comprises reacting 7-aminocephalosporanic acid (7-ACA) or 7-amino-3-[(2,5-dihydro-6-hydroxy-2-methyl-5-oxo-as-triazin-3-yl)thiomethyl]-3-cephem-4-carboxylic acid (7-ACT) with suitably activated 4-chloro-2-methoxyimino-3-oxobutyric acid, and subsequently cyclizing the intermediate chloromethoxyimino oxobutyramide with thiourea. Thus, 4-chloro-2-methoxyimino-3-oxobutyric acid (are prepared) in THF containing Et3N and triphenylphosphine was reacted with dithio-bis-benzothiazole and the product (I) (un-isolated) was treated with 7-ACA in water-EtOAc to give cefotaxime.

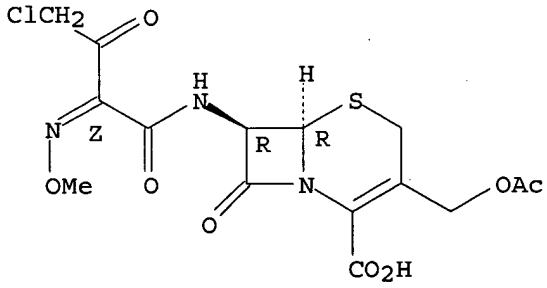
ST cefotaxime prepn; ceftriaxone prepn
IT 63527-52-6P, Cefotaxime
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of cephalosporin derivs. cefotaxime and ceftriaxone)
IT 73384-59-5P, Ceftriaxone
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(process for preparation of cephalosporin derivs. cefotaxime and

ceftriaxone)
IT **62-56-6**, Thiourea, reactions 77-78-1, Dimethyl sulfate 120-78-5 957-68-6, 7-ACA 14352-65-9 16029-98-4, Trimethylsilyl iodide 207979-56-4
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(process for preparation of cephalosporin derivs. cefotaxime and ceftriaxone)
IT 79232-65-8P **87303-79-5P** 98382-99-1P 111230-59-2P 148416-80-2P 207979-55-3P 207979-57-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(process for preparation of cephalosporin derivs. cefotaxime and ceftriaxone)
IT **62-56-6**, Thiourea, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(process for preparation of cephalosporin derivs. cefotaxime and ceftriaxone)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



IT **87303-79-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(process for preparation of cephalosporin derivs. cefotaxime and ceftriaxone)
RN 87303-79-5 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[(2Z)-4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 18 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:75155 HCAPLUS
DN 110:75155
ED Entered STN: 04 Mar 1989
TI Process for preparing cephalosporin and penicillin derivatives
IN Curran, William Vincent; Babine, Robert; Lee, Ving Jick
PA American Cyanamid Co., USA
SO Eur. Pat. Appl., 60 pp.

CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D501-18
ICS C07D499-78
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 10
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 257275	A2	19880302	EP 1987-110148	19870714
	EP 257275	A3	19900523		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
	US 4760140	A	19880726	US 1986-920399	19861020
	US 4800199	A	19890124	US 1986-920397	19861020
	US 4866169	A	19890912	US 1986-920398	19861020
	DK 8703902	A	19880129	DK 1987-3902	19870727
	FI 8703273	A	19880129	FI 1987-3273	19870727
	NO 8703126	A	19880129	NO 1987-3126	19870727
	AU 8776153	A1	19880204	AU 1987-76153	19870727
	ZA 8705511	A	19880330	ZA 1987-5511	19870727
	HU 46020	A2	19880928	HU 1987-3422	19870727
	JP 63099076	A2	19880430	JP 1987-186764	19870728
	US 4959495	A	19900925	US 1989-375108	19890630
	US 5066799	A	19911119	US 1990-546136	19900629
PRAI	US 1986-890000	A	19860728		
	US 1986-920397	A	19861020		
	US 1986-920398	A	19861020		
	US 1986-920399	A	19861020		
	US 1988-163599	B3	19880303		
	US 1989-375108	A3	19890630		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 257275	ICM	C07D501-18
	ICS	C07D499-78
US 4760140	NCL	540/228.000; 540/227.000; 540/229.000
US 4800199	NCL	514/202.000; 514/206.000; 540/222.000; 540/227.000; 540/228.000
US 4866169	NCL	540/226.000; 540/230.000; 540/310.000; 540/314.000
US 4959495	NCL	562/560.000; 540/227.000; 560/168.000
US 5066799	NCL	540/226.000; 540/227.000

OS CASREACT 110:75155; MARPAT 110:75155
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I and II [R = H, Ph2CH; R1 = H, C1-3 alkyl, vinyl, CH2OAc, etc.; Y = C(:S)NH2, C(:S)NHCO2CH2CCl3, C(:S)NHCO2CH2CHCl2, Q1, etc.; A = CH, N, etc.; R2 = C1-3 alkyl, Ph, CO2H, etc.], III [R3 = H, C1-3 alkyl, vinyl, Q2, etc.; R4 = C(:S)NH2, C(:S)NHCO2CH2CCl3, etc.; R5 = H, C1-6 alkyl], IV, etc., were prepared as antibiotics or intermediates therefore. Treatment of diphenylmethyl (6R-trans)-3-[(acetyloxy)methyl]-7-[(aminothioxomethyl)amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate with Et 3-bromo-2-oxopropanoate in MeCN containing K2CO3, followed by deprotection, gave (6R-trans)-3-[(acetyloxy)methyl]-7-[[4-ethoxycarbonyl)-2-thiazolyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-

ene-2-carboxylic acid (V). V in vitro exhibited a MIC of 1 μg/mL against Staphylococcus aureus LL Number 45.

ST cephalosporin deriv prepn antibiotic; penicillin deriv prepn antibiotic; antibiotic cephalosporin penicillin deriv

IT 1406-05-9DP, Penicillin, thiazolylamino derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 56539-09-4P, 2,2,2-Trichloroethoxycarbonylthiocyanate 82219-81-6P 109323-68-4P 111230-59-2P, 4-Chloro-2-(Z)-methoxyimino-3-oxobutanoic acid 117672-97-6P 117673-04-8P 117673-16-2P 117673-20-8P 117673-21-9P 117683-56-4P 117683-57-5P 117683-64-4P 117683-65-5P 117683-66-6P 117683-67-7P 117683-68-8P 117698-43-8P 118851-36-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of cephalosporin antibiotic)

IT 82219-78-1P 111230-59-2P 117672-97-6P 117672-98-7P 117672-99-8P 117673-00-4P 117673-01-5P 117673-02-6P 117673-03-7P 117673-04-8P 117673-05-9P 117673-06-0P 117673-07-1P 117673-08-2P 117673-09-3P 117673-10-6P 117673-11-7P 117673-12-8P 117673-13-9P 117673-14-0P 117673-15-1P 117673-16-2P 117673-17-3P 117673-18-4P 117673-19-5P 117673-20-8P 117673-21-9P 117673-22-0P 117673-23-1P 117683-55-3P 117683-56-4P 117683-62-2P 117683-63-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of cephalosporin antibiotics)

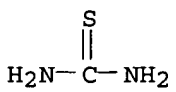
IT **62-56-6**, Thiourea, reactions 70-11-1, 2-Bromo-1-phenylethanone 70-23-5, Ethyl 3-bromo-2-oxopropanoate 463-71-8, Thiophosgene 631-61-8, Ammonium acetate 1188-33-6, N,N-Dimethylformamide diethyl acetal 2950-43-8, Hydroxylamine O-sulfonic acid 16357-59-8 17341-93-4, Trichloroethoxy chloroformate 27266-61-1 34642-75-6 47547-28-4 56539-09-4 70380-12-0 70380-13-1 74530-56-6, tert-Butyl 4-chloro-3-oxobutanoate 76513-69-4, 2-(Trimethylsilyl)ethoxymethyl chloride **117672-98-7** 117672-99-8 117673-01-5 117683-55-3 117683-57-5 117683-58-6 117683-59-7 117683-60-0 117683-61-1 117683-69-9, Trimethylsilyl ethyl 3-bromo-2-oxopropanoate
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, in preparation of cephalosporin antibiotic)

IT 11111-12-9, Cephalosporin
RL: RCT (Reactant); RACT (Reactant or reagent)
(thiazolylaminocephem derivs.)

IT **62-56-6**, Thiourea, reactions **117672-98-7**
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, in preparation of cephalosporin antibiotic)

RN 62-56-6 HCAPLUS

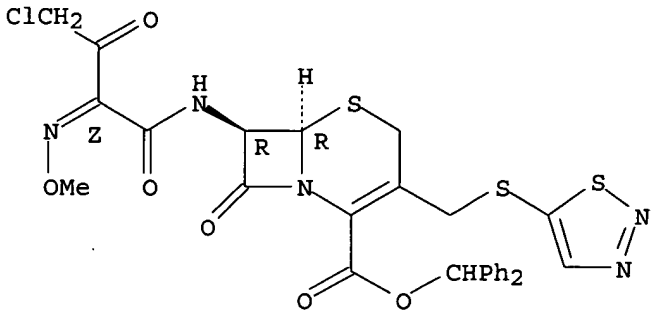
CN Thiourea (9CI) (CA INDEX NAME)



RN 117672-98-7 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,2,3-thiadiazol-5-ylthio)methyl]-, diphenylmethyl ester, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



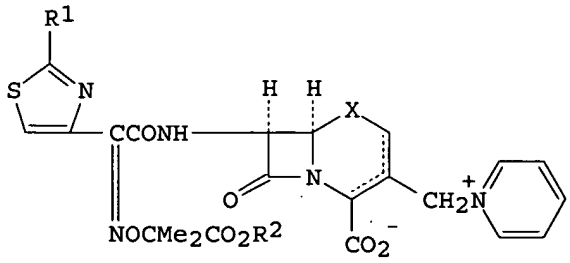
L44 ANSWER 19 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:207046 HCAPLUS
DN 104:207046
ED Entered STN: 14 Jun 1986
TI Cephalosporin compounds
IN Looker, Brian Edgar
PA Glaxo Group Ltd., UK
SO Eur. Pat. Appl., 21 pp.
CODEN: EPXXDW
DT Patent
LA English
IC ICM C07D501-46
ICA C07C131-00
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 160563	A2	19851106	EP 1985-303030	19850429
	EP 160563	A3	19861126		
	R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
	DK 8501903	A	19851031	DK 1985-1903	19850429
	FI 8501687	A	19851031	FI 1985-1687	19850429
	FI 89924	B	19930831		
	FI 89924	C	19931210		
	ES 542674	A1	19870601	ES 1985-542674	19850429
	JP 61017587	A2	19860125	JP 1985-91305	19850430
	JP 06099441	B4	19941207		
PRAI	GB 1984-10991	A	19840430		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 160563	ICM	C07D501-46
	ICA	C07C131-00

GI



I

AB Title compds. I [R1 = (un)protected NH2; R2 = H, carboxy blocking group; Z = S, SO], their esters, or salts were prepared Thus, ceftazidime (I, R = NH2, R2 = H) was prepared by cyclocondensation of (6R,7R)-7-[4-bromo-2-[2-(4-nitrobenzyloxycarbonyl)-2-methylpropionyloxyyimino]-3-oxobutyramido]-3-(1-pyridiniomethyl)cephem-4-carboxylate with thiourea followed by deprotection.

ST ceftazidime prepn antibiotic

IT Antibiotics
(ceftazidime, preparation of)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with bromooxylbutyryl cephem)

IT 102246-46-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bromination)

IT **102246-48-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclocondensation of, with thiourea)

IT 72558-82-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 102246-47-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, chlorination, and amidation of)

IT 14352-65-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromoisobutyrate)

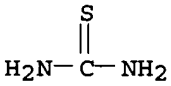
IT 84208-33-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxyiminooxybutyrate)

IT 3432-88-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with bromooxylbutyryl cephem)

RN 62-56-6 HCAPLUS

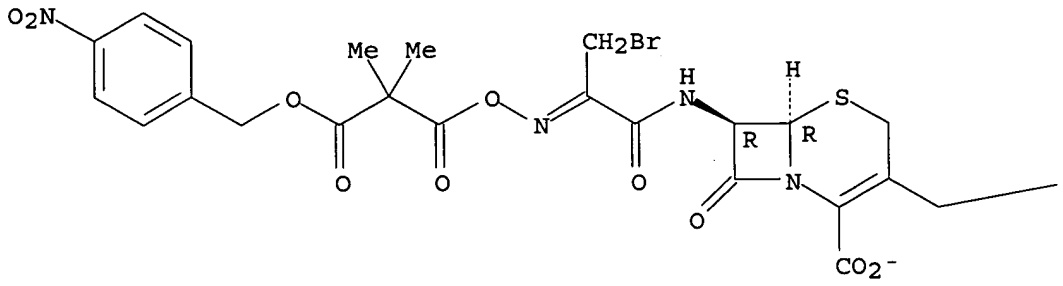
CN Thiourea (9CI) (CA INDEX NAME)



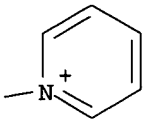
IT **102246-48-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and cyclocondensation of, with thiourea)
RN 102246-48-0 HCAPLUS
CN Pyridinium, 1-[[7-[[3-bromo-2-[[2,2-dimethyl-3-[(4-nitrophenyl)methoxy]-1,3-dioxopropoxy]imino]-1-oxopropyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]-, inner salt, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B



L44 ANSWER 20 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1984:68077 HCAPLUS
DN 100:68077
ED Entered STN: 12 May 1984
TI 7-Acylamino-3-vinylcephalosporanic acid derivatives
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 20 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC C07D501-22
ICA A61K031-545
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
FAN.CNT 9

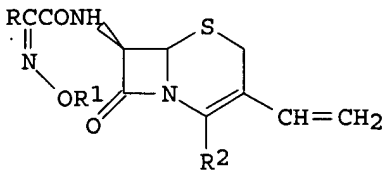
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58135894	A2	19830812	JP 1983-9235	19830121
	JP 05001271	B4	19930107		
	US 4487927	A	19841211	US 1982-341621	19820122

PRAI US 1982-341621 A 19820122
GB 1979-39985 A 19791119
GB 1980-4335 A 19800208
GB 1980-12991 A 19800421
GB 1980-22920 A 19800714
US 1980-205334 A2 19801110
US 1981-261618 A2 19810507

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 58135894	IC	C07D501-22
	ICA	A61K031-545
US 4487927	NCL	540/222.000; 540/020.000; 540/215.000; 540/229.000

GI



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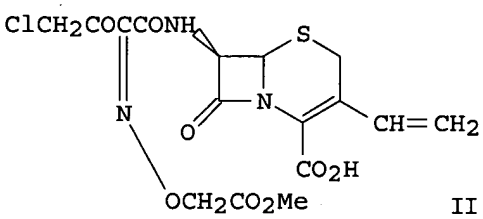


II

AB Nine cephalosporanic acid derivs. (I; R = aminothiazolyl; R1 = carboxyalkyl, protected carboxyalkyl; R2 = HO2C, protected HO2C) as the syn isomers were prepared I were effective bactericides at 50-2000 mg/day. Thus, 0.683 g (H2N)2CS and 1.84 g NaOAc were added to a suspension of 2.0 g syn-II in H2O at 40° and stirred 1.5 h to give 1.9 g syn-I (R = 2-aminothiazol-4-yl, R1 = MeO2CCH2; R2 = HO2C).

ST cephalosporanic acid acylamino vinyl bactericide; acylaminovinylcephalosporanic acid bactericide; thiazolylacetamidocephalosporanic acid bactericide

IT Bactericides, Disinfectants, and Antiseptics
(acylaminovinylcephalosporanic acid derivs.)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with (chlorooxobutyroamido)cephalosporanic acid derivative)

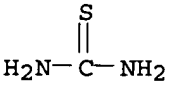
IT **88621-00-5**
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with thiourea)

IT 79350-37-1P 86027-33-0P 88621-01-6P 88621-02-7P 88621-03-8P 88621-04-9P 88621-05-0P 88621-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with (chlorooxobutyroamido)cephalosporanic acid derivative)

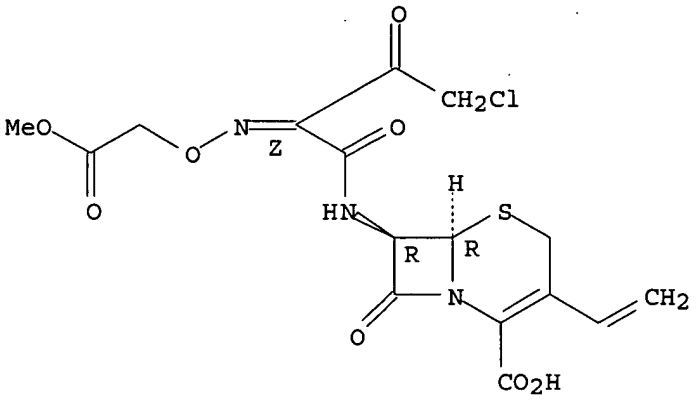
RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



IT **88621-00-5**
RL: RCT (Reactant); **RACT·(Reactant or reagent)**
(cyclocondensation of, with thiourea)
RN 88621-00-5 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[(2-methoxy-2-oxoethoxy)imino]-1,3-dioxobutyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 21 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:470461 HCAPLUS
DN 99:70461
ED Entered STN: 12 May 1984
TI Cephalosporin derivatives pharmaceutical compositions containing them and their intermediates
IN Montavon, Marc; Reiner, Roland
PA Hoffmann-La Roche, F., und Co. A.-G., Switz.
SO Eur. Pat. Appl., 48 pp.
CODEN: EPXXDW
DT Patent
LA German
IC C07D501-36; C07D498-04; A61K031-545
ICA C07D249-12
ICI C07D498-04, C07D265-00, C07D205-00
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 75104	A2	19830330	EP 1982-107311	19820812
	EP 75104	A3	19841128		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DK 8203964	A	19830324	DK 1982-3964	19820903
	ZA 8206816	A	19830727	ZA 1982-6816	19820916
	AU 8288511	A1	19830331	AU 1982-88511	19820917
	JP 58065284	A2	19830418	JP 1982-164161	19820922
PRAI	CH 1981-6139	A	19810923		
	CH 1982-4599	A	19820729		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

EP 75104	IC	C07D501-36IC C07D498-04IC A61K031-545
	ICA	C07D249-12
	ICI	C07D498-04, C07D265-00, C07D205-00

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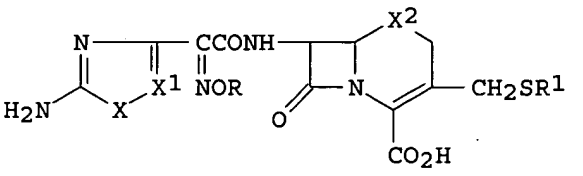

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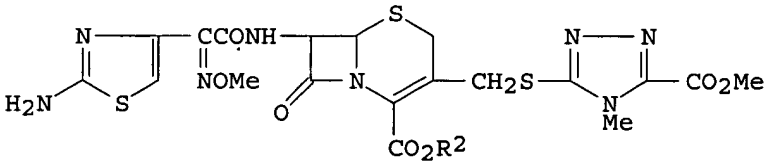


II

AB Cephalosporins I (X = S, Se; X1 = CH, N; X2 = S, O, SO, SO2; R = H, Me, carboxyalkyl; R1 = carboxytriazolyl) were prepared Thus H2NNHCSNHMe was treated with MeO2CCO2Me to give Me 5-mercapto-4-methyl-1,2,4-triazole-3-carboxylate which was treated with 7-aminocephalosporanic acid to give the heterocyclylthiomethylcephem. The latter compds. was converted to its silyl ester and treated with BrCH2COC(:NOMe)COCl and thiourea to give II (R2 = Na). This salt was treated with Me3CCO2CH2I to give II (R2 = CH2O2CCMe3) which had an oral ED50 against Escherichia coli in mice 0.11 mg/kg.

ST triazolylthiomethylcephem prepn bactericide; cephem triazolylthiomethyl prepn bactericide

IT Bactericides, Disinfectants, and Antiseptics
(triazolylthiomethylcephems)

IT 79232-66-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination of)

IT 120-78-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of aminothiazolylacetic acid by)

IT 53064-79-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of cephemcarboxylic acids by)

IT 65872-41-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with dithiobis(benzothiazole))

IT 86619-94-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

IT 77361-11-6P 80756-85-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephem by)

IT 86619-87-6P 86619-95-6P 86619-96-7P 86619-97-8P 86619-98-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

IT 86619-92-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

IT 86619-86-5P 86619-91-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

IT 68984-32-7P 86619-93-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephalosporanic acid)

IT 86619-89-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromo(methoxyimino)oxobutyryl chloride)

IT **86619-90-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

IT 86619-88-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and silylation of)

IT 86631-98-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 21149-56-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with Me oxaloyl chloride)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromo(methoxyimino)oxobutyrylaminocephem)

IT 5781-53-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethylthiosemicarbazide)

IT 957-68-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with heterocyclicthiols)

IT 553-90-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylthiosemicarbazide)

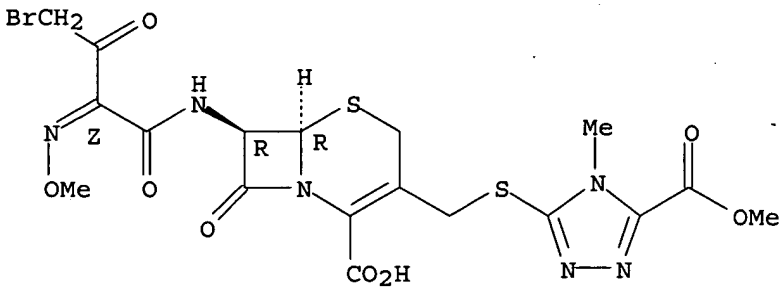
IT 6610-29-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oxalate)

IT **86619-90-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

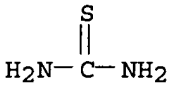
RN 86619-90-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[5-(methoxycarbonyl)-4-methyl-4H-1,2,4-triazol-3-yl]thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromo(methoxyimino)oxobutyrylaminocephem)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 22 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:470462 HCAPLUS
DN 99:70462
ED Entered STN: 12 May 1984
TI Cephalosporin derivatives, pharmaceutical compositions containing them and their intermediates
IN Montavon, Marc; Reiner, Roland
PA Hoffmann-La Roche, F., und Co. A.-G., Switz.
SO Eur. Pat. Appl., 49 pp.
CODEN: EPXXDW
DT Patent
LA German
IC C07D501-36; C07D498-04; A61K031-545
ICA C07D249-12
ICI C07D498-04, C07D265-00, C07D205-00
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63
FAN.CNT 1

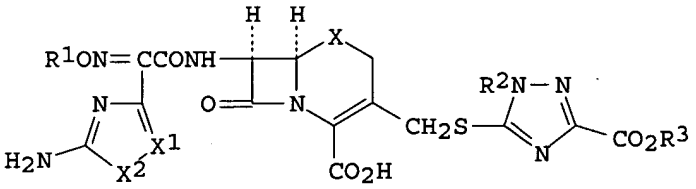
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 75095	A2	19830330	EP 1982-107150	19820807
	EP 75095	A3	19841017		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	DK 8203962	A	19830324	DK 1982-3962	19820903
	ZA 8206815	A	19830727	ZA 1982-6815	19820916
	AU 8288510	A1	19830331	AU 1982-88510	19820917
	JP 58065283	A2	19830418	JP 1982-164160	19820922
PRAI	CH 1981-6138	A	19810923		
	CH 1982-4598	A	19820729		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 75095	IC	C07D501-36IC C07D498-04IC A61K031-545
	ICA	C07D249-12

ICI C07D498-04, C07D265-00, C07D205-00

GI



I

AB Easily hydrolyzable esters of cephalosporin derivs. I [R1 = H, Me, carboxyalkyl; R2 = alkyl or Ph (un)substituted with CO2H, OH, easily hydrolyzable acyloxy, NMe2; R3 = alkyl, phenyl-C2-4-alkyl, R4-phenylalkyl (R4 = halo, alkyl, alkoxy); X = S, O, SO, SO2; X1 = CH, N; X2 = S, Se] as well as acid addition salts of these esters and hydrates of these esters or salts, useful as antibiotics, were prepared MeO2CCONHNMeCSNH2 was cyclized with NaOMe and the product triazolecarboxylate treated with 7-aminocephalosporanic acid to give the triazolylthiomethyl analog. This analog was silylated and the blocked compound acylated with (Z)-BrCH2COC(:NOH)COCl to give the butyramide which was cyclized with (H2N)2CS and the product thiazole Na salt esterified with Me3CCO2CH2I to give (6R,7R)-(Z)-I (R1 = R2 = R3 = Me, X = X2 = S, X1 = CH) pivaloyloxymethyl ester (II). The oral ED50 of II in mice was 0.07 mg/kg against Escherichia coli whereas cephalexin had 3.2. The LD50 in mice of II after 24 h was >5000 mg/kg; that of cephalexin was 1600-4500 mg/kg.

ST cephalosporin analog antibiotic bactericide prepn; triazolylthiomethylcephem; thiazolylacetamidocephem; cephem triazolylthiomethyl thiazolylacetamido

IT Bactericides, Disinfectants, and Antiseptics
((thiazolylacetamido)(triazolylthiomethyl)cephem derivs.)

IT 37517-81-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of butylthiosemicarbazide)

IT 86694-37-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (methoxyimino)acetate derivative)

IT 120-78-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with (methoxyimino)acetic acid derivative)

IT 86694-38-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with aminocephalosporanic acid)

IT 957-68-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with thioxotriazolcarboxylate derivs.)

IT 79232-66-9
RL: PROC (Process)
(conversion of, to acid chloride)

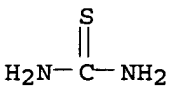
IT 80825-80-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with (bromobutyramido)cephemcarboxylate derivs.)

IT **86694-35-1**
RL: RCT (Reactant); **RACT (Reactant or reagent)**

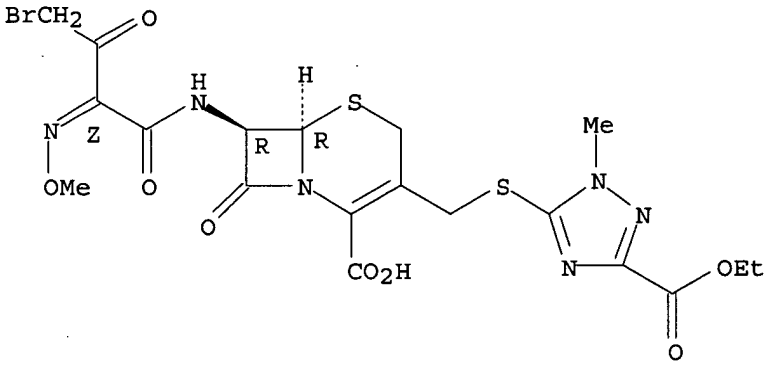
(cyclization of, with thiourea)
IT 53064-79-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of cephamcarboxylic acid derivs.)
IT 77361-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation by, of aminocephemcarboxylate derivs.)
IT 21198-11-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of, by Me oxaloyl chloride)
IT 86694-23-7P 86694-24-8P 86694-25-9P 86694-27-1P 86694-28-2P 86694-29-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
IT 86694-41-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with (methoxyimino)acetate derivative)
IT 77780-49-5P 86694-43-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with aminocephalosporanic acid)
IT 80756-85-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with aminocephemcarboxylate derivs.)
IT 86694-42-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
IT **86694-33-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclization of, with thiourea)
IT 86694-30-6P 86694-34-0P 86694-36-2P 86694-39-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
IT 86694-31-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and trimethylsilylation of)
IT 86694-32-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of)
IT 78172-35-7P 86694-26-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 65872-41-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dithiobisbenzothiazole)
IT 86694-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with potassium thiocyanate)
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with (bromobutyramido)cephemcarboxylate derivs.)
RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



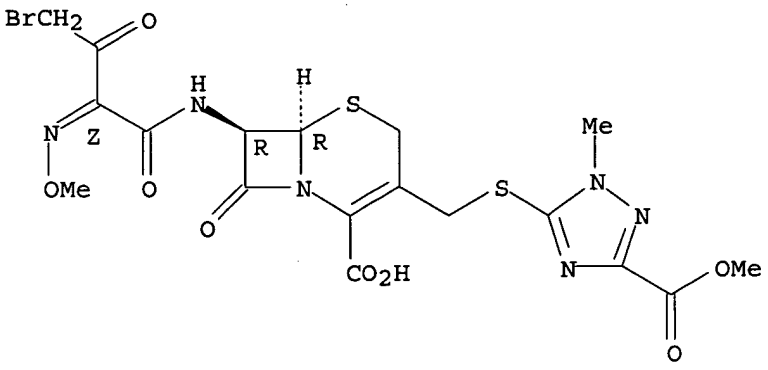
IT **86694-35-1**
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with thiourea)
RN 86694-35-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[3-(ethoxycarbonyl)-1-methyl-1H-1,2,4-triazol-5-yl]thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **86694-33-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclization of, with thiourea)
RN 86694-33-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[[3-(methoxycarbonyl)-1-methyl-1H-1,2,4-triazol-5-yl]thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 23 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:34438 HCAPLUS
DN 98:34438
ED Entered STN: 12 May 1984
TI Cephem compounds and pharmaceutical composition containing them
IN Takaya, Takao; Takasugi, Hisashi; Yamanaka, Hideaki
PA Fujisawa Pharmaceutical Co., Ltd. , Japan
SO Eur. Pat. Appl., 144 pp.
CODEN: EPXXDW
DT Patent
LA English
IC C07D501-59; A61K031-545; C07D285-10; C07C131-00
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 57422	A2	19820811	EP 1982-100564	19820128
	EP 57422	A3	19831123		
	EP 57422	B1	19881012		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	ZA 8200537	A	19821229	ZA 1982-537	19820127
	US 4452851	A	19840605	US 1982-343243	19820127
	AU 8279940	A1	19820812	AU 1982-79940	19820128
	EP 191507	A2	19860820	EP 1986-104093	19820128
	EP 191507	A3	19861029		
	EP 191507	B1	19900516		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 37882	E	19881015	AT 1982-100564	19820128
	AT 52766	E	19900615	AT 1986-104093	19820128
	FI 8200295	A	19820803	FI 1982-295	19820129
	JP 57145883	A2	19820909	JP 1982-14247	19820129
	JP 03022393	B4	19910326		
	DK 8200438	A	19820803	DK 1982-438	19820201
	NO 8200292	A	19820803	NO 1982-292	19820201
	ES 509242	A1	19830316	ES 1982-509242	19820201
	HU 27219	O	19831028	HU 1982-292	19820201
	CA 1199320	A1	19860114	CA 1982-395268	19820201
	ES 518227	A1	19840101	ES 1982-518227	19821215
PRAI	GB 1981-3081	A	19810202		
	GB 1981-8884	A	19810320		
	EP 1982-100564	P	19820128		
	EP 1986-104093	A	19820128		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 57422	IC	C07D501-59IC A61K031-545IC C07D285-10IC C07C131-00
US 4452851	NCL	514/205.000; 514/206.000; 540/215.000; 540/222.000; 540/229.000

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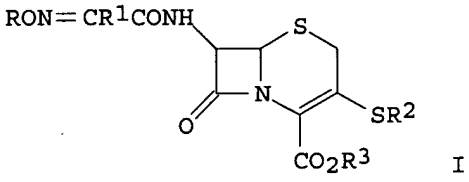

I

AB The cephalosporin analogs I [R = (un)esterified carboxyalkyl; R1 = aminothiazolyl, aminothiadiazolyl, aminopyridyl, furyl, thiazolyl, thiadiazolyl, Ph, naphthyl; R2 = alkyl; R3 = H, protective group] were prepared Thus I (R = CH2CO2H, R1 = 2-amino-5-chloro-4-thiazolyl, R2 = Et, R3 = H) (II) was obtained by acylating the aminocephem and deblocking. The aminocephem was obtained from benzhydryl 7-phenylacetamido-3-hydroxy-3-cephem-4-carboxylate 1-oxide in 4 steps. I had a min. inhibitory concentration against Proteus vulgaris IAM-1025 of 0.10 μg/mL.

ST carboxyalkoxyiminoacetamidocephem; cephem carboxyalkoxyiminoacetamido; alkylthiocephem; azolylacetamidocephem

IT Bactericides, Disinfectants, and Antiseptics
(cephalosporins)

IT 84080-71-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)

IT 68401-68-3 79349-92-1 84080-92-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminocephem by)

IT 68401-68-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminocephems by)

IT 58232-56-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(deacylation of)

IT 76038-91-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(diazotization and reduction of)

IT 50893-36-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of cephemcarboxylic acid by)

IT 84080-74-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(mesylation of)

IT 84080-71-7P 84080-83-1P 84080-85-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

IT 84080-70-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and acylation of aminocephem by)
IT 84081-14-1P 84081-31-2P 84081-33-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
IT 84080-69-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
IT 84080-79-5P 84080-80-8P 84080-81-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacylation of)
IT **84080-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and deblocking of)
IT 84086-87-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deformylation of)
IT 84086-88-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
IT 84080-68-2P 84080-94-4P 84080-95-5P 84080-96-6P 84080-97-7P
84080-98-8P 84081-01-6P 84081-02-7P 84081-17-4P 84081-24-3P
84081-25-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 84080-86-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
IT 84080-87-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methanethiol)
IT 84080-75-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with thiols)
IT **84080-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)
IT 84080-76-2P 84080-77-3P 84080-78-4P 84080-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
IT 84080-99-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)
IT 84080-84-2P 84080-89-7P 84080-90-0P 84080-91-1P 84080-92-2P
84080-93-3P 84080-95-5P 84081-03-8P 84081-04-9P 84081-05-0P
84081-06-1P 84081-07-2P 84081-08-3P 84081-09-4P 84081-10-7P
84081-11-8P 84081-12-9P 84081-13-0P 84081-15-2P 84081-16-3P

84081-18-5P 84081-19-6P 84081-20-9P 84081-21-0P 84081-22-1P
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84081-30-1P 84081-32-3P 84081-34-5P 84081-35-6P 84081-36-7P
84081-37-8P 84081-38-9P 84081-39-0P 84081-40-3P 84081-41-4P
84081-42-5P 84081-43-6P 84081-44-7P 84081-45-8P 84081-46-9P
84081-47-0P 84081-48-1P 84081-49-2P 84081-50-5P 84081-51-6P
84081-52-7P 84081-53-8P 84081-54-9P 84081-55-0P 84086-89-5P
84086-90-8P 84086-91-9P 84086-92-0P 84086-93-1P 84086-94-2P
84086-95-3P 84098-34-0P 84098-35-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 84081-00-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, esterification, and bactericidal activity of)

IT 79232-64-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloroacetate)

IT **62-56-6**, reactions 598-52-7
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with chlorooxobutyramidocephems)

IT 96-34-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydroxyiminooxobutyrate)

IT 59779-96-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methanethiol)

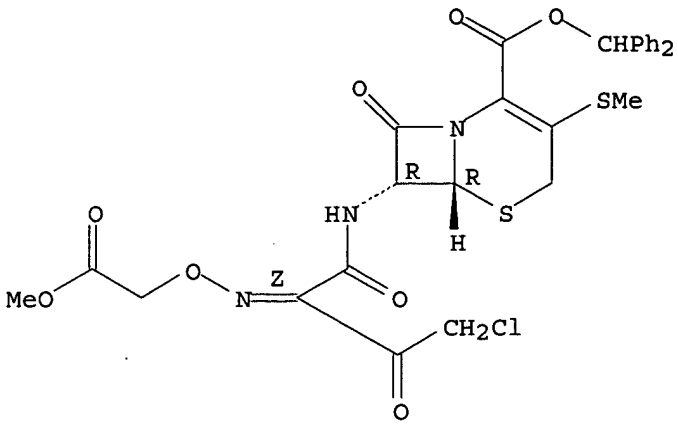
IT 56834-02-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with naphthylglyoxylic acid)

IT 14289-45-3 66872-53-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tert-butoxycarbonylmethoxyamine)

IT **84080-72-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and deblocking of)

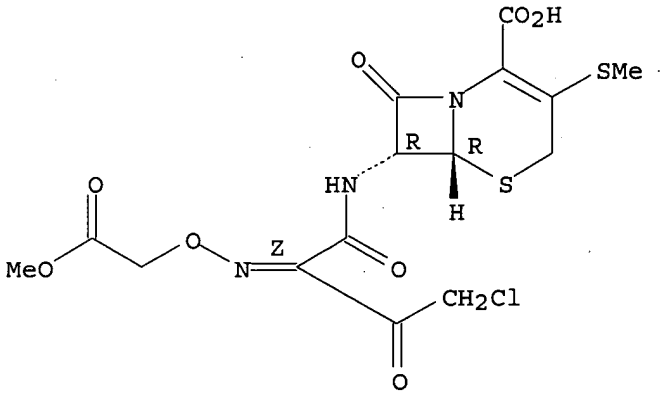
RN 84080-72-8 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[(2-methoxy-2-oxoethoxy)imino]-1,3-dioxobutyl]amino]-3-(methylthio)-8-oxo-, diphenylmethyl ester, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

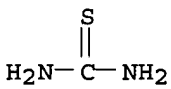


IT **84080-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)
RN 84080-73-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[(2-methoxy-2-oxoethoxy)imino]-1,3-dioxobutyl]amino]-3-(methylthio)-8-oxo-, .[6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with chlorooxobutyramidocephems)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 24 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:472186 HCAPLUS
DN 97:72186
ED Entered STN: 12 May 1984
TI Cephalosporin derivatives and their compositions
IN Nakao, Hideo; Fujimoto, Koichi; Ishihara, Sadao; Sugawara, Shinichi; Igarashi, Isamu
PA Sankyo Co., Ltd. , Japan
SO Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DT Patent
LA English
IC C07D501-34; A61K031-545
CC 26-5 (Biomolecules and Their Synthetic Analogs)
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 49118	A2	19820407	EP 1981-304415	19810924
	EP 49118	A3	19830119		
	EP 49118	B1	19860423		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	JP 57059894	A2	19820410	JP 1980-136449	19800930
	JP 57169489	A2	19821019	JP 1981-55231	19810413
	JP 61001074	B4	19860113		
	JP 57206687	A2	19821218	JP 1981-89116	19810610
	JP 01058192	B4	19891211		
	US 4486425	A	19841204	US 1981-304988	19810923
	AT 19403	E	19860515	AT 1981-304415	19810924
	FI 8103038	A	19820331	FI 1981-3038	19810930
	FI 73440	B	19870630		
	FI 73440	C	19871009		
	AU 8175781	A1	19820408	AU 1981-75781	19810930
	AU 547984	B2	19851114		
	ES 505923	A1	19821216	ES 1981-505923	19810930
	CA 1171404	A1	19840724	CA 1981-387048	19810930
	ES 514993	A1	19830501	ES 1982-514993	19820813
	ES 514994	A1	19830501	ES 1982-514994	19820813
	ES 514995	A1	19830601	ES 1982-514995	19820813
	JP 61000063	A2	19860106	JP 1985-102348	19850514
	JP 63038347	B4	19880729		
	US 4716158	A	19871229	US 1986-873114	19860610
PRAI	JP 1980-136449	A	19800930		
	JP 1981-55231	A	19810413		
	JP 1981-89116	A	19810610		
	US 1981-304988	A3	19810923		
	EP 1981-304415	A	19810924		
	US 1983-467786	A1	19830218		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
EP 49118	IC	C07D501-34IC A61K031-545
US 4486425	NCL	514/204.000; 540/228.000; 540/229.000; 540/230.000
US 4716158	NCL	514/202.000; 540/222.000

OS CASREACT 97:72186
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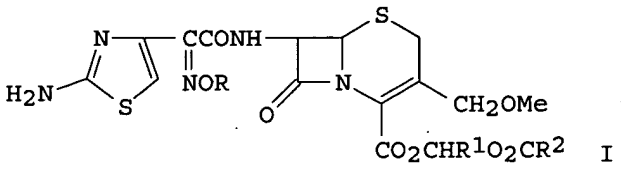


I

AB Acyloxymethyl cephemcarboxylates I (R = Me, Et; R1 = H, Me; R2 = alkyl, alkoxy) were prepared Thus, Na 3-methoxymethyl-7-phenoxyacetamido-3-cephem-4-carboxylate was esterified and deacylated to give pivaloyloxymethyl 7-amino-3-methoxymethyl-3- cephem-4-carboxylate which was acylated with 2-(2-chloroacetamido-4- thiazolyl)-2-methoxyiminoacetic acid and deblocked to give I (R = Me, R1 = H, R2 = CMe3).

ST acyloxymethyl cephemcarboxylate; alkoxyiminoacetamidocephemcarboxylate acyloxymethyl

IT 47620-25-7 82618-95-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)

IT 64486-18-6 64987-06-0 78226-27-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminocephems by)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(deacylation of acylaminothiazolylacetamidocephems by)

IT 82619-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(deformylation of)

IT 53064-79-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of sodium cephemcarboxylate derivative)

IT 82618-64-2 82623-41-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)

IT 69862-08-4 74416-82-3 77442-80-9 80196-04-9 82619-14-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of cephemcarboxylic acids by)

IT 82549-43-7P 82618-66-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

IT 82618-76-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephem by)

IT 82618-85-7P 82618-86-8P 82623-39-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)

IT 82618-75-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

IT 82618-65-3P 82618-97-1P 82619-15-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deacylation of)

IT 593-56-6P 82619-11-2P 82619-17-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deformylation of)

IT 82619-04-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

IT 82618-69-7P 82618-74-4P 82618-83-5P 82618-84-6P 82623-36-7P
82623-38-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 82618-73-3P 82618-80-2P 82618-81-3P 82618-82-4P 82618-91-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)

IT 41295-64-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephem)

IT 82618-72-2P 82618-77-7P 82618-78-8P 82618-79-9P 82618-90-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with nitrite)

IT 82618-68-6P **82618-92-6P** 82623-35-6P **82623-40-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

IT 82618-67-5P 82618-71-1P 82618-87-9P 82618-88-0P 82618-89-1P
82618-93-7P 82618-96-0P 82618-98-2P 82618-99-3P 82619-00-9P
82619-01-0P 82619-02-1P 82619-03-2P 82619-05-4P 82619-06-5P
82619-13-4P 82619-16-7P 82623-37-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 674-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chlorine)

IT 7782-50-5, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diketene)

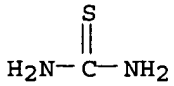
IT 3332-29-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with formamidothiazolylacetamidocephem)

IT 82619-07-6 82619-08-7 82619-09-8 82619-10-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiourea)

IT 74530-57-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tosylate)

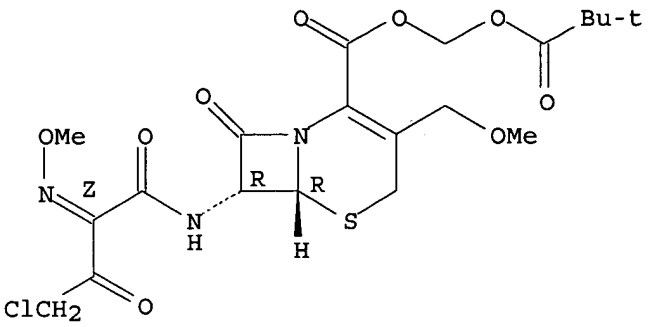
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(deacylation of acylaminothiazolylacetamidocephems by)

RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



IT **82618-92-6P 82623-40-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and reaction of, with thiourea)
RN 82618-92-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-(methoxymethyl)-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 82623-40-3 HCAPLUS
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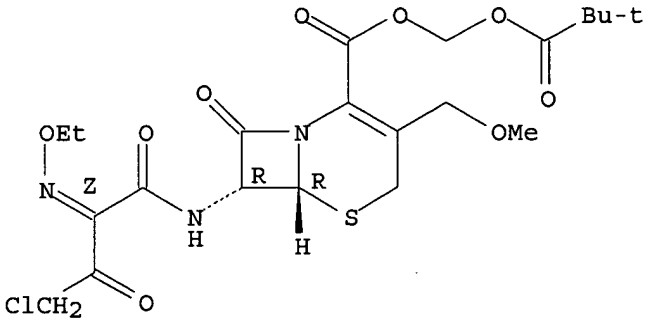
Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 25 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:472184 HCAPLUS
DN 97:72184
ED Entered STN: 12 May 1984
TI Cephalosporins and their intermediates
IN Sadaki, Horishi; Narita, Hirokazu; Imaizumi, Hiroyuki; Konishi, Yoshinori; Inaba, Takihiro; Hirakawa, Tatsuo; Taki, Hideo; Tai, Masaru; Watanabe, Yasuo; Saikawa, Isamu
PA Toyama Chemical Co., Ltd. , Japan
SO Ger. Offen., 277 pp.

CODEN: GWXXBX
DT Patent
LA German
IC C07D501-57; C07D501-56; C07D501-38; A61K031-545
CC 26-5 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 63
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CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3137854	IC	C07D501-57IC C07D501-56IC C07D501-38IC A61K031-545
US 4489072	NCL	514/201.000; 514/202.000; 540/020.000; 540/215.000; 540/221.000; 540/222.000; 540/225.000; 540/227.000; 540/230.000
US 4673738	NCL	540/222.000; 540/221.000; 540/226.000; 540/227.000
US 4879381	NCL	540/222.000
US 5144027	NCL	540/222.000

OS CASREACT 97:72184

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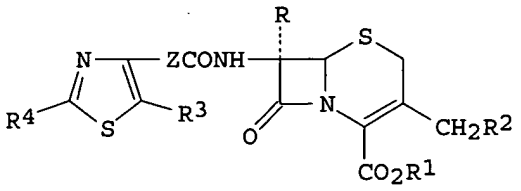

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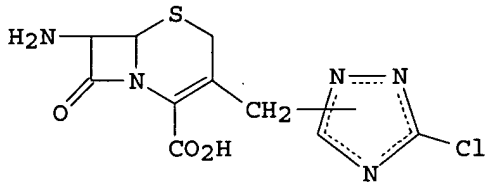

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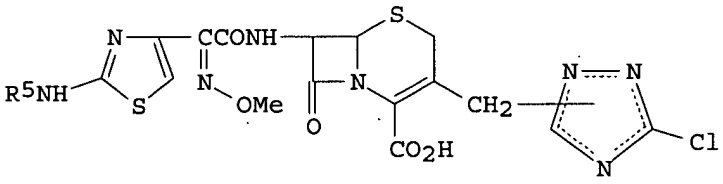

III

AB Cephalosporin analogs I [R = H, alkoxy; R1 = H, carboxy protective group; R2 = (un)substituted aryl, acylamino, aromatic C-bonded heterocyclyl, N-bonded triazolyl, tetrazolyl; R3 = H, halo; R4 = H, NH2 optionally protected or substituted; Z = CH2, C(:NOR5) (R5 = H, alkyl)], useful as antibiotics stable to lactamase-producing bacteria and having low toxicity, were prepared Extensive antibacterial activity data for 14 compds. were tabulated. Thus, treating 7-aminocephalosporanic acid with 3-chloro-1,2,4-triazole in sulfolane containing BF3.Et2O gave triazolylmethylcephem II which in CH2Cl2 was trimethylsilylated and N-acylated with 2-(2-tert-amyloxycarboxamido-4-thiazolyl)-2-syn-methoxyiminoacetic acid and POCl3 in DMF to give 91.8% III (R5 = EtCMe2O2C). This was hydrolyzed with F3CCO2H to give III (R5 = H).F3CCO2H, which had min. inhibitory concentration of 0.39 μg/mL against Escherichia coli vs. 25 for cephazolin.

ST cephalosporin analog lactamase resistant prepn; cephem thiazolylacetamido lactamase resistant prepn; thiazolylacetamidocephem lactamase resistant prepn; bactericide thiazolylacetamidocephem

IT 71754-07-9 75689-09-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminocephemcarboxylate derivative)

IT 66341-07-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of aminocephemcarboxylic acid derivative)

IT 80717-75-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by thiazolylacetyl chloride derivative)

IT 82567-54-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, with chlorobutyryl chloride derivative)

IT 90-02-8, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with aminocephemcarboxylic acid derivative)

IT 73151-03-8 73181-66-5 82557-00-4
RL: PROC (Process)

(conversion of, to acid chloride)
IT 103-85-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with (bromobutyramido)cephemcarboxylate derivative, (thiazolylacetamido)cephemcarboxylate derivative by)
IT **62-56-6**, reactions 115-08-2
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with (chlorobutyramido)cephemcarboxylic acid derivative, (thiazolylacetamido)cephemcarboxylic acid derivative by)
IT 883-40-9 53064-79-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of cephemcarboxylic acid derivs.)
IT 82566-76-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)
IT 674-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(halogenation of)
IT 82572-12-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation and esterification of)
IT 41295-64-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation by, of aminocephemcarboxylic acid derivative)
IT 82548-15-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
IT 82549-90-4P 82549-92-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and alkalinization of)
IT 82550-08-1P 82550-12-7P 82556-50-1P 82556-51-2P 82556-54-5P 82556-55-6P 82556-56-7P 82556-57-8P 82556-58-9P 82556-63-6P 82597-85-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)
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(preparation and bactericidal activity of)
IT 82547-44-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with phenylthiourea or oximation of)
IT 82547-55-5P **82567-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclization of, with thiourea)
IT 82549-96-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea or thioformamide, (thiazolylacetamido)cephemcarboxylic acid derivative by)
IT 82548-05-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(preparation and cyclization of, with thiourea, (thiazolylacetamido)cephemcarboxylate derivative by)

IT 80717-83-5P 80717-84-6P 80717-85-7P 82549-52-8P 82549-55-1P
82549-56-2P 82549-57-3P 82549-59-5P 82549-61-9P 82549-63-1P
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82567-08-6P 82567-12-2P 82567-14-4P
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(preparation and esterification of)

IT 80717-96-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of, with diphenyldiazomethane)

IT 82549-95-9P 82567-82-6P
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(preparation and hydrolysis and esterification of)

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(preparation and hydrolysis of)

IT 82567-84-8P
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(preparation and methoxylation of)

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(preparation and oximation of)

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(preparation and reaction of, with methoxyamine)

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(preparation and reactions of)

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(preparation and O-methylation of)

IT 82556-94-3P
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(preparation and O-methylation or bromination of)

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(preparation, alkalinization of, and antibacterial activity of)

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24526-69-0 29515-99-9 42371-37-9 55406-13-8 55408-10-1
64922-04-9 82549-49-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminocephalosporanic acid)

IT 82549-87-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminocephemcarboxylate)

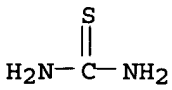
IT 593-56-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with glyoxylamidocephemcarboxylate derivs.)

IT 957-68-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with nitriles or heterocycles)

IT 27266-54-2 27266-61-1 57457-59-7 59610-59-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with triazole)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with (chlorobutyramido)cephemcarboxylic acid derivative, (thiazolylacetamido)cephemcarboxylic acid derivative by)

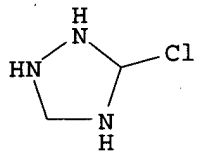
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)

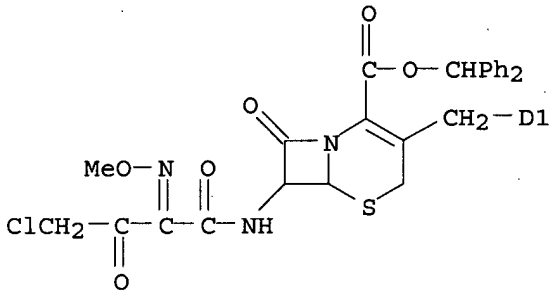


IT **82567-60-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea)
RN 82567-60-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[(3-chloro-1,2,4-triazolyl)methyl]-8-oxo-, diphenylmethyl ester, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

CM 1

CRN 82567-59-7
CMF C28 H28 Cl2 N6 O6 S
CCI IDS





L44 ANSWER 26 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:497816 HCAPLUS
DN 95:97816
ED Entered STN: 12 May 1984
TI Novel 3-thiovinyl-cephalosporins, their preparation and compositions containing them
IN Farge, Daniel; Moutonnier, Claude; Le Roy, Pierre; Peyronel, Jean Francois
PA Rhone-Poulenc Industries S. A., Fr.
SO Brit. UK Pat. Appl., 106 pp.
CODEN: BAXXDU
DT Patent
LA English
IC C07D501-24
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 5

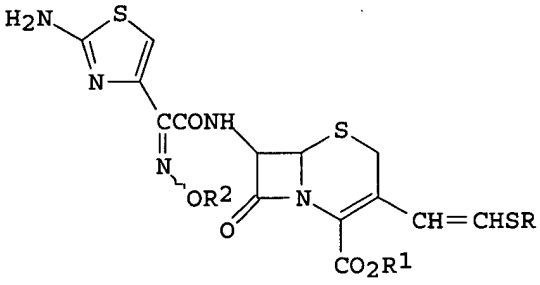
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 2051788	A	19810121	GB 1980-16728	19800521
	GB 2051788	B2	19840118		
	FR 2474504	A1	19810731	FR 1979-13095	19790523
	FR 2474504	B1	19830311		
	FR 2469415	A2	19810522	FR 1979-27687	19791109
	FR 2469415	B2	19830429		
	FR 2474035	A2	19810724	FR 1980-978	19800117
	FR 2474035	B2	19830429		
	FR 2475545	A1	19810814	FR 1980-3057	19800212
	FR 2475545	B1	19830930		
	BE 883415	A1	19801121	BE 1980-200706	19800521
	DK 8002221	A	19801124	DK 1980-2221	19800521
	FI 8001640	A	19801124	FI 1980-1640	19800521
	NO 8001501	A	19801124	NO 1980-1501	19800521
	SE 8003820	A	19801124	SE 1980-3820	19800521
	ES 491686	A1	19801216	ES 1980-491686	19800521
	DE 3019400	A1	19810423	DE 1980-3019400	19800521
	US 4307116	A	19811222	US 1980-152115	19800521
	CA 1145744	A1	19830503	CA 1980-352357	19800521
	PL 127301	B1	19831031	PL 1980-230379	19800521
	HU 30046	O	19840228	HU 1980-1277	19800521
	HU 184771	B	19841029		
	CH 645117	A	19840914	CH 1980-3988	19800521
	IL 60138	A1	19840430	IL 1980-60138	19800522
	JP 55154980	A2	19801202	JP 1980-68008	19800523
	JP 62017592	B4	19870418		
	SU 1098522	A3	19840615	SU 1980-2991491	19801015
	ES 496194	A1	19811001	ES 1980-496194	19801023
	ES 496196	A1	19811001	ES 1980-496196	19801023
	AT 8105421	A	19830915	AT 1981-5421	19811217
	AT 374480	B	19840425		
	AT 8105422	A	19830915	AT 1981-5422	19811217
	AT 374481	B	19840425		
	AT 8105423	A	19830915	AT 1981-5423	19811217
	AT 374482	B	19840425		
PRAI	FR 1979-13095	A	19790523		
	FR 1979-27687	A	19791109		
	FR 1980-978	A	19800117		
	FR 1980-3057	A	19800212		
	AT 1980-2708	A	19800521		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
GB 2051788	IC	C07D501-24
US 4307116	NCL	514/206.000; 514/203.000; 514/204.000; 540/225.000; 540/227.000

OS CASREACT 95:97816

GI



I

AB The title compds. I [R = alkyl, L-2-amino-2-carboxyethyl, Ph, pyridyl, N-oxidopyridyl, 2-pyrimidinyl, substituted 3-pyridazinyl, 4-substituted 5,6-dioxo-1,4,5,6-tetrahydro-1,2,4-triazin-3-yl, 1,3,4-triazol-5-yl, 1-substituted 2-alkoxycarbonyl-1,3,4-triazol-5-yl, 1-alkyl-5,6-dioxo-1,4,5,6-tetrahydro-1,2,4-triazin-3-yl, 2-alkyl-5,6-dioxo-1,2,5,6-tetrahydro-1,2,4-triazin-3-yl, optionally substituted (o.s.) 5-triazolyl, o.s. 1,3,4-thiadiazol-5-yl, o.s. oxazol-2-yl, o.s. tetrazol-5-yl; R1 = H, CHR3O2CR4 (R3 = H, alkyl; R4 = alkyl, cyclohexyl); R2 = H, alkyl, CH:CH2, CH2CN], useful as bactericides, were prepared Thus, syn-2-benzhydryloxycarbonyl-7-[2-methoxyimino-2-(2-tritylaminothiazol-4-yl)acetamido]-8-oxo-5-oxide-3-(2-tolylsulfonyloxyvinyl)-5-thia-1-azabicyclo[4.2.0]oct-2-ene (II) was sequentially condensed with MeSH [DMF-EtN(CHMe2)2, 40°, 5 h], reduced (PCl3/AcNMe2-CH2Cl2, -10°, 30 min) and deprotected (aqueous HCO2H, 50°, 15 min) to give syn-I (R = R2 = Me, R1 = H). II was prepared in 4 steps from syn-2-(2-tritylaminothiazol-4-yl)-2-methoxyiminoacetic anhydride and 7-amino-2-benzhydryloxycarbonyl-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene. The LD50 of I are .apprx.1.5->2.5 g/kg in mice (s.c.). Compns. containing I are described.

ST thiovinylcephalosporin bactericide; cephalosporin thiovinyl bactericide

IT Bactericides, Disinfectants and Antiseptics
(thiovinylcephalosporins as)

IT 36239-09-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of cephalospirin derivative)

IT 69883-01-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation by, of cephalosporin derivative)

IT 35609-70-2 77359-55-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)

IT 35609-70-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of, by cephalosporin derivative)

IT 21198-09-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of)

IT 5815-08-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(aminolysis by, of cephalosporin derivative)

IT 64485-90-1 77361-11-6 77780-20-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(arylation by, of cephalosporin derivative)

IT 77360-08-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with (methoxyimino)(tritylaminothiazolyl)ace

tic acid)
IT 13733-17-0 63612-41-9 75052-04-9 77780-36-0 77792-60-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with hydrazine)
IT 75-07-0, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with thiosemicarbazide)
IT 302-01-2, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reactions of, with thiocarbamates and isothiocyanates)
IT 156-57-0 593-56-6 4530-20-5 64485-90-1 68672-55-9 68786-47-0
69883-01-8 77361-11-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling reaction of, with cephalosporin derivative)
IT 77361-29-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(coupling reaction of, with methoxyimino(tritylaminothiazolyl)acetic acid)
IT 24066-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of, with Et hydrazinooxalate)
IT 35196-48-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of, with Et isothiocyanoacetate)
IT 6926-55-2 6938-68-7 13431-41-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of, with di-Et oxalate)
IT 95-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation reaction of, with thiosemicarbazides)
IT 77361-32-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrazinolysis of)
IT 66340-86-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
IT 77361-03-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acetolysis)
IT 78517-33-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and acidification of)
IT 77360-08-8P 77657-35-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
IT 77359-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminolysis of)
IT 77361-13-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and carbamylation of)
IT 77361-12-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)
IT 77360-00-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with (tritylaminothiazolyl)trityloxyiminoa

cetic acid)
IT 77361-31-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with hydrazine)
IT 77361-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with butoxycarbonylglycine)
IT 77360-20-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling of, with methoxyimino(tritylaminothiazolyl)acetic acid)
IT 77360-99-7P 77780-60-0P 77780-61-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling reaction of, with cephalosporin derivative)
IT 77361-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling reaction of, with heterocyclic compds.)
IT 77360-00-0P 77780-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and coupling reaction of, with methoxyimino(tritylaminothiazolyl)acetic acid)
IT 57930-22-0P 77360-54-4P 77360-57-7P 77360-76-0P 77360-85-1P
77361-30-9P 77780-34-8P 77792-32-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation reaction of, with di-Et oxalate)
IT 77359-99-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deprotection of)
IT 77400-94-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and formylation of)
IT 77361-00-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and hydrolysis)
IT 77359-57-0P 77359-75-2P 77359-89-8P 77359-93-4P 77359-96-7P
77360-02-2P 77360-06-6P 77360-12-4P 77360-13-5P 77360-24-8P
77360-33-9P 77360-39-5P 77360-42-0P 77360-44-2P 77360-51-1P
77360-56-6P 77360-59-9P 77360-63-5P 77360-68-0P 77360-71-5P
77360-81-7P 77360-90-8P 77360-97-5P 77361-05-8P 77361-09-2P
77361-14-9P 77361-17-2P 77361-19-4P 77361-22-9P 77361-26-3P
77361-33-2P 77400-86-3P 77400-88-5P 77400-89-6P 77400-93-2P
77657-37-5P 77657-53-5P 77780-22-4P 77780-24-6P 77780-25-7P
77780-27-9P 77780-29-1P 77780-31-5P 77780-33-7P 77780-38-2P
77780-44-0P 77780-46-2P 77780-56-4P 77780-57-5P 77780-59-7P
77780-63-3P 77780-64-4P 77780-65-5P 77792-62-2P 77792-63-3P
77792-64-4P 77792-66-6P 77792-69-9P 77792-71-3P 77792-74-6P
77792-76-8P 77792-79-1P 77792-80-4P 77849-02-6P 77862-76-1P
77883-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)
IT 77792-81-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methoxycarbonylation of)

IT 77359-89-8P 77360-93-1P 77360-94-2P 77657-33-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

IT 77359-68-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bis(dimethylamino)ethoxymethane)

IT 77361-04-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromine and diketene)

IT 77359-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with butoxybis(dimethylamino)methane)

IT 58909-12-9P 58909-39-0P 77360-49-7P 77360-58-8P 77360-65-7P
77360-69-1P 77360-75-9P 77360-92-0P 77657-50-2P 77657-51-3P
77780-35-9P 77780-39-3P 77780-43-9P 77780-45-1P 77849-05-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with cephalosporin derivative)

IT 77359-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with diphenyldiazomethane)

IT 21149-56-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with ethoxalyl chloride)

IT 77361-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with sulfur- containing compds.)

IT 77359-92-3P 77359-94-5P 77360-10-2P 77360-16-8P 77360-18-0P
77400-92-1P 77657-34-2P **77657-36-4P** 77780-41-7P
77780-68-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with sulfur-containing compds.)

IT 2302-95-6P 77359-95-6P 77359-99-0P 77360-01-1P 77360-05-5P
77360-10-2P 77360-18-0P 77360-22-6P 77360-31-7P 77360-37-3P
77360-46-4P 77360-47-5P 77360-50-0P 77360-55-5P 77360-62-4P
77360-67-9P 77360-70-4P 77360-73-7P 77360-89-5P 77360-96-4P
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77400-90-9P 77657-52-4P 77780-21-3P 77780-23-5P 77780-26-8P
77780-28-0P 77780-30-4P 77780-32-6P 77780-37-1P 77780-48-4P
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77792-65-5P 77792-67-7P 77792-68-8P 77792-70-2P 77792-73-5P
77792-75-7P 77792-78-0P 77849-04-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

IT 77360-77-1P 77360-79-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sodium salt formation of)

IT 15231-41-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thiocarbamation of)

IT 77359-74-1P 78517-36-9P 78549-05-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and tolylsulfonylation of)

IT 77359-90-1P 77359-91-2P 77360-09-9P 77360-11-3P 77360-25-9P
77360-28-2P 77360-32-8P 77360-34-0P 77360-36-2P 77360-40-8P
77360-41-9P 77360-53-3P 77361-58-1P 77448-12-5P 77448-13-6P
77448-14-7P 77657-38-6P 77657-39-7P 77657-43-3P 77780-49-5P
77780-53-1P 77833-80-8P 78517-34-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 77359-97-8P 77360-04-4P 77360-07-7P 77360-14-6P 77360-17-9P
77360-26-0P 77360-35-1P 77360-43-1P 77360-45-3P 77360-48-6P
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77360-82-8P 77360-83-9P 77360-91-9P 77360-98-6P 77361-01-4P
77361-15-0P 77361-18-3P 77361-20-7P 77361-23-0P 77361-34-3P
77361-37-6P 77361-38-7P 77361-39-8P 77361-40-1P 77361-41-2P
77361-42-3P 77361-43-4P 77361-44-5P 77361-45-6P 77361-46-7P
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77400-87-4P 77400-95-4P 77400-96-5P 77657-49-9P 77657-54-6P
77657-58-0P 77657-59-1P 77780-40-6P 77780-67-7P 77792-72-4P
77792-77-9P 77843-25-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bactericide)

IT 78529-96-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, tolylsulfonylation, and oxidation of)

IT **62-56-6**, reactions 108-98-5, reactions 883-40-9 1121-31-9
1450-85-7 2637-34-5 3004-42-0 5815-07-6 5815-08-7 13016-17-6
13183-79-4 20887-95-0 21094-62-2 21094-65-5 29490-19-5
34619-03-9 36988-21-3 52083-93-9 54567-55-4 56610-81-2
58908-99-9 58909-02-7 58909-39-0 61607-68-9 77780-50-8
77780-51-9 77780-69-9 77780-70-2 77780-71-3 78517-35-8
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with cephalosporin derivative)

IT 22252-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with di-Bu carbonate)

IT 26628-22-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dimethoxyethyl isothiocyanate)

IT 73555-88-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethylthiosemicarbazide)

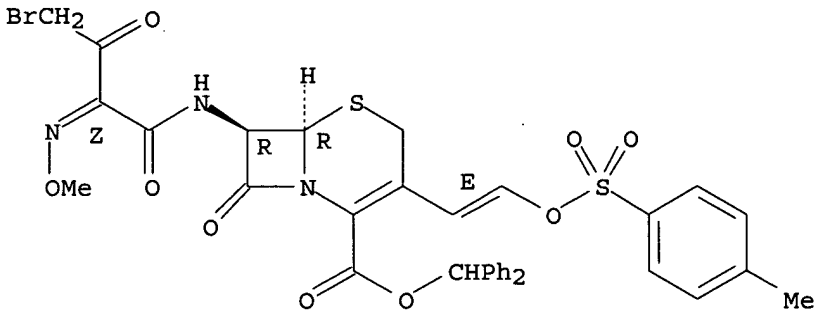
IT 77359-92-3 77359-99-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(tolylsulfonylation of)

IT **77657-36-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with sulfur-containing compds.)

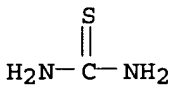
RN 77657-36-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[[(4-methylphenyl)sulfonyl]oxy]ethenyl]-8-oxo-, diphenylmethyl ester,

[6R-[3(E),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with cephalosporin derivative)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 27 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:162434 HCAPLUS
DN 96:162434
ED Entered STN: 12 May 1984
TI 3-Thiovinylcephalosporins
IN Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronnel, Jean Francois
PA Rhone-Poulenc Industries S. A., Fr.
SO Fr. Demande, 31 pp. Addn. to Fr. Appl.No. 79 13095.
CODEN: FRXXBL
DT Patent
LA French
IC C07D501-24
ICA A61K031-545
CC 26-5 (Biomolecules and Their Synthetic Analogs)
FAN.CNT 5

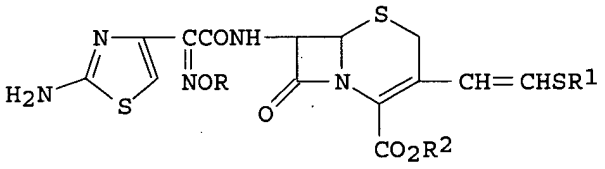
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2482600	A2	19811120	FR 1980-10707	19800513
	FR 2482600	B2	19830429		
	FR 2474504	A1	19810731	FR 1979-13095	19790523
	FR 2474504	B1	19830311		
	AU 8058596	A1	19801127	AU 1980-58596	19800521
	AU 534807	B2	19840216		
	ZA 8003037	A	19810527	ZA 1980-3037	19800521
	SU 1037842	A3	19830823	SU 1980-2984450	19800925
	AT 8105421	A	19830915	AT 1981-5421	19811217
	AT 374480	B	19840425		
	AT 8105423	A	19830915	AT 1981-5423	19811217

	AT 374482	B	19840425
PRAI	FR 1979-13095	A	19790523
	FR 1979-27687	A	19791109
	FR 1980-978	A	19800117
	AT 1980-2708	A	19800521

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
FR 2482600	IC	C07D501-24
	ICA	A61K031-545

GI



I

AB Cephalosporins I [R = H, alkyl; R1 = alkyl, CH2CH(NH2)CO2H, Ph, (un)substituted thiadiazolyl, triazolyl, tetrazolyl, pyridyl, 3-pyridazinyl, dioxotetrahydrotriazinyl; R2 = H, ester group] were prepared Thus I (R = R2 = H, R1 = 2-methyl-1,3,4-thiadiazol-5-yl) was prepared by treating the 7-aminocephem with diketene and Br, followed by reaction with NaNO2 and thiourea, and deblocking.

ST thiovinylcephem; cephem thiovinyl

IT 674-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(bromination and reaction of, with aminocephem)

IT 77361-12-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)

IT 77361-05-8P 77361-09-2P 77400-93-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

IT 77361-00-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenolysis of)

IT 66340-86-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

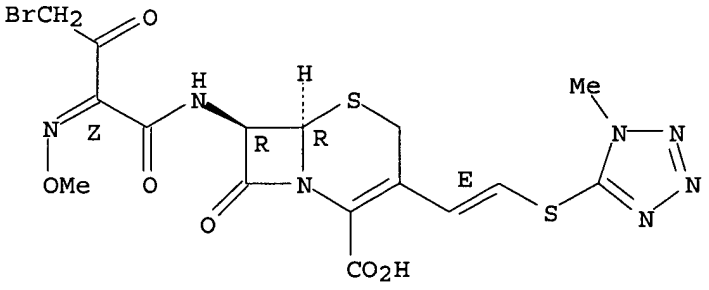
IT 77361-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephem)

IT 77361-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromo(methoxyimino)oxobutyryl chloride)

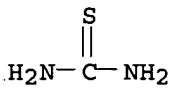
IT 77361-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with nitrite)

IT 77361-02-5P **77361-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)
IT 77360-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
IT 77360-04-4P 77360-48-6P 77360-52-2P 77360-82-8P 77361-01-4P 77361-18-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromo(hydroxyimino)oxobutyramidocephem)
IT 77361-04-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with diketene and bromine)
IT 77359-92-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylthiadiazolinethione)
IT 29490-19-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tosyloxyvinylcephem)
IT 77359-99-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
IT **77361-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)
RN 77361-06-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[(1-methyl-1H-tetrazol-5-yl)thio]ethenyl]-8-oxo-, [6R-[3(E),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromo(hydroxyimino)oxobutyramidocephem)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 28 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:569226 HCAPLUS
DN 95:169226
ED Entered STN: 12 May 1984
TI Cephalosporin derivatives and their intermediates
IN Montavon, Marc; Reiner, Roland
PA Hoffmann-La Roche, F., und Co. A.-G., Switz.
SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DT Patent
LA German
IC C07D501-36; C07D501-04; C07C131-00
CC 28-21 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 1

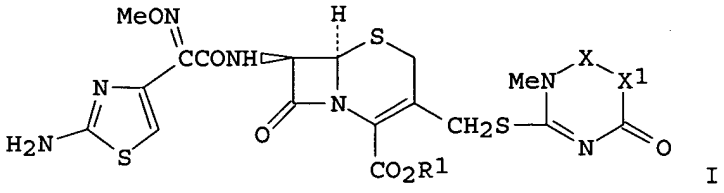
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 30294	A2	19810617	EP 1980-107160	19801118
	EP 30294	A3	19811209		
	EP 30294	B1	19840808		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	FI 7903768	A	19810522	FI 1979-3768	19791130
	FI 67385	B	19841130		
	FI 67385	C	19850311		
	ZA 8007099	A	19811125	ZA 1980-7099	19801114
	CA 1146165	A1	19830510	CA 1980-364648	19801114
	IL 61486	A1	19840430	IL 1980-61486	19801114
	AU 8064438	A1	19810528	AU 1980-64438	19801117
	AU 533088	B2	19831027		
	HU 27365	O	19831028	HU 1980-2744	19801117
	HU 184941	B	19841128		
	JP 56092894	A2	19810727	JP 1980-161487	19801118
	JP 61045995	B4	19861011		
	AT 8896	E	19840815	AT 1980-107160	19801118
	DK 8004963	A	19810522	DK 1980-4963	19801120
	DK 166728	B1	19930705		
	NO 8003516	A	19810522	NO 1980-3516	19801120
	NO 166229	B	19910311		
	NO 166229	C	19910619		
	ES 496994	A1	19811116	ES 1980-496994	19801120
	NO 8102083	A	19811222	NO 1981-2083	19810618
	NO 166228	B	19910311		
	NO 166228	C	19910619		
	ES 503583	A1	19820601	ES 1981-503583	19810701
	FI 8402614	A	19840628	FI 1984-2614	19840628
	FI 71563	B	19861010		
	FI 71563	C	19870119		
	JP 61143392	A2	19860701	JP 1985-285859	19851220
	JP 02048559	B4	19901025		
PRAI	CH 1979-10384	A	19791121		
	FI 1979-3768	A	19791130		
	EP 1980-107160	A	19801118		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES

EP 30294	IC	C07D501-36IC	C07D501-04IC	C07C131-00

GI



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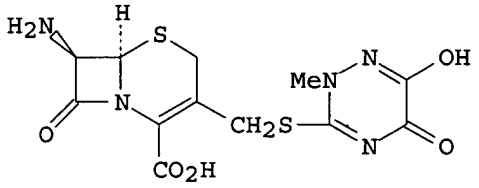


II

AB The cephalosporins I (X = NH, X1 = CO; XX1 = N:COR; R = H, easily hydrolyzable ether group; R1 = H, ester group) and their salts were prepared for use as bactericides (test data tabulated). Thus, (Z)-BrCH2COC(COCl):NOMe, prepared in 5 steps from MeCOCH2CO2CMe3, reacted with II and N,O-bis(trimethylsilyl)acetamide in HOAc, and the product was treated with (H2N)2CS in EtOH to give 52.3% (6R, 7R, Z)-I (XX1 = N:COH, R1 = H).

ST bactericide cephalosporin; cephemcarboxylate triazinylthiomethyl

IT Bactericides, Disinfectants and Antiseptics
(triazinylthiomethylcephemcarboxylate derivative)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with bromo(methoxyimino)acetamide derivative)

IT 1694-31-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydroxyimination of)

IT 73384-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)

IT 77361-12-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)

IT 79232-66-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to acid chloride)

IT **79232-67-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclocondensation of, with thiourea)

IT 79232-65-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification of)

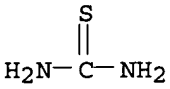
IT 79232-64-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-methylation of)

IT 58909-56-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with bromo(methoxyimino)acetamide derivative)

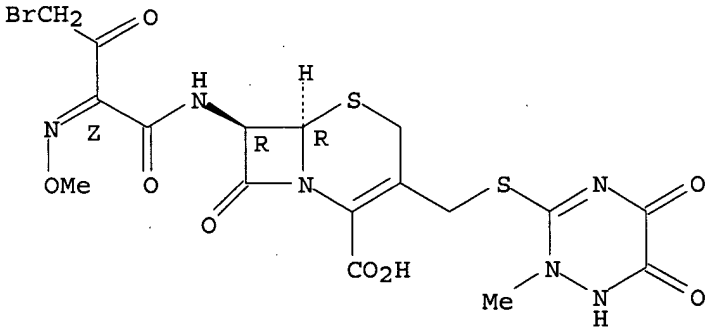
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



IT **79232-67-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclocondensation of, with thiourea)

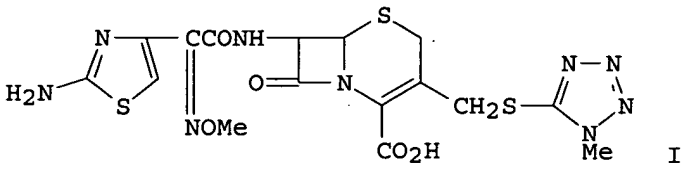
RN 79232-67-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[[(1,2,5,6-tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl)thio]methyl]-, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 29 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:462104 HCAPLUS
DN 95:62104
ED Entered STN: 12 May 1984
TI Synthesis and structure-activity relationships of 7β-[2-(2-aminothiazol-4-yl)acetamido]cephalosporin derivatives. VI. Alternative syntheses of 7β-[2-(2-aminothiazol-4-yl)-(Z)-2-methoxyiminoacetamido]cephalosporin derivatives
AU Ochiai, Michihiko; Morimoto, Akira; Miyawaki, Toshio
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Journal of Antibiotics (1981), 34(2), 186-92
CODEN: JANTAJ; ISSN: 0021-8820
DT Journal
LA English

CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
GI



I

AB Alternative syntheses of 7β-[2-(2-aminothiazol-4-yl)-(Z)-2-methoxyiminoacetamido]cephalosporins were investigated. Of these, a sequence of reactions starting from the aminocephem via the chloroacetoacetyl derivative, and its alkoxyimino derivs. afforded a convenient route to I, which is especially useful for the preparation of labeled cefmenoxime. Structures of nitrone compds. which were formed as by-products are discussed.

ST aminothiazolylacetamidocephem methoxyimino; methoxyiminoacetamidocephem aminothiazolyl; cephem aminothiazolylmethoxyiminoacetamido

IT 41295-64-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminocephem by)

IT 24209-38-9 58233-23-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of)

IT 63504-16-5 71773-94-9 78248-60-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)

IT 53090-86-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

IT **78226-55-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and esterification of)

IT 71754-12-6P 78226-51-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 78226-53-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)

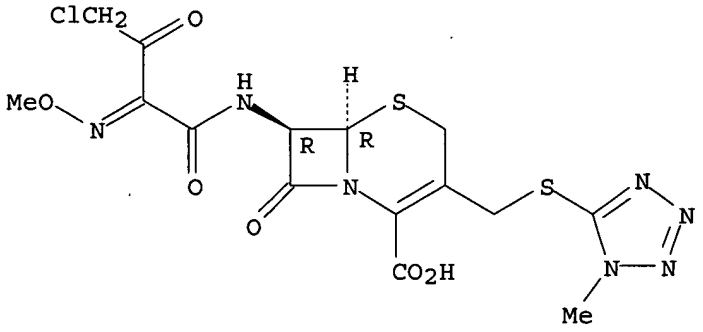
IT 78226-47-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with nitrite)

IT 78226-50-3P **78226-54-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

IT 60846-23-3P 65085-02-1P 78226-46-7P 78226-48-9P 78226-49-0P 78226-52-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

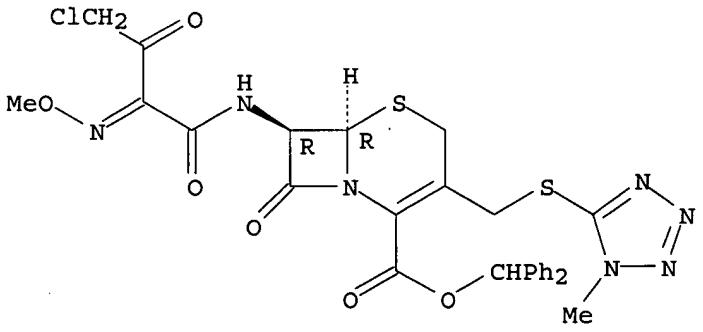
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with chloro(methoxyimino)oxobutyrylaminocephem)
IT **78226-55-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and esterification of)
RN 78226-55-8 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



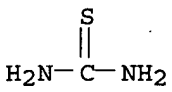
IT **78226-54-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and reaction of, with thiourea)
RN 78226-54-7 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with chloro(methoxyimino)oxobutyrylaminocephem)
RN 62-56-6 HCAPLUS

CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 30 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:550683 HCAPLUS
DN 95:150683
ED Entered STN: 12 May 1984
TI 3-Thiovinylcephalosporins and pharmaceutical preparations containing them
PA Rhone-Poulenc Industries S. A., Fr.
SO Neth. Appl., 245 pp.
CODEN: NAXXAN
DT Patent
LA Dutch
IC C07D501-24; A61K031-545
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 8003011	A	19801125	NL 1980-3011	19800523
	FR 2474504	A1	19810731	FR 1979-13095	19790523
	FR 2474504	B1	19830311		
	FR 2469415	A2	19810522	FR 1979-27687	19791109
	FR 2469415	B2	19830429		
	FR 2474035	A2	19810724	FR 1980-978	19800117
	FR 2474035	B2	19830429		
	DD 151170	C	19811008	DD 1980-221271	19800521
	AT 8002708	A	19820515	AT 1980-2708	19800521
	AT 369378	B	19821227		
	PL 125471	B1	19830531	PL 1980-224389	19800521
	PL 127207	B1	19831031	PL 1980-230380	19800521
	SU 1130167	A3	19841215	SU 1980-2925202	19800521
	SU 1114339	A3	19840915	SU 1980-2991487	19801009
	ES 496193	A1	19811001	ES 1980-496193	19801023
	ES 496196	A1	19811001	ES 1980-496196	19801023
	AT 8105421	A	19830915	AT 1981-5421	19811217
	AT 374480	B	19840425		
	AT 8105423	A	19830915	AT 1981-5423	19811217
	AT 374482	B	19840425		
PRAI	FR 1979-13095	A	19790523		
	FR 1979-27687	A	19791109		
	FR 1980-978	A	19800117		
	AT 1980-2708	A	19800521		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
NL 8003011	IC	C07D501-24IC A61K031-545

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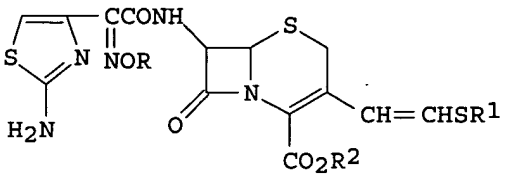

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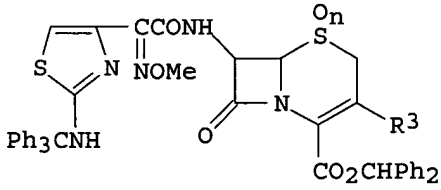

II

AB Thiovinylcephalosporins I (R = H, alkyl, vinyl, CH2CN; R1 = optionally substituted alkyl, alkoxy, alkylthio, Ph, acyl, heterocyclic; R2 = H, acyloxyalkyl) were prepared Thus, II (R3 = Me, n = 0) was treated with EtOCH(NMe2)2 and 4-MeC6H4SO2Cl and oxidized to give II (R3 = CH:CHO3SC6H4Me-4, n = 1), which was treated with MeSH to give II (R3 = CH:CHSMe, n = 1). Reduction of the latter and deblocking gave I (R = R1 = Me, R2 = H).

ST thiovinylcephalosporin; cephemcarboxylate thiovinyl

IT 35609-70-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of)

IT 77780-20-2 77849-03-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminocephems by)

IT 69883-01-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(acylation of aminodeacetoxycephalosporanate by)

IT 21198-09-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(amination of)

IT 77361-12-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(chlorination of)

IT 77361-32-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrazinolysis of)

IT 66340-86-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)

IT 77359-94-5P 77360-00-0P 77360-08-8P 77360-09-9P 77360-20-4P
77657-35-3P 77657-39-7P 77780-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

IT 77361-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of aminocephems by)

IT 77361-10-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)

IT 77792-32-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

IT 77359-89-8P 77359-91-2P 77359-92-3P 77359-93-4P 77359-96-7P
77359-99-0P 77360-02-2P 77360-06-6P 77360-12-4P 77360-13-5P
77360-18-0P 77360-24-8P 77360-25-9P 77360-33-9P 77360-34-0P
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77360-81-7P 77360-90-8P 77360-97-5P 77361-00-3P 77361-05-8P
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77361-26-3P 77361-33-2P 77361-44-5P 77400-86-3P 77400-88-5P
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77780-29-1P 77780-31-5P 77780-33-7P 77780-38-2P 77780-44-0P
77780-46-2P 77780-56-4P 77780-57-5P 77780-59-7P 77780-63-3P
77780-64-4P 77780-65-5P 77792-62-2P 77792-63-3P 77792-64-4P
77792-66-6P 77792-69-9P 77792-71-3P 77792-74-6P 77792-76-8P
77792-79-1P 77792-80-4P 77833-80-8P 77849-02-6P 77862-76-1P
77883-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)

IT 77359-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)

IT 77359-57-0P 77359-75-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 77359-89-8P 77359-90-1P 77360-93-1P 77360-94-2P 77657-33-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

IT 77361-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oximation of)

IT 77780-60-0P 77780-61-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephem)

IT 77359-68-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bis(dimethylamino)ethoxymethane)

IT 77361-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromoxobutyryl chloride)

IT 77359-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with butoxybis(dimethylamino)methane)

IT 15231-41-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with carbon disulfide)

IT 77360-00-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with chloroformate)

IT 77361-13-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with chlorosulfonyl isocyanate)

IT 21149-56-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with ethoxyallyl chloride)

IT 77361-31-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hydrazine)

IT 77360-10-2P 77360-11-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methanethiol)

IT 77657-34-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with methyltetrazolethiol)

IT 57930-22-0P 77360-54-4P 77360-57-7P 77360-76-0P 77360-85-1P
77361-30-9P 77780-34-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with oxalate)

IT 77360-79-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with sodium)

IT 77780-68-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with thiophenol)

IT 77361-02-5P 77361-04-7P **77657-36-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

IT 77360-75-9P 77360-99-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tosyloxyvinylcephem)

IT 58909-12-9P 58909-39-0P 77360-49-7P 77360-58-8P 77360-92-0P
77657-50-2P 77657-51-3P 77780-35-9P 77780-39-3P 77780-43-9P
77780-45-1P 77780-50-8P 77849-05-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tosyloxyvinylcephems)

IT 77780-41-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with triazinethione derivative)

IT 77359-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tert-butoxybis(dimethylamino)methane)

IT 77359-95-6P 77360-01-1P 77360-05-5P 77360-18-0P 77360-22-6P
77360-31-7P 77360-32-8P 77360-37-3P 77360-46-4P 77360-47-5P

77360-50-0P 77360-55-5P 77360-62-4P 77360-67-9P 77360-70-4P
77360-73-7P 77360-89-5P 77360-96-4P 77361-16-1P 77361-21-8P
77361-25-2P 77361-28-5P 77400-90-9P 77657-43-3P 77657-52-4P
77780-21-3P 77780-23-5P 77780-26-8P 77780-28-0P 77780-30-4P
77780-32-6P 77780-37-1P 77780-48-4P 77780-52-0P 77780-53-1P
77780-55-3P 77780-58-6P 77792-59-7P 77792-61-1P 77792-65-5P
77792-67-7P 77792-68-8P 77792-70-2P 77792-73-5P 77792-75-7P
77792-78-0P 77849-04-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)

IT 77360-16-8P 77400-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and thiolation of)

IT 77359-74-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tosylation of)

IT 77359-97-8P 77360-04-4P 77360-07-7P 77360-14-6P 77360-17-9P
77360-26-0P 77360-28-2P 77360-35-1P 77360-36-2P 77360-41-9P
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77792-77-9P 77792-81-5P 77843-25-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 674-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminocephem)

IT 68672-55-9 69883-01-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminocephems)

IT 34619-03-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminothiadiazolethiol)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromooxobutyrylaminocephem)

IT 75-15-0, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with butoxycarbonylaminoethylamine)

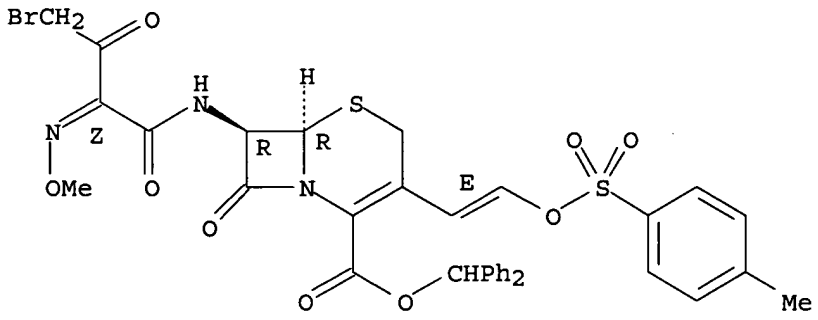
IT 2349-67-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with carbonate)

IT 77359-55-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethoxymalonyl chloride)

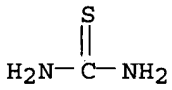
IT 73555-88-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethylthiosemicarbazide)

IT 13733-17-0 63612-41-9 75052-04-9 77780-36-0 77792-60-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)
IT 77361-29-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methoxyiminotritylaminothiazolylacetic acid)
IT 5815-08-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylcephems)
IT 5815-07-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylthiaazabicyclooctene)
IT 95-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylthioethylthiosemicarbazide)
IT 6926-55-2 6938-68-7 13431-41-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oxalate)
IT 36239-09-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oxoethylcephem)
IT 58909-02-7 77360-65-7 77360-69-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tosyloxvinylcephems)
IT 54567-55-4 58909-39-0 77360-79-3 77780-49-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tosyloxyvinylcephem)
IT 1121-31-9 1450-85-7 2637-34-5 3004-42-0 13016-17-6 13183-79-4
21094-62-2 21094-65-5 36988-21-3 52083-93-9 56610-81-2
58908-99-9 61607-68-9 77780-51-9 77780-69-9 77780-70-2
77780-71-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tosyloxyvinylcephems)
IT 20887-95-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with toxyloxyvinylcephems)
IT 77360-49-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tritylaminothiazolylacetic acid)
IT 2302-95-6 77359-99-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
IT 22252-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(tert-butoxycarbonylation of)
IT **77657-36-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and reaction of, with thiourea)
RN 77657-36-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[[(4-
methylphenyl)sulfonyl]oxy]ethenyl]-8-oxo-, diphenylmethyl ester,
[6R-[3(E),6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromooxobutyrylaminocephem)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 31 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:604670 HCAPLUS
DN 93:204670
ED Entered STN: 12 May 1984
TI 3-Cephem-4-carboxylic acid derivatives and intermediates
IN Takatani, Takao; Masugi, Takeshi; Takasugi, Hisashi; Kawachi, Hiromu
PA Fujisawa Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
IC C07C131-00; C07D317-30; C07D319-06; C07D501-26
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55038349	A2	19800317	JP 1978-112555	19780912
	JP 63012864	B4	19880323		
	EP 9671	A2	19800416	EP 1979-103389	19790911
	EP 9671	A3	19800625		
	EP 9671	B1	19840613		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	EP 48504	A2	19820331	EP 1981-109663	19790911
	EP 48504	A3	19830406		
	EP 48504	B1	19880817		
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	AT 7914	E	19840615	AT 1979-103389	19790911
	AT 36533	E	19880915	AT 1981-109663	19790911
	US 4298529	A	19811103	US 1979-101527	19791210
	US 4379922	A	19830412	US 1980-213217	19801205
	US 4518774	A	19850521	US 1982-411312	19820825
	US 4594446	A	19860610	US 1985-690989	19850114
PRAI	GB 1978-36564	A	19780912		

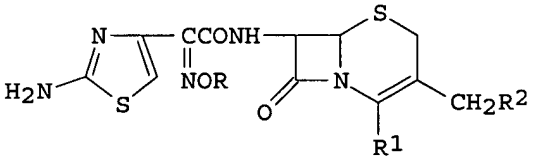
	JP 1978-112555	A	19780912
	JP 1979-3106	A	19790112
	GB 1979-5791	A	19790219
	US 1979-73565	A2	19790907
	EP 1979-103389	A	19790911
	EP 1981-109663	A	19790911
	JP 1979-117166	A	19790911
	US 1979-101527	A3	19791210
	US 1980-213217	A3	19801205
	US 1982-411312	A3	19820825

CLASS

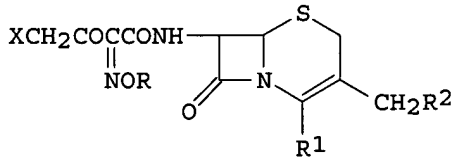
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
JP 55038349	IC	C07C131-00IC C07D317-30IC C07D319-06IC C07D501-26
US 4298529	NCL	549/451.000; 540/222.000; 540/227.000; 540/228.000; 540/230.000; 549/373.000; 562/567.000
US 4379922	NCL	540/215.000; 540/222.000; 540/227.000; 540/229.000
US 4518774	NCL	540/222.000; 540/215.000
US 4594446	NCL	560/168.000; 549/347.000; 549/373.000; 549/451.000; 549/510.000; 549/511.000; 556/418.000; 560/121.000; 560/123.000; 560/124.000; 560/125.000; 560/145.000

OS CASREACT 93:204670

GI



I



II

AB 3-Cephem-4-carboxylic acid derivs. (I; R = aliphatic hydrocarbon radical; R1 = CO2H, protected CO2H; R2 = acyloxy, heterocyclic thio) were prepared by multistep synthesis including reaction of halo derivs. (II; X = halo) with thiourea. Thus, a solution of 0.1 g thiourea and 0.1 g NaOAc in H2O was treated with 0.45 g II (R = Me, R1 = CO2H, R2 = 1,3,4-thiadiazol-2-ylthio, X = Br) 4 h at 35-40°, H2O and EtOAc added, and the solution adjusted to pH 2 to give I (R = Me, R1 = CO2H, R2 = 1,3,4-thiadiazol-2-ylthio).

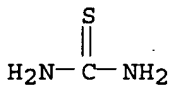
ST cephemcarboxylic acid; cyclocondensation thiourea haloacetylacetamidocephem

IT Cyclocondensation reaction
(of thiourea with (haloacetylacetamido)cephem derivs.)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with (haloacetylacetamido)cephemcarboxylic acid derivs.)

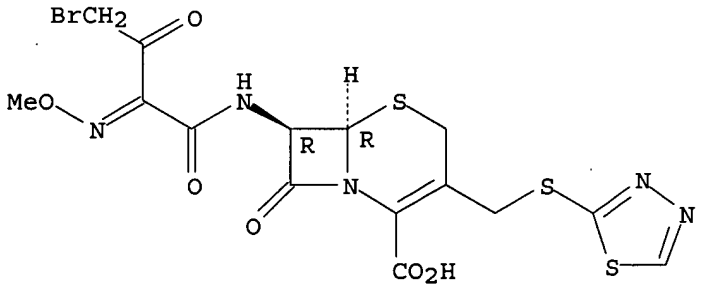
IT **75360-13-3**
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with thiourea)

IT 63527-52-6P 65052-56-4P 65085-01-0P 66340-29-2P 75098-78-1P
75098-79-2P 75098-80-5P 75360-14-4P 75360-15-5P 75360-16-6P
75360-17-7P 75360-18-8P 75360-19-9P 75360-20-2P 75360-21-3P
75360-22-4P 75360-23-5P 75360-24-6P 75360-25-7P 75360-26-8P
75360-27-9P 75360-28-0P 75360-29-1P 75360-30-4P 75360-31-5P
75360-32-6P 75360-33-7P 75420-16-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with (haloacetylacetamido)cephemcarboxylic acid derivs.)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



IT **75360-13-3**
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with thiourea)
RN 75360-13-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-3-[(1,3,4-thiadiazol-2-ylthio)methyl]-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



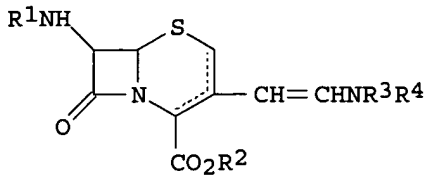
L44 ANSWER 32 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:587274 HCAPLUS
DN 95:187274
ED Entered STN: 12 May 1984
TI 3-Vinylcephalosporin analogs
IN Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronel, Jean Francois
PA Rhone-Poulenc Industries S. A., Fr.
SO Ger. Offen., 225 pp.
CODEN: GWXXBX
DT Patent
LA German
IC C07D501-38
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE

PI	DE 3019462	A1	19801204	DE 1980-3019462	19800521
	FR 2457296	A1	19801219	FR 1979-13096	19790523
	FR 2457296	B1	19820205		
	BE 883416	A1	19801121	BE 1980-200707	19800521
	DK 8002220	A	19801124	DK 1980-2220	19800521
	FI 8001641	A	19801124	FI 1980-1641	19800521
	NO 8001502	A	19801124	NO 1980-1502	19800521
	SE 8003821	A	19801124	SE 1980-3821	19800521
	SE 451072	B	19870831		
	SE 451072	C	19871210		
	AU 8058595	A1	19801127	AU 1980-58595	19800521
	AU 534806	B2	19840216		
	ES 491687	A1	19801216	ES 1980-491687	19800521
	GB 2051062	A	19810114	GB 1980-16727	19800521
	ZA 8003038	A	19810527	ZA 1980-3038	19800521
	DD 150899	C	19810923	DD 1980-221272	19800521
	US 4307233	A	19811222	US 1980-152085	19800521
	AT 8002709	A	19820515	AT 1980-2709	19800521
	AT 369375	B	19821227		
	CA 1144919	A1	19830419	CA 1980-352324	19800521
	SU 1031409	A3	19830723	SU 1980-2926697	19800521
	PL 126481	B1	19830831	PL 1980-224391	19800521
	HU 31738	O	19840528	HU 1980-1278	19800521
	HU 185890	B	19850428		
	CH 645379	A	19840928	CH 1980-3989	19800521
	CS 244405	B2	19860717	CS 1980-3543	19800521
	IL 60139	A1	19840430	IL 1980-60139	19800522
	NL 8003023	A	19801125	NL 1980-3023	19800523
	JP 55154981	A2	19801202	JP 1980-68009	19800523
	JP 02046595	B4	19901016		
PRAI	FR 1979-13096	A	19790523		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3019462	IC	C07D501-38
US 4307233	NCL	540/215.000; 540/222.000; 540/229.000

GI



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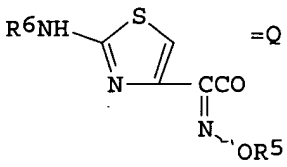

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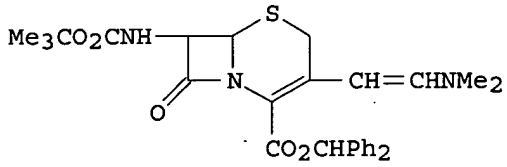

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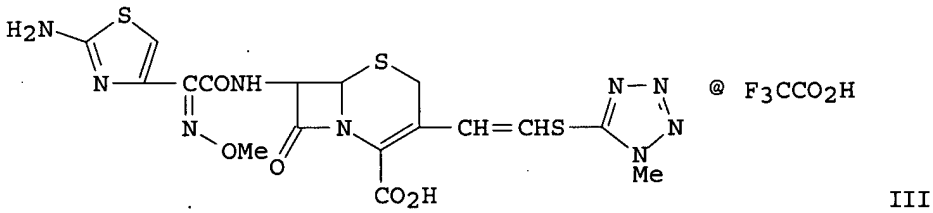

III

AB Vinylcephalosporin analogs I [R1 = Q (syn or anti, R5 = H, alkyl, CH2:CH,CH2CN, protective group, R6 = protective group), Ph2CH, Ph3C, R7CO [R7 = H, Ph, alkyl substituted by halo, Ph, PhO], R8O2C (R8 = alkyl), O2NC6H4S; R2 = enzymically cleavable CHR9O2CR10 (R9 = H, allyl; R10 = alkyl, cycloalkyl), MeOCH2, Me3C, Ph2CH, 4-O2NC6H4CH2, 4-MeOC6H4CH2; R1NH may be replaced by substituted H2C:N; R1 = alkanoyl substituted by Cl, Br, acyl; R1NH replaced by cyclic imide group of dicarboxylic acid; R2 = tertiary aliphatic, PhCH2, MeOC6H4CH2, O2NC6H4CH2, Cl3CCH2, Ph2CH, succiniimidomethyl, phthalimidomethyl; R3,R4 = C1-4 alkyl, Ph; NR3R4 = heterocyclyl], useful as bactericides against Staphylococcus aureus in mice at 0.2-15 mg/kg/day s.c., were prepared (E)-Vinylcephem, (E)-II, was prepared in 3 steps from 7-aminodeacetoxycephalosporanic acid (7-ADCA) and (Me3CO)2CO via the amine-blocked and the amine-blocked and carboxy-blocked 7-ADCA and subsequent reaction with HC(:N+Me2)NMe2.MeSO4-. (E)-II was converted in 7 steps to the (tetrazolylthio)vinyl analog syn,(Z)-III.

ST aminovinylcephalosporin analog; thiovinylcephalosporin analog; vinylcephalosporin analog; cephalosporin vinyl analog; bactericide vinyl cephalosporin analog

IT Bactericides, Disinfectants and Antiseptics
(vinylcephalosporin analogs)

IT 4457-32-3 34619-03-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(amine blocking by, of aminodeoxycephalosporanic acid)

IT 957-68-6 68143-34-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(amine blocking reaction of)

IT 22252-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(amino group blocking reactions of)

IT 2013-91-4 4637-24-5 4909-78-8 5815-07-6 5815-08-7 79584-93-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with aminodeoxycephalosporanic acid derivative)

IT 77448-11-4
RL: RCT (Reactant); RACT (Reactant or reagent)

(condensation reaction of, with bis(dimethylamino)-tert-butoxymethane)
IT 10209-10-6 79584-80-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with bis(dimethylamino)butoxymethane)
IT 29126-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with ethoxybis(dimethylamino)methane)
IT 28974-31-4 37946-05-7 51415-85-1 77359-72-9 79645-75-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reactions of, with alkoxyaminomethanes)
IT **62-56-6P**, preparation
RL: RCT (Reactant); PREP (Preparation); **RACT (Reactant or reagent)**
(cyclization of, with (bromobutylamido)cephemcarboxylic acid derivative)
IT 77361-28-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(deblocking of)
IT 883-40-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of cephalosporanic acid derivative)
IT 4530-20-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification by, of triazineethanol derivative)
IT 77359-75-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrolysis of)
IT 79645-76-4 79645-77-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)
IT 77359-94-5P 77360-00-0P 77360-08-8P 77360-09-9P 77360-20-4P
77361-13-8P 77657-39-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)
IT 77361-10-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)
IT 77359-68-3P 77359-76-3P 77359-79-6P 77359-80-9P 79584-91-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction of, with alkoxyaminomethane)
IT 79584-83-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction of, with bis(dimethylamino)-tert-butoxymethane)
IT 77359-63-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction of, with bis(methylamino)butoxymethane)
IT 77359-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and condensation reaction of, with diaminoalkane derivs.)
IT 77361-12-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to acid chloride)
IT 77361-02-5P **77361-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);

RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea)
IT 77359-99-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking and deoxygenation of)
IT 77360-51-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking and reaction of, with methoxyamine)
IT 77359-91-2P 77359-92-3P 77360-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking and sulfuration of)
IT 77359-93-4P 77359-96-7P 77360-02-2P 77360-03-3P 77360-06-6P
77360-13-5P 77360-18-0P 77360-24-8P 77360-25-9P 77360-42-0P
77360-44-2P 77360-56-6P 77360-59-9P 77360-63-5P 77360-68-0P
77360-71-5P 77360-81-7P 77360-90-8P 77360-97-5P 77361-00-3P
77361-05-8P 77361-09-2P 77361-14-9P 77361-17-2P 77361-19-4P
77361-22-9P 77361-26-3P 77361-33-2P 77400-86-3P 77400-88-5P
77400-93-2P 77657-38-6P 77657-53-5P 79584-63-7P 79645-71-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
IT 77360-33-9P 77360-34-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and decarboxylation of)
IT 77360-10-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deoxygenation and sulfuration of)
IT 77359-95-6P 77360-01-1P 77360-05-5P 77360-18-0P 77360-22-6P
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77657-52-4P 79584-62-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deoxygenation of)
IT 51813-40-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and electrochem. reduction of)
IT 77359-59-2P 77359-64-9P 79584-81-9P 79584-90-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
IT 77359-81-0P 77359-82-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of, with diphenyldiazomethane)
IT 77359-65-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis and isomerization of)
IT 77359-57-0P 77400-85-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrolysis and tosylation of)
IT 77360-14-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inner salt formation from)
IT 77359-89-8P 77359-90-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
IT 77360-54-4P 77360-85-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with Et oxalate)
IT 77361-11-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephem derivative)
IT 77361-04-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromine and diketene)
IT 77360-57-7P 77360-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with di-Et oxalate)
IT 77360-10-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and sulfidation of)
IT 77360-49-7P 77360-58-8P 77360-61-3P 77360-69-1P 77360-92-0P
77657-50-2P 77657-51-3P 79584-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sulfuration by, of (tosyloxyvinyl)cephemcarboxylic acid derivative)
IT 77360-11-3P 77360-16-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sulfuration of)
IT 77400-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sulfuration of, by methyltetrazolethiol)
IT 77359-74-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tosylation of)
IT 77360-99-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation by, of aminocephemcarboxylic acid derivative)
IT 77360-08-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of)
IT 77361-27-4P
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(preparation and N-acylation of, by (tert-butoxycarbonyl)glycine)
IT 77361-07-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and N-acylation of, by butyryl chloride derivative)
IT 77400-94-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and O-acylation of)
IT 77359-61-6P 77359-70-7P 77359-73-0P 77359-75-2P 77359-78-5P
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79645-72-0P
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(preparation of)
IT 57930-22-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of with Et oxalate)
IT 593-56-6
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(reaction of, with (dimethoxyethyl)triazine derivative)
IT 95-92-1
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(reaction of, with (methoxyethyl)thiocarbazide)
IT 35196-48-6
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(reaction of, with Et isothiocyanate)
IT 6926-55-2
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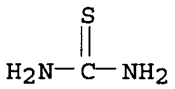
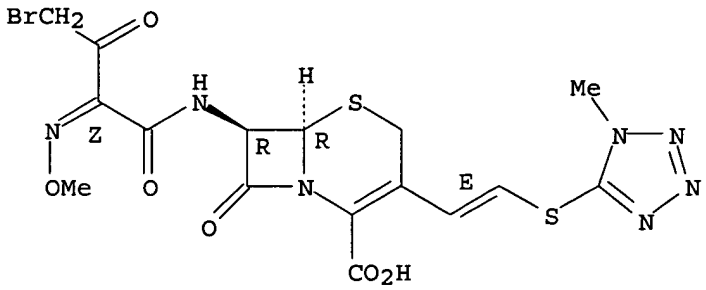
(reaction of, with Et oxalate)
IT 674-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromine and aminocephemcarboxylic acid derivative)
IT 13733-17-0 63612-41-9 75052-04-9 77792-60-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)
IT 64485-90-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylthiadiazolethiol)
IT 542-85-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oxalic acid hydrazide)
IT 1189-71-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with triazineethanol derivative)
IT 74-93-1, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with vinyldeacetoxycephalosporanic acid derivative)
IT 66340-86-1
RL: RCT (Reactant); RACT (Reactant or reagent)

(saponification of)
IT 77360-65-7 77360-79-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfuration by, of (tosyloxyvinyl)cephemcarboxylic acid derivative)
IT 13183-79-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(sulfuration by, of vinylcephalosporanic acid analogs)
IT 108-98-5P, preparation 1121-31-9 21094-62-2 29490-19-5 52083-93-9
54567-55-4 56610-81-2 58909-02-7 58909-06-1
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(sulfuration by, of vinyldeacetoxycephalosporanic acid derivative)
IT 68786-47-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation by, of aminocephemcarboxylic acid derivative)
IT 64485-90-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation by, of aminocephemecarboxylic acid derivative)
IT 69883-01-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation by, of benzhydral aminodeacetoxycephalosporanate)
IT 78992-68-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation by, of benzyhydral aminodeacetoxycephalosporanate)
IT 35609-70-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of, by iminoacetic anhydride derivative)
IT 76-83-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-blocking by, of aminodeacetoxycephalosporanic acid)
IT **62-56-6P**, preparation
RL: RCT (Reactant); PREP (Preparation); **RACT (Reactant or reagent)**
(cyclization of, with (bromobutylamido)cephemcarboxylic acid derivative)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



IT **77361-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea)
RN 77361-06-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[(1-methyl-1H-
tetrazol-5-yl)thio]ethenyl]-8-oxo-, [6R-[3(E),6α,7β(Z)]]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 33 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:407308 HCAPLUS
DN 95:7308
ED Entered STN: 12 May 1984
TI Cephalosporin analogs
IN Farge, Daniel; Le Roy, Pierre; Moutonnier, Claude; Peyronel, Jean Francois
PA Rhone-Poulenc Industries S. A., Fr.
SO Ger. Offen., 239 pp.
CODEN: GWXXBX
DT Patent
LA German
IC C07D501-26
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3019430	A1	19801204	DE 1980-3019430	19800521
	FR 2457297	A1	19801219	FR 1979-13097	19790523
	FR 2457297	B1	19821022		
	BE 883417	A1	19801121	BE 1980-200708	19800521
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	AU 8058593	A1	19801127	AU 1980-58593	19800521
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	AU 8058594	A1	19801127	AU 1980-58594	19800521
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	ES 491688	A1	19801216	ES 1980-491688	19800521
	GB 2051061	A	19810114	GB 1980-16726	19800521
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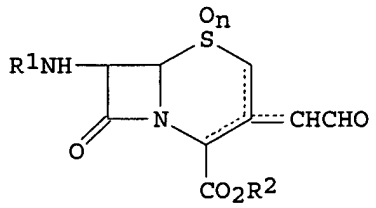
	GB 2052488	A	19810128	GB 1980-16725	19800521
	GB 2052488	B2	19830921		
	ZA 8003035	A	19810527	ZA 1980-3035	19800521
	ZA 8003036	A	19810527	ZA 1980-3036	19800521
	DD 151064	C	19810930	DD 1980-221267	19800521
	DD 151169	C	19811008	DD 1980-221268	19800521
	US 4307230	A	19811222	US 1980-152084	19800521
	AT 8002710	A	19820215	AT 1980-2710	19800521
	AT 368509	B	19821025		
	ES 491689	A1	19820416	ES 1980-491689	19800521

	SU 927118	A3	19820507	SU 1980-2928400	19800521
	PL 122638	B1	19820831	PL 1980-224392	19800521
	AT 8002711	A	19820915	AT 1980-2711	19800521
	AT 370737	B	19830425		
	SU 965358	A3	19821007	SU 1980-2928399	19800521
	US 4365062	A	19821221	US 1980-152153	19800521
	CA 1148141	A1	19830614	CA 1980-352346	19800521
	CA 1149375	A1	19830705	CA 1980-352335	19800521
	HU 25574	O	19830728	HU 1980-1280	19800521
	HU 183143	B	19840428		
	PL 126491	B1	19830831	PL 1980-224390	19800521
	PL 126671	B1	19830831	PL 1980-229959	19800521
	HU 29120	O	19840130	HU 1980-1279	19800521
	HU 184759	B	19841029		
	CH 645380	A	19840928	CH 1980-3991	19800521
	CS 235508	B2	19850515	CS 1980-3544	19800521
	CH 650000	A	19850628	CH 1980-3990	19800521
	IL 60140	A1	19840430	IL 1980-60140	19800522
	IL 60141	A1	19840430	IL 1980-60141	19800522
	NL 8003010	A	19801125	NL 1980-3010	19800523
	NL 8003024	A	19801125	NL 1980-3024	19800523
	JP 55154978	A2	19801202	JP 1980-68006	19800523
	JP 55154979	A2	19801202	JP 1980-68007	19800523
	ES 495236	A1	19810816	ES 1980-495236	19800922
	ES 495237	A1	19810901	ES 1980-495237	19800922
	SU 984411	A3	19821223	SU 1981-3229954	19810119
	SU 988193	A3	19830107	SU 1981-3229054	19810119
	AT 8200938	A	19840415	AT 1982-938	19820309
	AT 8200939	A	19840415	AT 1982-939	19820309
PRAI	FR 1979-13097	A	19790523		
	AT 1980-2710	A	19800521		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 3019430	IC	C07D501-26
US 4307230	NCL	540/217.000; 540/215.000; 540/222.000; 540/223.000; 540/226.000; 540/227.000; 540/229.000
US 4365062	NCL	540/215.000; 540/222.000; 540/230.000

GI



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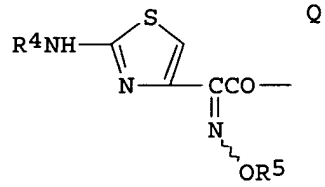

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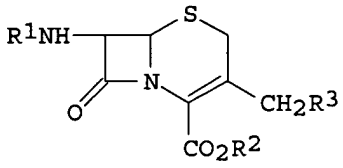

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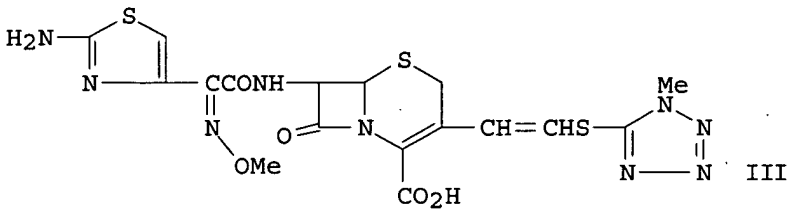

III

AB Cephalosporin analogs I [n = 0, 1; R1 = Q (R4 = protective group, R5 = H, alkyl, vinyl, cyanomethyl, protective group), Ph2CH, Ph3C, Cl, Br, (un)substituted alkanoyl or acyl (un)substituted alkoxycarbonyl, R1NH replaced by (un)substituted methyleneimino; R2 = enzymically cleavable CHR7O2CR6 (R6 = alkyl, cycloalkyl; R7 = H, alkyl) protective group; R2 = tertiary aliphatic, PhCH2, nitro- or methoxybenzyl, Cl3CCH2, Ph2CH, succinimido- or phthalimidomethyl], useful, e.g., against Staphylococcus aureas in mice at 0.2-15 mg/kg s.c. per day, were prepared Bicyclooctene II (R1-R3 = H) and (Me3CO)2CO were converted in 4 steps to the blocked compound II (R1 = Me3CO2C, R2 = Ph2CH, R3 = CHO), which was converted in 7 steps to the cephalosporin analog (Z)-syn-III.F3CCO2H.

ST cephalosporin analog bactericide; bicyclooctene thiazolylacetamido tetrazolylthiovinyl

IT Bactericides, Disinfectants and Antiseptics
(cephalosporin analogs)

IT 64485-90-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(amidation of aminocephemcarboxylate derivative by)

IT 21198-09-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(ammonolysis of)

IT 22252-43-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(blocking of)

IT 34619-03-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(blocking of aminocephemcarboxylate derivative by)

IT 29490-19-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with acetic acid derivative)

IT 64485-90-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation reaction of, with mercaptomethylthiadiazole)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with cephemcarboxylate derivative)
IT 6926-55-2 77360-66-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with di-Et oxalate)
IT 26628-22-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with dimethoxyethyl isothiocyanate)
IT 95-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with thiosemicarbazide derivative, triazinethione derivative
by)
IT 883-40-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of cephemcarboxylic acid derivative)
IT 1558-67-4 4530-20-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of hydroxyethylated cephemcarboxylate derivative by)
IT 63612-41-9 77361-32-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrazinolysis of)
IT 77361-84-3 77361-85-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of, with chloroproperbenzoic acid)
IT 77359-55-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)
IT 77359-94-5P 77360-00-0P 77360-08-8P 77360-09-9P 77360-20-4P
77360-21-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amidation of, with thiazolylacetic acid derivative)
IT 77359-93-4P 77361-05-8P 77361-09-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and amide hydrolysis of)
IT 77359-99-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and amide hydrolysis or deoxygenation of)
IT 77359-91-2P 77359-92-3P 77361-28-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and amine deblocking of)
IT 77361-10-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)
IT 77360-08-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with (acetylthio)thiadiazole derivative)
IT 57930-22-0P 77360-54-4P 77360-57-7P 77360-76-0P 77360-85-1P
77361-30-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with di-Et oxalate)
IT 77361-02-5P **77361-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**

(preparation and cyclization of, with thiourea)
IT 77360-13-5P 77360-18-0P 77360-19-1P 77361-73-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and de-N-blocking of)
IT 77361-69-4P 77361-71-8P 77361-78-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and de-O-blocking of)
IT 77360-24-8P 77360-25-9P 77360-33-9P 77360-34-0P 77360-39-5P
77360-40-8P 77360-42-0P 77360-44-2P 77360-56-6P 77360-59-9P
77360-63-5P 77360-68-0P 77360-71-5P 77360-81-7P 77360-87-3P
77360-90-8P 77360-97-5P 77361-00-3P 77361-14-9P 77361-17-2P
77361-19-4P 77361-22-9P 77361-26-3P 77361-33-2P 77400-86-3P
77400-88-5P 77400-89-6P 77400-93-2P 77448-12-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
IT 77360-51-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of, or reaction with methoxyamine)
IT 77359-95-6P 77360-01-1P 77360-05-5P 77360-18-0P 77360-22-6P
77360-23-7P 77360-31-7P 77360-32-8P 77360-37-3P 77360-38-4P
77360-46-4P 77360-47-5P 77360-50-0P 77360-55-5P 77360-62-4P
77360-67-9P 77360-70-4P 77360-73-7P 77360-86-2P 77360-89-5P
77360-96-4P 77361-16-1P 77361-21-8P 77361-25-2P 77361-67-2P
77361-68-3P 77400-90-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deoxygenation of)
IT 77360-10-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and deoxygenation or reaction of, with triazinethiol derivative)
IT 77360-06-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and detritylation of)
IT 77359-59-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of)
IT 77359-64-9P 77359-81-0P 77359-82-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of, with diphenyldiazomethane)
IT 77400-94-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and esterification of, with formic anhydride or tert-butoxycarbonylglycine)
IT 77361-31-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrazinolysis of)
IT 77359-57-0P 77359-65-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis and isomerization of)
IT 77359-61-6P 77359-70-7P 77359-73-0P 77359-75-2P 77359-78-5P
77359-85-4P 77359-88-7P 77359-96-7P 77360-02-2P 77360-03-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

IT 77400-85-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis or tosylation of)

IT 77359-83-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and isomerization of)

IT 77361-73-0P 77361-80-9P 77361-81-0P 77361-90-1P 77361-91-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of, with chloroperbenzoic acid)

IT 77359-55-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and oxidation or tosylation or isomerization of)

IT 77361-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oximation of)

IT 77360-49-7P 77360-61-3P 77360-80-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with (tosyloxyvinyl)cephemcarboxylate derivative)

IT 77360-58-8P 77360-65-7P 77360-69-1P 77360-84-0P 77360-92-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with (tosylvinyl)cephemcarboxylate derivative)

IT 77361-29-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephemcarboxylate derivative)

IT 77359-68-3P 77359-76-3P 77359-79-6P 77359-80-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bis(dimethylamino)-tert-butoxymethane)

IT 77359-63-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bis(dimethylamino)-tert-butoxymethane and isomerization of)

IT 77361-04-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with bromine and diketene)

IT 57260-73-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with carbon disulfide)

IT 77361-13-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with chlorosulfonyl isocyanate or acetic anhydride)

IT 77359-58-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with dimethoxy(dimethylamino)methane)
IT 77359-91-2P 77359-92-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hetero mercaptans or amide hydrolysis of)
IT 77360-12-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with hetero mercaptans or deblocking of)
IT 77360-10-2P 77360-16-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with mercaptans)
IT 77361-12-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with oxalyl chloride)
IT 77361-27-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with tert-butoxycarbonylglycine)
IT 77359-56-9P 77359-60-5P 77359-66-1P 77359-74-1P 77359-77-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tosylation of)
IT 77361-11-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and N-acylation of aminocephemcarboxylate derivative)
IT 77360-08-8P 77360-09-9P 77361-77-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of, with thiopheneacetyl chloride)
IT 77360-93-1P 77360-94-2P 77360-95-3P 77400-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S-oxidation of)
IT 77359-89-8P 77359-90-1P 77360-30-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and S-oxidation of, with chloroperbenzoic acid)
IT 11111-12-9DP, derivs. 77359-62-7P 77359-69-4P 77359-71-8P
77359-84-3P 77359-86-5P 77359-98-9P 77360-04-4P 77360-07-7P
77360-11-3P 77360-12-4P 77360-14-6P 77360-15-7P 77360-17-9P
77360-26-0P 77360-27-1P 77360-29-3P 77360-35-1P 77360-36-2P
77360-41-9P 77360-43-1P 77360-45-3P 77360-48-6P 77360-52-2P
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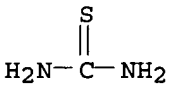
77361-93-4P 77361-94-5P 77400-02-3P 77400-87-4P 77400-95-4P
77400-96-5P 77448-13-6P 77448-14-7P
RL: SPN (Synthetic preparation); PREP (Preparation)

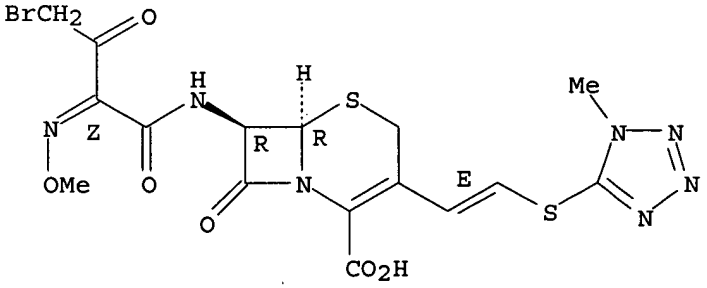
(preparation of)
IT 79-37-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (methoxyimino)oxobutyric acid)
IT 98-59-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (oxoethyl)cephemcarboxylate derivs.)
IT 74-93-1, reactions 108-98-5, reactions 1121-31-9 13183-79-4
21094-62-2 29490-19-5 52083-93-9 56610-81-2 58909-02-7
58909-06-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (tosyloxyvinyl)cephemcarboxylate derivative)
IT 54567-55-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (tosylvinyl)cephemcarboxylate derivative)
IT 35609-70-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (vinyloxyimino)acetic acid)
IT 6629-60-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with Et isothiocyanatoacetate)
IT 75-15-0, reactions
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with [(butoxycarbonyl)amino]ethylamine and bromine)
IT 77360-10-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with [(butoxycarbonylamino)ethyl]triazine derivative)
IT 593-56-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with acetalyzed cephemcarboxylate derivative)
IT 69883-01-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with benzhydryl aminodeoacetoxycephalosporanic acid)
IT 28974-31-4 77359-72-9 77448-11-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bis(dimethylamino)-tert-butoxymethane)
IT 674-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromine and aminocephemcarboxylate derivative)
IT 77361-07-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with bromobutyryl chloride)
IT 1189-71-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with cephemcarboxylate derivative)
IT 29126-12-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethoxybis(dimethylamino)methane)
IT 24066-82-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with ethylhydrazine)
IT 13733-17-0 75052-04-9 77360-75-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with hydrazine)
IT 4637-24-5 5815-07-6 5815-08-7 77359-87-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methylcephemcarboxylate derivative)
IT 77400-92-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with methyltetrazolethiol)

IT 77360-99-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with tosyloxyvinylcephemcarboxylate derivative)
IT 66340-86-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(saponification of)
IT 35609-70-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of)
IT 77359-67-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of aminocephemcarboxylate derivative)
IT 39098-97-0 68786-47-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-acylation of aminocephemcarboxylate derivative by)
IT 76-83-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-tritylation of aminocephemcarboxylate derivative by)
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclization of, with cephemcarboxylate derivative)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



IT **77361-06-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea)
RN 77361-06-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[2-[(1-methyl-1H-tetrazol-5-yl)thio]ethenyl]-8-oxo-, [6R-[3(E),6α,7β(Z)]]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



L44 ANSWER 34 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1979:593327 HCAPLUS
DN 91:193327
ED Entered STN: 12 May 1984

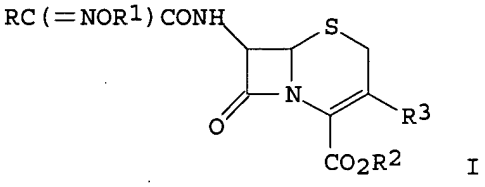
TI Cephalosporins
IN Ochiai, Michihiko; Morimoto, Akira; Okada, Taiiti
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 35 pp.
CODEN: GWXXBX
DT Patent
LA German
IC C07D501-20
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2900961	A1	19790719	DE 1979-2900961	19790111
	JP 54098795	A2	19790803	JP 1978-3032	19780113
	JP 01042955	B4	19890918		
	GB 2012276	B2	19820506	GB 1979-312	19790104
	GB 2012276	A	19790725		
	CH 642662	A	19840430	CH 1979-151	19790109
	FR 2414508	A1	19790810	FR 1979-655	19790111
	FR 2414508	B1	19830527		
	JP 61143389	A2	19860701	JP 1985-269888	19851129
	JP 06031258	B4	19940427		
PRAI	JP 1978-3032	A	19780113		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 2900961	IC	C07D501-20

OS CASREACT 91:193327
GI



I

AB The cephalosporins I (R = haloacetyl, 2-amino-4-thiazolyl; R1 = alkyl; R2 = H, ester group; R3 = group customary for cephalosporins) were prepared Thus, MeCOC(:NOEt)CO2H was converted into the acid chloride, which reacted with tert-Bu 7-aminocephalosporanate to give I (R = BrCH2CO, R1 = OEt, R2 = CMe3, R3 = CH2OAc). This was treated with (H2N)2CS in EtOH to give I (R = 5-amino-4-thiazolyl).
ST cephemcarboxylate iminoacetamido
IT 75-18-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation by, of hydroxyiminobutyramidocephalosporanic acid)
IT 71754-05-7P 71754-07-9P 71754-14-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and bromination of)
IT 71773-94-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and methylation of)
IT 71754-06-8P 71754-08-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with aminocephemcarboxylate)

IT **71754-09-1P 71754-11-5P 71754-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

IT 63527-52-6P 64485-93-4P 65052-72-4P 65085-02-1P 65243-21-2P
65243-23-4P 65243-25-6P 65243-28-9P 65243-30-3P 65243-32-5P
66340-29-2P 68350-22-1P 68350-24-3P 68473-20-1P 68495-99-8P
71754-10-4P 71754-12-6P 71754-16-0P 71754-17-1P 71754-18-2P
71901-49-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

IT 71754-13-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with aminocephemcarboxylate)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromoalkoxyiminobutyramidocephemcarboxylate)

IT 6187-87-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with iminobutyroyl chloride)

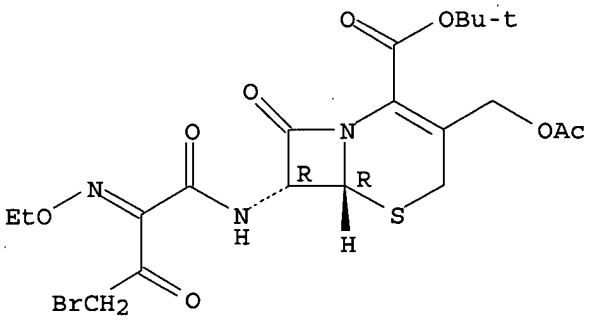
IT 53090-86-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with oxo(methoxyimino)butyric acid)

IT 60846-08-4 65243-07-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(saponification of)

IT **71754-09-1P 71754-11-5P 71754-15-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and reaction of, with thiourea)

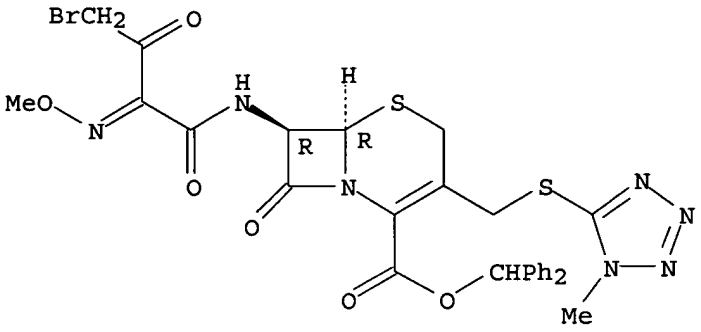
RN 71754-09-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-bromo-2-(ethoxyimino)-1,3-dioxobutyl]amino]-8-oxo-, 1,1-dimethylethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



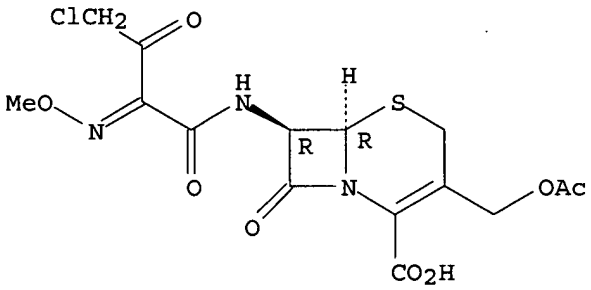
RN 71754-11-5 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-bromo-2-(methoxyimino)-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, diphenylmethyl ester, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

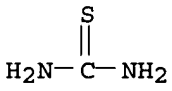


RN 71754-15-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-2-(methoxyimino)-1,3-dioxobutyl]amino]-8-oxo-, (6R-trans)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(reaction of, with bromoalkoxyiminobutyramidocephemcarboxylate)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)



L44 ANSWER 35 OF 35 HCAPLUS COPYRIGHT 2005 ACS on STN
AN 1979:420525 HCAPLUS
DN 91:20525
ED Entered STN: 12 May 1984
TI [2-(syn)-Carbamoyloximinoacetamido]-cephalosporins
IN Numata, Mitsuo; Nishimuro, Tatsuo
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 139 pp.
CODEN: GWXXBX
DT Patent

LA German
IC C07D501-20; A61K031-545
CC 28-15 (Heterocyclic Compounds (More Than One Hetero Atom))
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2834097	A1	19790222	DE 1978-2834097	19780803
	JP 54030194	A2	19790306	JP 1977-94469	19770806
	JP 60009719	B4	19850312		
	CH 641467	A	19840229	CH 1978-8198	19780731
	US 4200575	A	19800429	US 1978-930041	19780801
	FR 2399432	A1	19790302	FR 1978-23018	19780803
	FR 2399432	B1	19821008		
	GB 2002761	A	19790228	GB 1978-32371	19780804
	GB 2002761	B2	19820616		
PRAI	JP 1977-94469	A	19770806		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
DE 2834097	IC	C07D501-20IC A61K031-545
US 4200575	NCL	514/202.000; 514/203.000; 514/205.000; 514/206.000; 514/207.000; 540/222.000; 540/225.000; 540/227.000; 540/228.000

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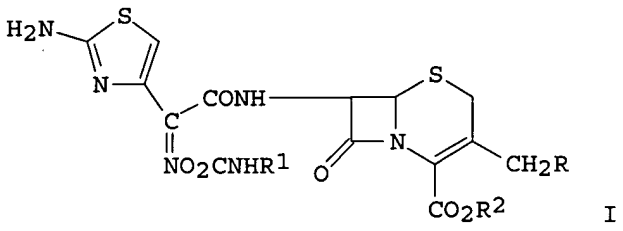
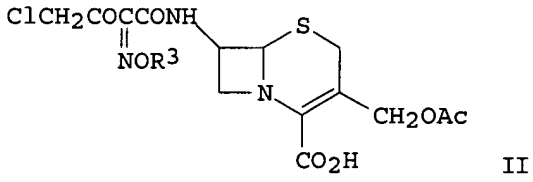


I



II

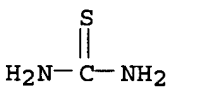
AB The cephalosporins I [R = H, OH, O2CNH2, acyloxy, quaternary ammonium, (heterocyclyl)thio, R1 = alkyl, aryl, aralkyl; R2 = H, ester group] and their salts were prepared and exhibited bactericidal activity. Thus, II (R3 = H) was treated with MeNCO to give II (R3 = MeNHCO), which reacted with thiourea to give I (R = AcO, R1 = Me, R2 = H). Test data for I against various gram-pos. and gram-neg. bacteria were tabulated.

ST bactericide cephalosporin prepn; cephemcarboxylate thiazolyliminoacetamido prepn bactericide

IT Bactericides, Disinfectants and Antiseptics
([(carbamoyloxyimino)(aminothiazolyl)acetamido]cephemcarboxylic acid derivs.)

IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with [haloacetyl(carbamoyloxyimino)acetamido]cephemcarboxylic acid derivs.)

IT 53064-79-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of cephemcarboxylic acid derivative by)
IT 70343-65-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(esterification of, with iodomethyl pivalate)
IT 70344-02-4P 70344-03-5P 70344-04-6P 70344-05-7P 70344-06-8P
70344-07-9P 70344-08-0P 70344-09-1P 70344-10-4P 70344-11-5P
70344-12-6P 70344-13-7P 70344-14-8P 70344-15-9P 70344-16-0P
70344-17-1P 70344-18-2P 70344-19-3P 70344-20-6P 70344-21-7P
70344-22-8P 70344-23-9P 70344-24-0P 70344-30-8P 70344-31-9P
70344-32-0P 70344-33-1P 70344-34-2P 70344-35-3P 70344-36-4P
70344-37-5P 70344-38-6P 70368-49-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
IT **70343-78-1P 70343-79-2P 70343-80-5P**
70343-81-6P 70343-82-7P 70343-83-8P
70343-84-9P 70343-85-0P 70343-86-1P
70343-87-2P 70343-88-3P 70343-89-4P
70343-90-7P 70343-91-8P 70343-92-9P
70343-93-0P 70343-94-1P 70343-95-2P
70343-96-3P 70343-97-4P 70343-98-5P
70343-99-6P 70344-00-2P 70344-01-3P
70481-53-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); **RACT (Reactant or reagent)**
(preparation and cyclization of, with thiourea)
IT 70343-59-8P 70343-60-1P 70343-61-2P 70343-62-3P 70343-63-4P
70343-64-5P 70343-65-6P 70343-66-7P 70343-67-8P 70343-68-9P
70343-69-0P 70343-70-3P 70343-71-4P 70343-72-5P 70343-73-6P
70343-74-7P 70343-75-8P 70343-76-9P 70343-77-0P 70344-05-7P
70344-25-1P 70344-26-2P 70344-27-3P 70344-28-4P 70344-29-5P
70481-54-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
IT 103-71-9, reactions 624-83-9 3173-53-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with [(hydroxyimino)acetamido]cephemcarboxylic acid derivative)
IT 66436-48-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with organic isocyanates)
IT 1453-82-3 29490-19-5 61607-68-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(substitution reaction of, with (acetoxymethyl)cephemcarboxylic acid derivative)
IT **62-56-6**, reactions
RL: RCT (Reactant); **RACT (Reactant or reagent)**
(cyclocondensation of, with [haloacetyl(carbamoyloxyimino)acetamido]cephemcarboxylic acid derivs.)
RN 62-56-6 HCAPLUS
CN Thiourea (9CI) (CA INDEX NAME)

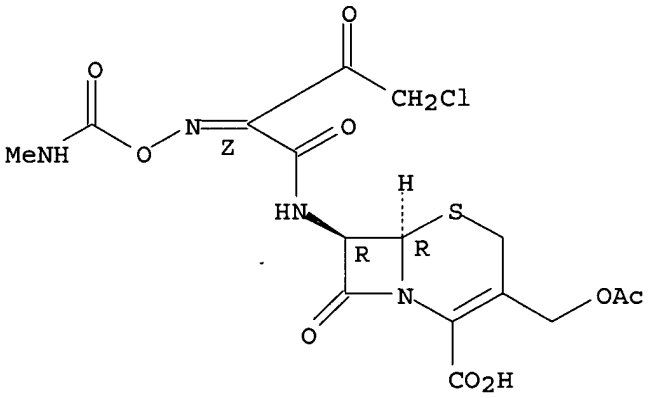


IT **70343-78-1P 70343-79-2P 70343-80-5P**
70343-81-6P 70343-82-7P 70343-83-8P
70343-84-9P 70343-85-0P 70343-86-1P
70343-87-2P 70343-88-3P 70343-89-4P
70343-90-7P 70343-91-8P 70343-92-9P
70343-93-0P 70343-94-1P 70343-95-2P
70343-96-3P 70343-97-4P 70343-98-5P
70343-99-6P 70344-00-2P 70344-01-3P
70481-53-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation);
RACT (Reactant or reagent)
(preparation and cyclization of, with thiourea)

RN 70343-78-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

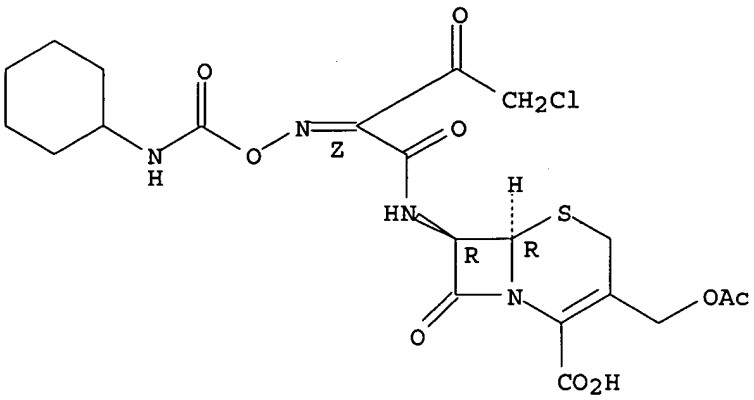
Absolute stereochemistry.
Double bond geometry as shown.



RN 70343-79-2 HCAPLUS

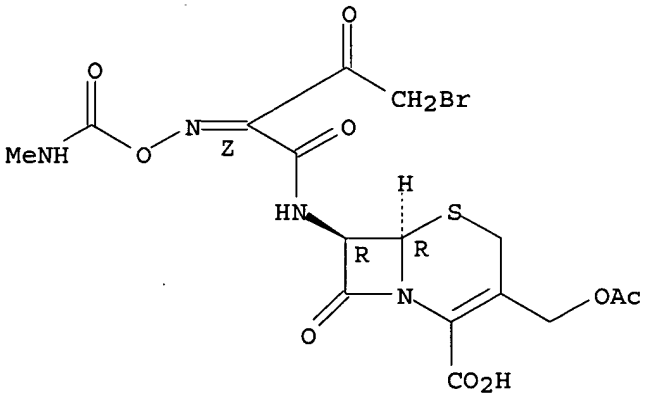
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(cyclohexylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



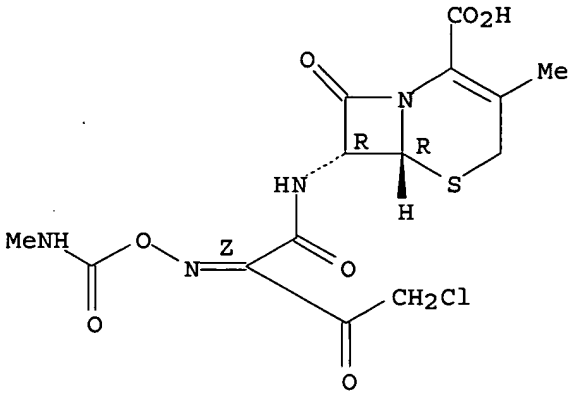
RN 70343-80-5 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-bromo-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



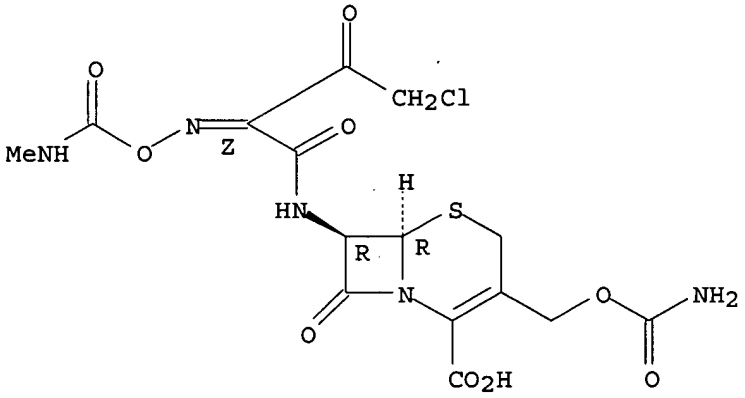
RN 70343-81-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



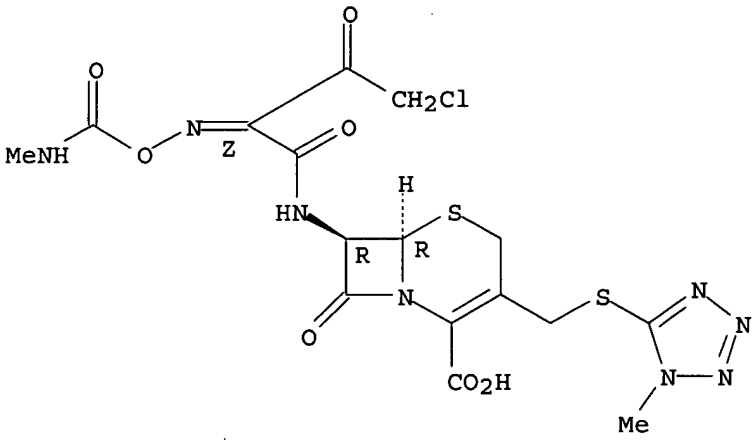
RN 70343-82-7 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



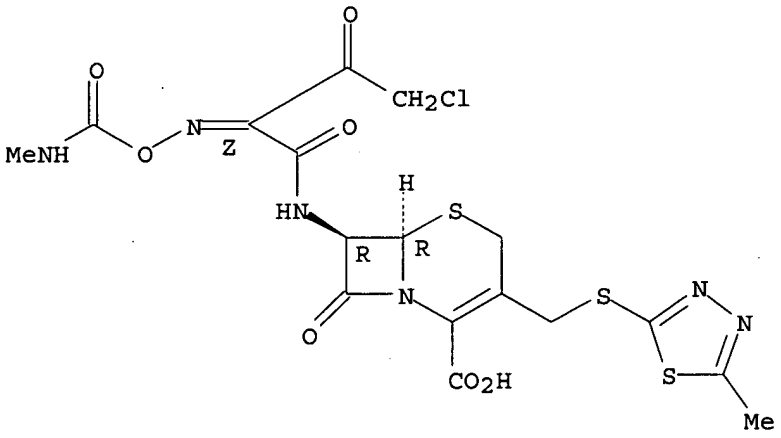
RN 70343-83-8 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



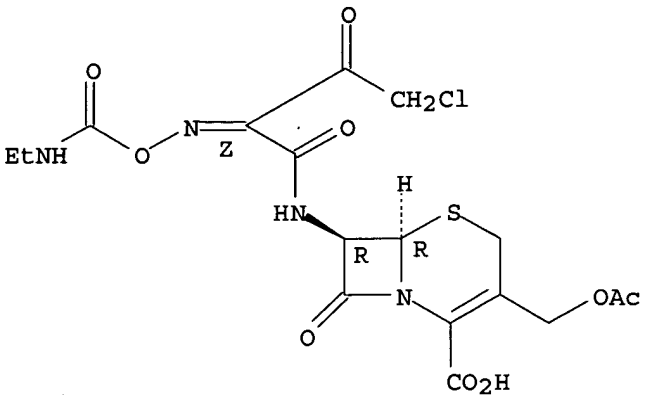
RN 70343-84-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[(methylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



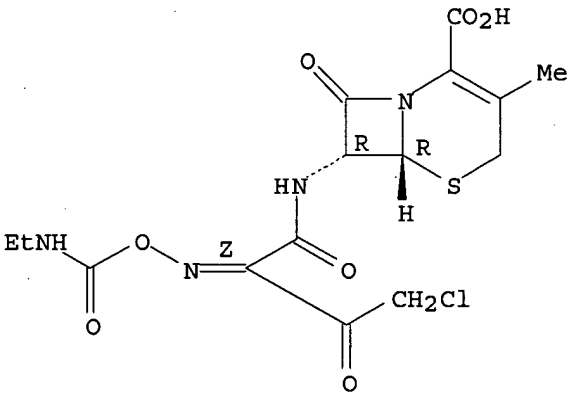
RN 70343-85-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



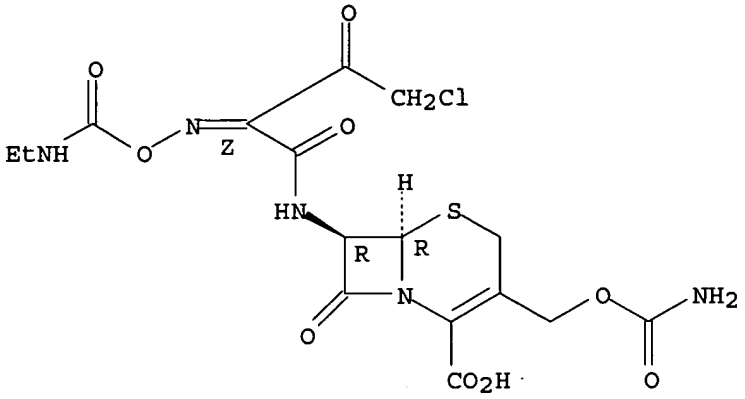
RN 70343-86-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



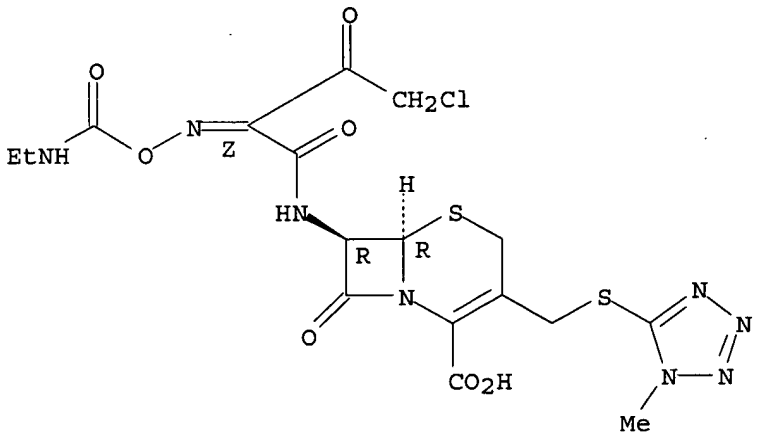
RN 70343-87-2 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



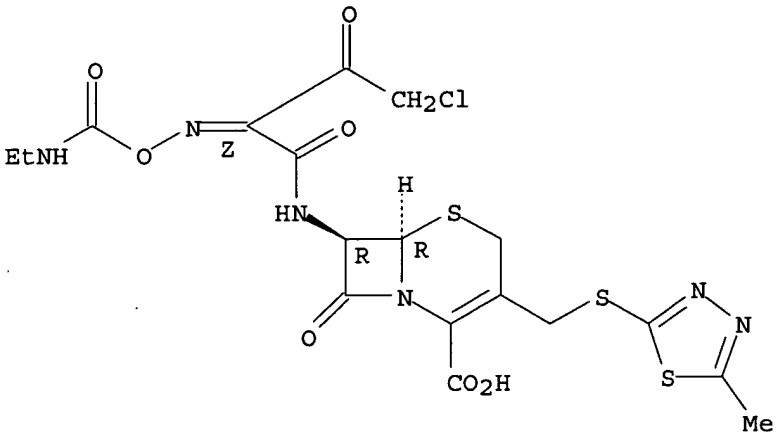
RN 70343-88-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



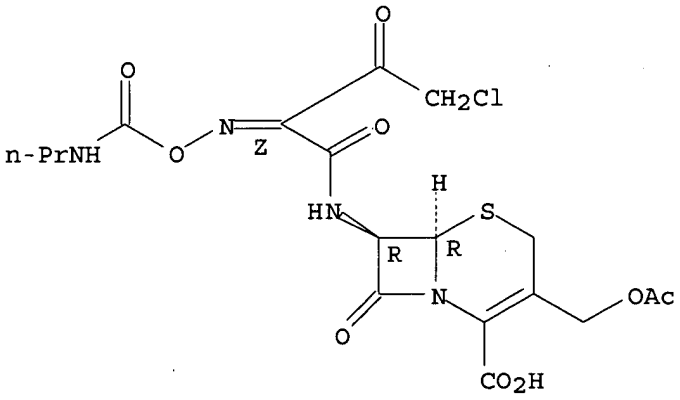
RN 70343-89-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[(ethylamino)carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



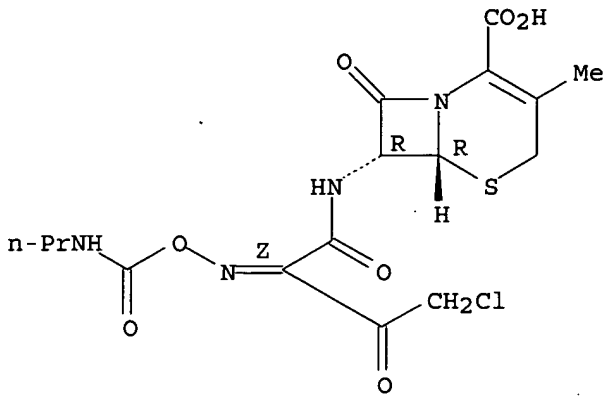
RN 70343-90-7 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-1,3-dioxo-2-[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



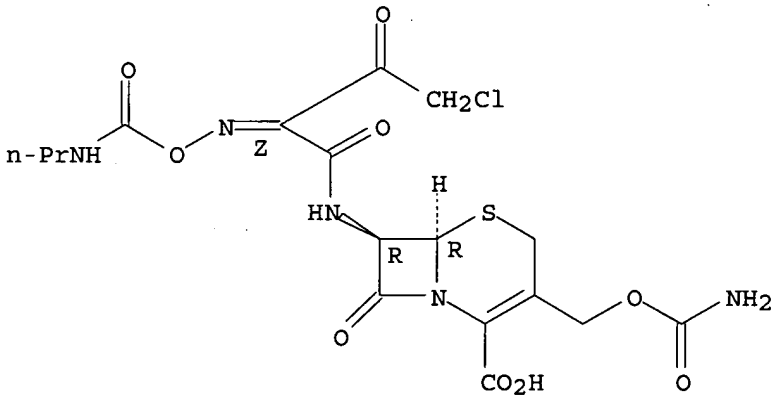
RN 70343-91-8 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-1,3-dioxo-2-[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



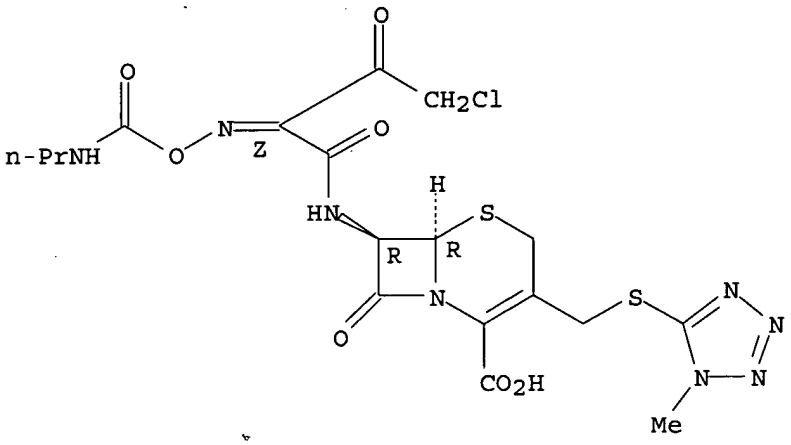
RN 70343-92-9 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-1,3-dioxo-2-[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



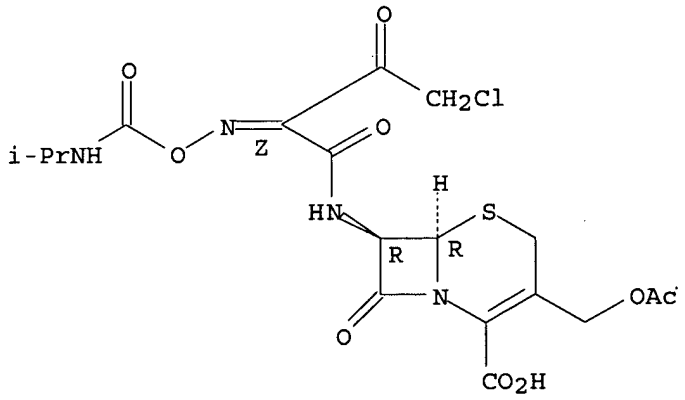
RN 70343-93-0 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-1,3-dioxo-2-[[[(propylamino)carbonyl]oxy]imino]butyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



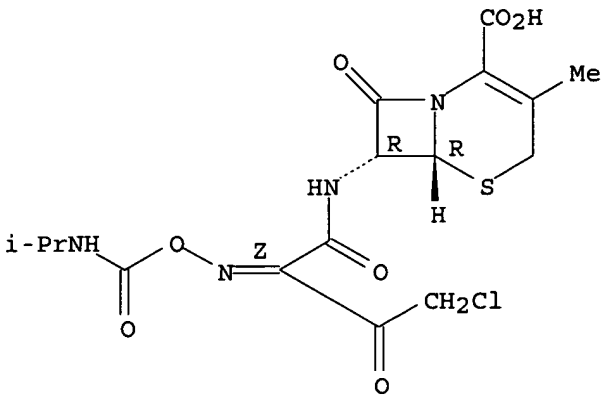
RN 70343-94-1 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-2-[[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



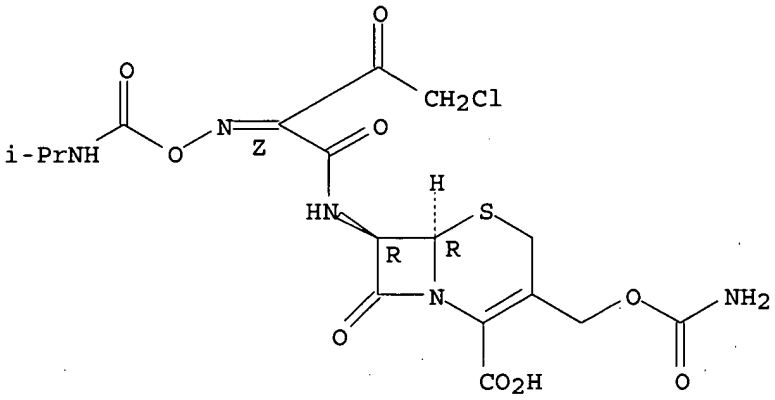
RN 70343-95-2 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



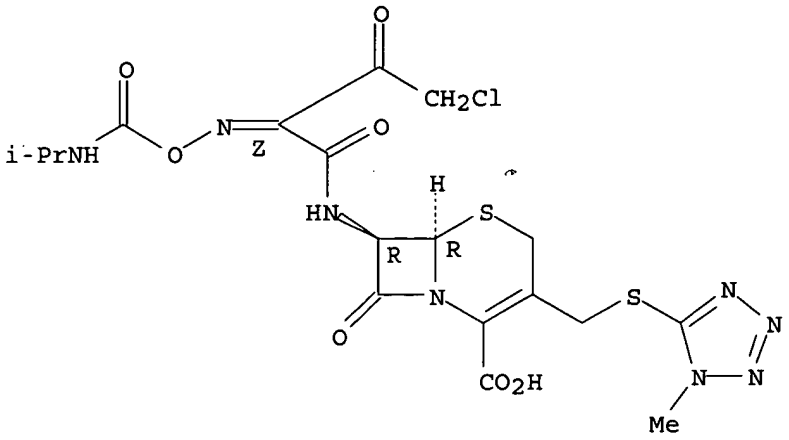
RN 70343-96-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[(aminocarbonyl)oxy]methyl]-7-[[4-chloro-2-[[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



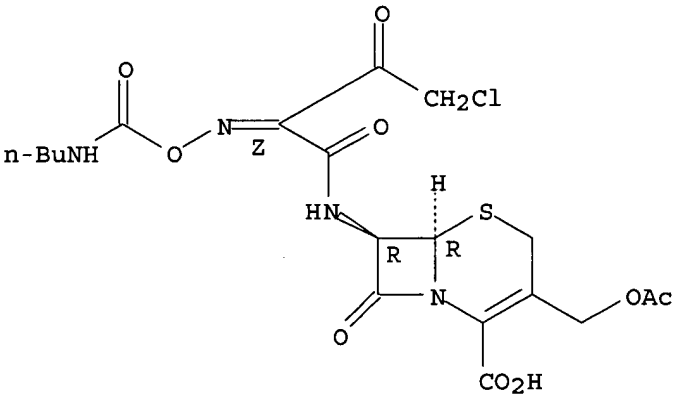
RN 70343-97-4 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[4-chloro-2-[[[[(1-methylethyl)amino]carbonyl]oxy]imino]-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



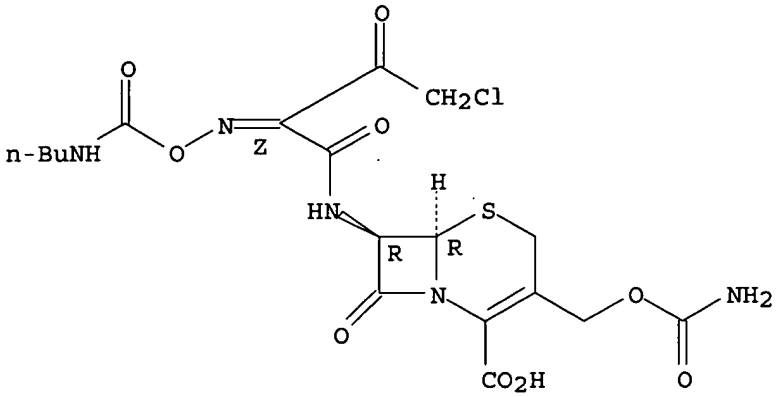
RN 70343-98-5 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



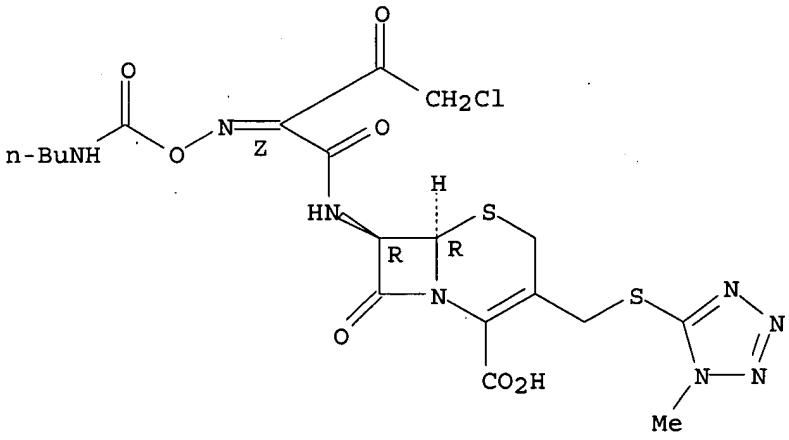
RN 70343-99-6 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[[(aminocarbonyl)oxy]methyl]-7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-1,3-dioxobutyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



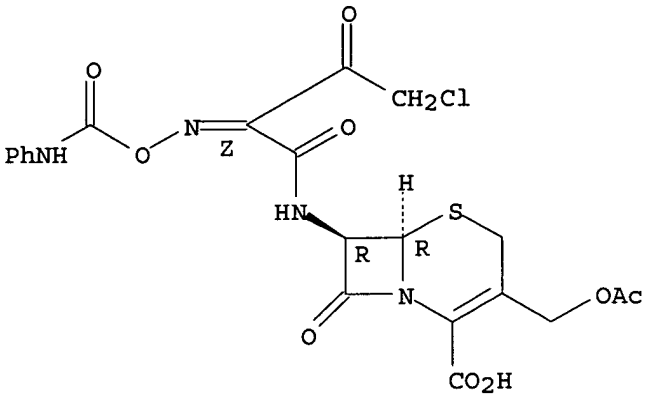
RN 70344-00-2 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-1,3-dioxobutyl]amino]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



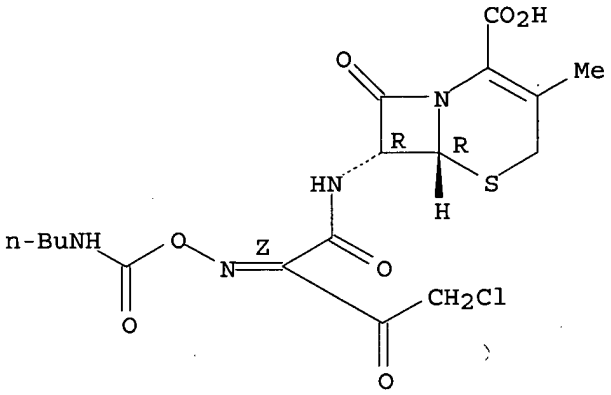
RN 70344-01-3 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(acetyloxy)methyl]-7-[[4-chloro-1,3-dioxo-2-[[[(phenylamino)carbonyl]oxy]imino]butyl]amino]-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 70481-53-7 HCAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[2-[[[(butylamino)carbonyl]oxy]imino]-4-chloro-1,3-dioxobutyl]amino]-3-methyl-8-oxo-, [6R-[6α,7β(Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



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